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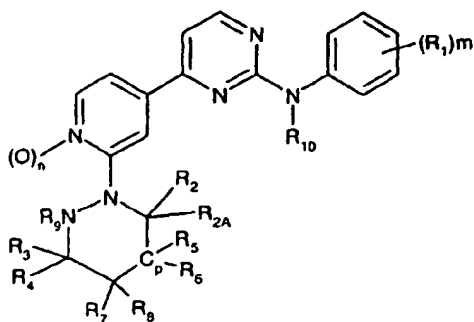
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(54) Title: MICROBIOCIDAL N-PHENYL-N-[4-(4-PYRIDYL)-2-PYRIMIDIN-2-YL]-AMINE DERIVATIVES



(1)

(57) Abstract: Fungicidal compounds of Formula (I)
wherein m is 0, 1, 2 or 3; n and p are independently of
each other 0 or 1; R₁ is halogen, optionally substituted
alkyl, optionally substituted alkoxy, optionally substituted
alkenyloxy, optionally substituted alkynyloxy, optionally
substituted thioalkyl optionally substituted aryl, COOR₁₁,
CONR₁₂R₁₃, S(O)_qR₁₄, SO₂NR₁₅R₁₆ or NR_{15a}R_{16a}; q is 1 or
2; and R₂, R_{2a}, R₃, R₄, R₅, R₆, R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, R₁₃,
R₁₄, R₁₅, R₁₆, R_{15a}, R_{16a}, are specified organic groups or a
salt thereof; their preparation and compositions containing
them.

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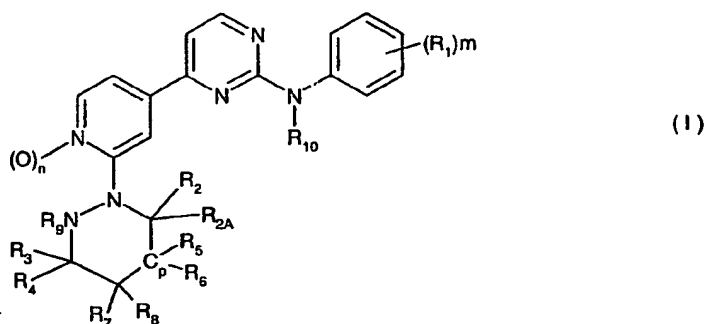
MICROBIOCIDAL N-PHENYL-N-[4-(4-PYRIDYL)-2-PYRIMIDIN-2-YL]-AMINE
DERIVATIVES

The present invention relates to novel N-phenyl-[4-(4-pyridyl)-pyrimidin-2-yl]-
 5 amine derivatives, to a method of protecting plants against attack or infestation by
 phytopathogenic organisms, such as nematodes or insects or especially microorganisms,
 preferably fungi, bacteria and viruses, or combinations of two or more of these
 organisms, by applying a N-phenyl-[4-(4-pyridyl)-pyrimidin-2-yl]-amine derivative as
 specified hereinafter to a part and/or to the site of a plant, to the use of said derivative for
 10 protecting plants against said organisms, and to compositions comprising said derivative
 as the active component. The invention further relates to the preparation of these novel
 N-phenyl-[4-(4-pyridyl)-pyrimidin-2-yl]-amine derivatives.

Certain N-phenyl-4-(4-pyridyl)-2-pyrimidineamine derivatives have been
 described in the art as having pharmacological properties e.g. in the PCT patent appli-
 15 cations WO 95/09851 and WO 95/09853, as tumor-inhibiting anti-cancer substances and
 in WO 97/19065 and WO98/18782 for the treatment of immune diseases.

Surprisingly, it has now been found that the new N-phenyl-[4-(4-pyridyl)-
 pyrimidin-2-yl]-amines are effective in plant protection and related areas, showing
 advantageous properties in the treatment of plant diseases caused by organisms.

20 The novel N-phenyl-[4-(4-pyridyl)-pyrimidin-2-yl]-amine derivatives according
 to the invention are those of the formula I



wherein

m is 0, 1, 2 or 3;

25 n and p are independently of each other 0 or 1;

R₁ is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally
 substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted thioalkyl

optionally substituted aryl, COOR₁₁, CONR₁₂R₁₃, S(O)_qR₁₄, SO₂NR₁₅R₁₆ or NR_{15a}R_{16a};

when there is more than one R₁ group, they may be the same or different;

q is 1 or 2;

R₂, R_{2a}, R₃, R₄, R₅, R₆, R₇, R₈ are each independently hydrogen, optionally substituted
 5 alkyl, COR₁₇, COOR₁₈ or optionally substituted aryl, and in addition R₂ and R₃ may also
 independently be optionally substituted alkoxy, optionally substituted alkenyloxy,
 optionally substituted alkynyloxy, or optionally substituted alkylthio, COOR₁₉,
 CONR₂₀R₂₁, OH or SH;

R₆ and R₇ may also be independently halogen, optionally substituted alkoxy, optionally
 10 substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted
 alkenylamino, optionally substituted alkynylamino, optionally substituted alkylthio,
 optionally substituted cycloalkyl, optionally substituted heteroaryl, optionally substituted
 heterocyclyl, optionally substituted cycloalkyloxy, OH, SH, N₃, NR₂₂R₂₃ or N(R₂₄)COR₂₅;
 or the ring members CR₃R₄ or CR₂R_{2A} are independently of each other a carbonyl group
 15 (C=O) or a thionyl group (C=S);

or one or two of the adjacent pairs of groups R₉ and R₄, R₄ and R₈, R₅ and R₈, or, if p is
 zero, R_{2A} and R₈ may form a bond, provided that if there are 2 double bonds in the ring
 the double bonds are not adjacent each other;

or the pair of groups R₇ and R₈ or the pair of groups R₆ and R₇ together with the atom to
 20 which they are attached form a C₃-C₇ saturated ring;

R₉ is hydrogen, optionally substituted alkyl, optionally substituted alkenyl or optionally
 substituted alkynyl;

R₁₀ is hydrogen, C₁-C₄-alkyl, C₃-C₄-alkenyl, C₃-C₄-alkynyl, -CH₂OR₂₆, CH₂SR₂₇, -
 C(O)R₂₈, -C(O)OR₂₉, SO₂R₃₀, SOR₃₁ or SR₃₂;

25 R₂₆, R₂₇, R₂₈, R₂₉, R₃₀, R₃₁, R₃₂ are independently C₁-C₈-alkyl C₁-C₈-alkoxyalkyl, C₁-C₈
 haloalkyl or phenylC₁-C₂-alkyl wherein the phenyl may be substituted by up to three
 groups selected from halo or C₁-C₄-alkyl,

R₁₁, R₁₂, R₁₃, R₁₄, R₁₅, R₁₆, R_{15a}, R_{16a}, R₁₇, R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄, and R₂₅ are
 independently H or optionally substituted alkyl; or a salt thereof.

30 One group of preferred compounds are of those of formula (I') which are
 compounds of formula I wherein

m is 0, 1, 2 or 3;

n and p are independently of each other 0 or 1;

R₁ is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted thioalkyl optionally substituted aryl, COOR₁₁, CONR₁₂R₁₃, S(O)_qR₁₄, SO₂NR₁₅R₁₆ or NR_{15a}R_{16a}; when there is more than one R₁ group, they may be the same or different;

5 q is 1 or 2;

R₂, R_{2a}, R₃, R₄, R₅, R₆, R₇, R₈ are each independently hydrogen, optionally substituted alkyl, COR₁₇, COOR₁₈ or optionally substituted aryl, and in addition R₂ and R₃ may also independently be optionally substituted alkoxy or optionally substituted alkylthio, COOR₁₉, CONR₂₀R₂₁, OH or SH;

10 R₆ and R₇ may also be independently halogen, optionally substituted alkoxy, optionally substituted alkylthio, OH, SH, N₃, NR₂₂R₂₃ or N(R₂₄)COR₂₅;

or the ring members CR₃R₄ or CR₂R_{2a} are independently of each other a carbonyl group (C=O) or a thiocarbonyl group (C=S);

15 or one or two of the adjacent pairs of groups R₉ and R₄, R₄ and R₈, R₅ and R₈, or, if p is zero, R_{2a} and R₈ may form a bond, provided that if there are 2 double bonds in the ring the double bonds are not adjacent each other;

or the pair of groups R₇ and R₈ together with the atom to which they are attached form a C₃-C₇ saturated ring;

R₉ is hydrogen or optionally substituted alkyl;

20 R₁₀ is hydrogen, C₁-C₄-alkyl, C₃-C₄-alkenyl, C₃-C₄-alkynyl, -CH₂OR₂₆, CH₂SR₂₇, -C(O)R₂₈, -C(O)OR₂₉, SO₂R₃₀, SOR₃₁ or SR₃₂;

R₂₆, R₂₇, R₂₈, R₂₉, R₃₀, R₃₁, R₃₂ are independently C₁-C₈-alkyl C₁-C₈-alkoxyalkyl, C₁-C₈ haloalkyl or phenylC₁-C₂-alkyl wherein the phenyl may be substituted by up to three groups selected from halo or C₁-C₄-alkyl,

25 R₁₁, R₁₂, R₁₃, R₁₄, R₁₅, R₁₆, R_{15a}, R_{16a}, R₁₇, R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₄, and R₂₅ are independently H or optionally substituted alkyl; or a salt thereof.

In the context of the present specification alkyl as a group *per se* and as a structural element of hydroxyalkyl, thioalkyl, alkoxy, alkenyl, alkenyloxy, alkynyl alkynyloxy or haloalkoxy - is preferably C₁-C₆-alkyl, more preferably lower alkyl, and is
30 linear i.e. methyl, ethyl, propyl, butyl, pentyl or hexyl, or branched, e.g. isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl or isohexyl. Lower alkyl is preferably methyl or ethyl.

Specific examples of alkenyl and alkynyl include allyl, 2-butenyl, 3-butenyl, propargyl, 2-butylnyl and 3 butynyl.

When present, the optional substituents on an alkyl, alkenyl or alkynyl moiety include one or more of halogen, nitro, cyano, oxo (and acetals and ketals formed therefrom), C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₃₋₁₀ alkoxy, C₃₋₁₀ alkoxy(C₃₋₁₀)alkoxy, C₁₋₆ alkoxy-carbonyl(C₃₋₁₀)alkoxy, C₃₋₁₀ haloalkoxy, phenyl(C₁₋₄)alkoxy (where the phenyl group is optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), C₃₋₇ cycloalkyloxy (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), C₃₋₁₀ alkenyloxy, C₃₋₁₀ alkynyloxy, SH, C₃₋₁₀ alkylthio, C₃₋₁₀ haloalkylthio, phenyl(C₁₋₄)alkylthio (where the phenyl group is optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl(C₁₋₆)alkylthio, phenylthio (where the phenyl group is optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, phenylsulfonyl (where the phenyl group is optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), tri(C₁₋₄)alkylsilyl, phenyldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl, triphenylsilyl, C₃₋₁₀ alkylcarbonyl, HO₂C, C₃₋₁₀ alkoxy carbonyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)-aminocarbonyl, N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkylcarbonyloxy, phenylcarbonyloxy (where the phenyl group is optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), di(C₁₋₆)alkylaminocarbonyloxy, phenyl (itself optionally substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), naphthyl (itself optionally substituted by C₁₋₆ alkyl or halogen), heteroaryl (itself optionally substituted by C₁₋₆ alkyl or halogen), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen), phenyloxy (where the phenyl group is optionally substituted by substituted by one or more of C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkyl, CN, nitro or halogen), naphthyloxy (where the naphthyl group is optionally substituted by C₁₋₆ alkyl or halogen), heteroaryloxy, (where the heteroaryl group is optionally substituted by C₁₋₆ alkyl or halogen), heterocyclyloxy (where the heterocyclyl

group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆) alkylamino, C₁₋₆ alkylcarbonylamino and N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino.

Preferred substituents on an alkyl, alkenyl or alkynyl moiety include one or more of halogen, nitro, cyano, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₃₋₁₀ alkoxy, C₃₋₁₀ alkoxy(C₃₋₁₀)alkoxy, C₁₋₆ alkoxy-carbonyl(C₃₋₁₀)alkoxy, C₃₋₁₀ haloalkoxy, phenyl(C₁₋₄)alkoxy (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), C₃₋₇ cycloalkyloxy (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), C₃₋₁₀ alkenyloxy, C₃₋₁₀ alkynyloxy, SH, C₃₋₁₀ alkylthio, C₃₋₁₀ haloalkylthio, phenyl(C₁₋₄)alkylthio (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl(C₁₋₆)alkylthio, phenylthio (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, phenylsulfonyl (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl, phenyldi(C₁₋₄)alkylsilyl, (C₁₋₄)alkyldiarylsilyl, triphenylsilyl, C₃₋₁₀ alkylcarbonyl, HO₂C, C₃₋₁₀ alkoxy carbonyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)-aminocarbonyl, N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkylcarbonyloxy, phenylcarbonyloxy (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), di(C₁₋₆)alkylaminocarbonyloxy, phenyl (itself optionally substituted by C₁₋₆ alkyl or halogen), heteroaryl (itself optionally substituted by C₁₋₆ alkyl or halogen), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen), phenoxy (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), heteroaryloxy, (where the heteroaryl group is optionally substituted by C₁₋₆ alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆) alkylamino, C₁₋₆ alkylcarbonylamino and N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino.

More preferred substituents on an alkyl, alkenyl and alkynyl moiety include one or more of halogen, nitro, cyano, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₃₋₁₀ alkoxy, C₃₋₁₀ alkoxy(C₃₋₁₀)alkoxy, C₁₋₆ alkoxy-carbonyl(C₃₋₁₀)alkoxy, C₃₋₁₀ haloalkoxy, SH, C₃₋₁₀ alkylthio, C₃₋₁₀ haloalkylthio, C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, phenylsulfonyl (where

the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), HO₂C, C₃₋₁₀ alkoxy, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, heteroaryl (itself optionally substituted by C₁₋₆ alkyl or halogen), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen), phenyloxy (where the phenyl group is optionally substituted by C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino and di(C₁₋₆) alkylamino.

Aryl includes naphthyl, anthracyl, fluorenyl and indenyl but is preferably phenyl.

The term heteroaryl refers to an aromatic ring containing up to 10 atoms including one or more heteroatoms (preferably one or two heteroatoms) selected from O, S and N. Examples of such rings include pyridine, pyrimidine, furan, quinoline, quinazoline, pyrazole, thiophene, thiazole, oxazole and isoxazole.

The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include C₁₋₆ alkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on heteroaryl and aryl rings are selected, independently, from halogen, nitro, cyano, NCS-, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy-(C₁₋₆)alkyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl (itself optionally substituted with C₁₋₆ alkyl or halogen), C₅₋₇ cycloalkenyl (itself optionally substituted with C₁₋₆ alkyl or halogen), hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkoxy(C₁₋₁₀)alkoxy, tri(C₁₋₄)alkyl-silyl(C₁₋₆)alkoxy, C₁₋₆ alkoxy, C₁₋₁₀ haloalkoxy, aryl(C₁₋₄)alkoxy (where the aryl group is optionally substituted), C₃₋₇ cycloalkyloxy (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), C₁₋₁₀ alkenyloxy, C₁₋₁₀ alkynyloxy, SH, C₁₋₁₀ alkylthio, C₁₋₁₀ haloalkylthio, aryl(C₁₋₄)alkylthio (where the aryl group may be further optionally substituted), C₃₋₇ cycloalkylthio (where the cycloalkyl group is optionally substituted with C₁₋₆ alkyl or halogen), tri(C₁₋₄)alkylsilyl(C₁₋₆)alkylthio, arylthio (where the aryl group is optionally substituted), C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, arylsulfonyl (where the aryl group is optionally substituted), tri(C₁₋₄)alkylsilyl, aryl-di(C₁₋₄)alkylsilyl, (C₁₋₄)alkyl-diarylsilyl, triarylsilyl, C₁₋₁₀ alkylcarbonyl, HO₂C, C₁₋₁₀ alkoxy, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di(C₁₋₆ alkyl)aminocarbonyl,

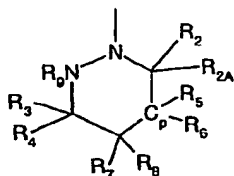
N-(C₁₋₃ alkyl)-N-(C₁₋₃ alkoxy)aminocarbonyl, C₁₋₆ alkylcarbonyloxy, arylcarbonyloxy (where the aryl group is optionally substituted), di(C₁₋₆)alkylamino-carbonyloxy, aryl (itself optionally substituted), heteroaryl (which itself may be further optionally substituted), heterocyclyl (itself optionally substituted with C₁₋₆ alkyl or halogen),
 5 aryloxy (where the aryl group is optionally substituted), heteroaryloxy (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with C₁₋₆ alkyl or halogen), amino, C₁₋₆ alkylamino, di(C₁₋₆)alkylamino, C₁₋₆ alkylcarbonylamino and N-(C₁₋₆)alkylcarbonyl-N-(C₁₋₆)alkylamino.

For substituted phenyl and heteroaryl moieties it is preferred that one or more
 10 substituents are independently selected from halogen, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ alkoxy(C₁₋₆)alkyl, C₁₋₆ alkoxy, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ haloalkylsulfinyl, C₁₋₆ alkylsulfonyl, C₁₋₆ haloalkylsulfonyl, C₂₋₆ alkenyl, C₂₋₆ haloalkenyl, C₂₋₆ alkynyl, C₃₋₇ cycloalkyl, nitro, cyano, CO₂H, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, R₃₃R₃₄N or R₃₅R₃₆NC(O); wherein R₃₃, R₃₄, R₃₅ and
 15 R₃₆ are, independently, hydrogen or C₁₋₆ alkyl.

In the context of the specification the term halogen is fluorine, bromine, iodine or preferably chlorine; similarly haloalkyl is preferably C₁-C₆-alkyl, more preferably lower alkyl, that is linear or branched and is substituted by one or more, for example in the case of halo-ethyl up to five, halogen atoms, especially fluorine (an example is
 20 trifluoromethyl).

Haloalkoxy is preferably C₁-C₆-alkoxy, more preferably lower alkoxy, that is linear or branched and that is substituted by one or more, for example in the case of halo-ethyl up to five, halogen atoms, especially fluorine; trifluoromethoxy and 1,1,2,2-tetrafluoroethoxy are especially preferred.

25 The moiety attached to the 2-position of the pyridine ring in the compounds of the invention, namely the moiety



includes 5- and 6-membered ring systems, which are common in the art of heterocycles. Thus examples of the moieties include 2,4-dihydro-pyrazol-3-ones, 2,4-dihydro-pyrazole-3-thione, 1H-pyrazoles, 2H-pyridazin-3-ones, 4,5-dihydro-2H-pyridazin-3-
 30

ones, 1,2-dihydro-pyrazol-3-ones, 1,2-dihydro-pyrazole-3-thione, pyrazolidin-3-one, pyrazolidine-3-thione, 2H-pyridazin-3-thione and 4,5-dihydro-2H-pyridazin-3-thione.

More preferred ring systems for the moiety positioned at the 2-position of the pyridyl ring are those selected from the group comprising, 1H-pyrazoles, 2,4-dihydro-
5 pyrazol-3-ones, 1,2-dihydro-pyrazol-3-ones, 4,5-dihydro-2H-pyridazin-3-ones.

The compounds of formula I can form acid addition salts, for example with inorganic acids, such as hydrochloric acid, sulfuric acid or a phosphoric acid, or with suitable organic carboxylic or sulfonic acids, for example aliphatic mono- or di-carboxylic acids, such as trifluoroacetic acid, acetic acid, propionic acid, glycolic acid,
10 succinic acid, maleic acid, fumaric acid, hydroxymaleic acid, malic acid, tartaric acid, citric acid, oxalic acid or amino acids, such as arginine or lysine, aromatic carboxylic acids, such as benzoic acid, 2-phenoxy-benzoic acid, 2-acetoxy-benzoic acid, salicylic acid, 4-aminosalicylic acid, aromatic-aliphatic carboxylic acids, such as mandelic acid or cinnamic acid, heteroaromatic carboxylic acids, such as nicotinic acid or isonicotinic
15 acid, aliphatic sulfonic acids, such as methane-, ethane- or 2-hydroxy-ethane-sulfonic acid, or aromatic sulfonic acids, for example benzene-, p-toluene- or naphthalene-2-sulfonic acid.

The pyridine-N-oxides of formula I can form acid addition salts with strong acids, such as hydrochloric acid, nitric acid, phosphoric acid or sulfonic acids, such as
20 benzenesulfonic acid.

Formula I according to the invention shall include all the possible isomeric forms, as well as mixtures, e.g. racemic mixtures, and any mixtures of rotamers.

In view of the close relationship between the compounds of formula I in free form and in the form of their salts, including also salts that can be used as intermediates, for
25 example in the purification of the compounds of formula I or in order to identify those compounds, herein-before and hereinafter any reference to the (free) compounds is to be understood as including also the corresponding salts, where appropriate and expedient.

Among the compounds of formula I according to the present invention the following groups of compounds are preferred. These groups are in any combination those
30 wherein

n is 0;

p is 0 or 1;

m is 1, 2 or 3 or m is 1 and R₁ is preferably at the 3- or 4- position of the phenyl ring,

preferably at the 3- position.

R_1 is selected from the group comprising halogen, C_{1-3} haloalkoxy, $CH(OH)R$, COR , SO_2NRR' , $CH(NR'R'')R$, $COORa$ or $CONRbRc$ where Ra , Rb , Rc , R , R' , R'' are independently H or lower alkyl or

- 5 R_1 is selected from the group comprising chlorine, fluorine, trifluoromethyl, trifluoromethoxy, or 1,1,2,2-tetrafluoroethoxy, or

R_1 is 3-chloro;

R_2 is selected from the group comprising hydrogen, methyl, ethyl, methoxy, methoxymethyl, ethoxymethyl, or

- 10 R_2 is selected from the group comprising hydrogen, methyl or methoxy or R_2 is methyl or

the ring members CR_2R_{2A} are a carbonyl group ($C=O$) or a thiocarbonyl group ($C=S$);

R_{2A} is selected from the group comprising hydrogen, methyl, ethyl, methoxymethyl, ethoxymethyl, or

- 15 R_{2A} is hydrogen, methyl, or

R_{2A} forms a bond together with R_8 ;

R_3 and R_4 are independently selected from the group comprising hydrogen, methyl, ethyl, hydroxy, trifluoromethyl, methoxy, methoxymethyl, ethoxymethyl, or

- 20 R_3 and R_4 are independently selected from the group comprising hydrogen methyl or methoxy or

R_3 and R_4 are independently hydrogen or methyl or

the ring members CR_3R_4 are a carbonyl group ($C=O$) or a thiocarbonyl group ($C=S$); or R_4 together with either R_9 or R_8 forms a bond;

R_5 , R_6 , R_7 , R_8 are each independently hydrogen, methyl, trifluoromethyl,

- 25 R_6 and R_7 may also be independently chloro, methoxy, ethoxy, diethylamine

R_7 may also be formyl or

the groups R_7 and R_8 together with the carbon atom to which they are attached form a cyclopropyl ring or

R_5 together with R_8 form a bond or

- 30 R_5 , R_6 , R_7 , R_8 are each independently hydrogen, methyl;

R_9 is hydrogen or methyl;

R_{10} is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, or

R₁₀ is hydrogen or methoxymethyl.

In a further group of preferred compounds R₂, R_{2A}, R₃, R₄, R₅, R₆, R₇, R₈ and R₉ independently of each other are hydrogen or methyl;

In a further group of preferred compounds R₇ is hydrogen, methyl, ethyl, allyl,
 5 propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, more preferably hydrogen or methoxymethyl.

Preferred individual compounds of the formula I are:

- (3-Chloro-phenyl)-{4-[2-(3,4,5-trimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 10 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 15 (3-Chloro-phenyl)-{4-[2-(5-ethoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 20 2-{4-[2-[(3-Chloro-phenyl)-methoxymethyl-amino]-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1-ethyl-4,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 25 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
- 30 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione;

- 5-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-7-methyl-5,6-diaza-spiro[2.4]hept-6-en-4-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-ethyl-4,5-dimethyl-2,4-dihydro-pyrazol-3-one;
- 5 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one;
- 10 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
- 15 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one;
- 20 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one;
- 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one;
- 25 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
- 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-one;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 30 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1-methyl-1,2-dihydro-pyrazol-3-one;

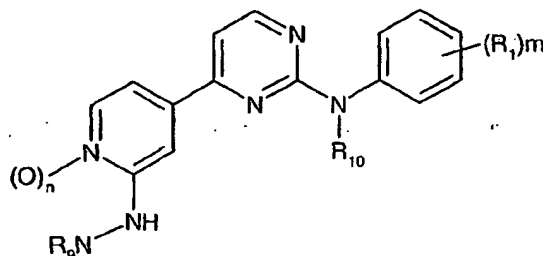
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-3-oxo-2,3-dihydro-1H-pyrazole-4-carbaldehyde;

- 5 5-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-(oxetan-3-yloxy)-2H-pyridazin-3-one; and

4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-(tetrahydro-furan-2-ylmethoxy)-2H-pyridazin-3-one.

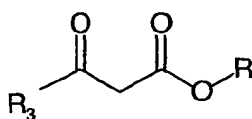
- 10 The compounds according to the invention may be prepared according to methods per se known in the art (this does mean, however, that, where novel compounds are produced, the respective process of manufacture is also novel). The procedures for the preparation of compounds of formula I may be outlined as follows:

A) reacting a compound of the formula (II)

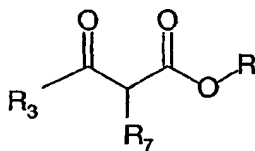


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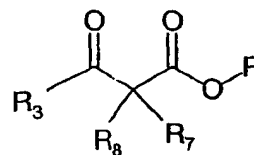
(or a salt thereof) with β -ketoester of the formula III to V under acid catalysed conditions



III

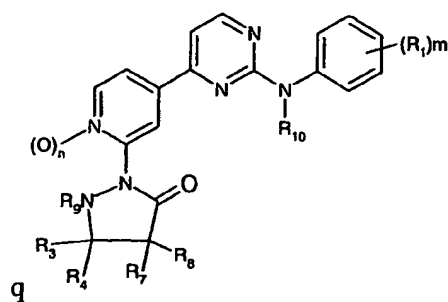


IV



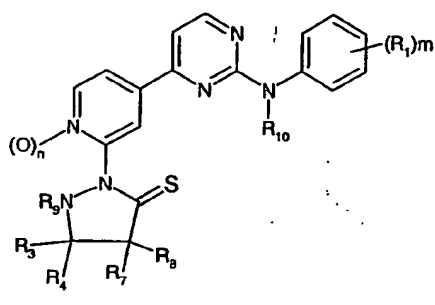
V

- 20 wherein R is H or optionally substituted alkyl and the other moieties in II to V have the meanings given for a compound of formula I thus obtaining a compound of the sub-formula Ia

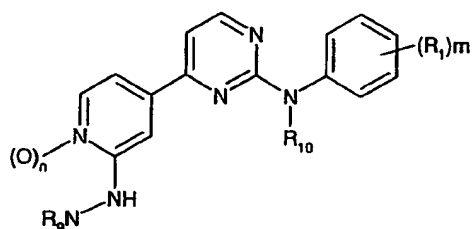


Compounds of formula II may be prepared by the methods described in WO 01/93682 and illustrated in Synthesis Example 1.

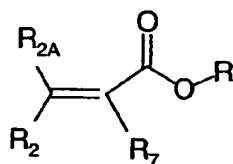
- 5 B) reacting a compound of subformula Ia with a thionating reagent such as for example Lawesson reagent to obtain a compound of subformula Ib



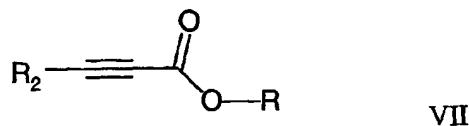
- C) compounds of sub-formula Ia and Ib can be mono- or bis-alkylated to form compounds of structure I wherein p is 0 and all the other moieties have the meanings given for a compound of formula I
- 10 D) reacting a compound of the formula II (or a salt thereof)



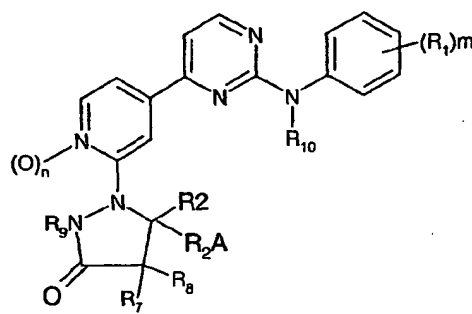
with a substituted acrylate of formula VI



or with an alkyl propiolate of formula VII

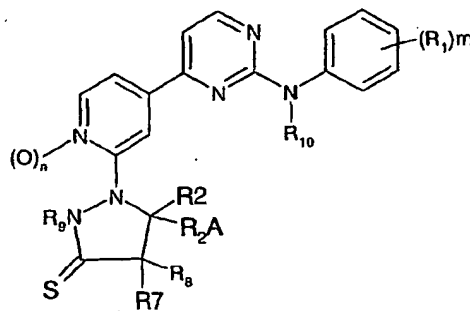


thus obtaining a compound of subformula Ic wherein the moieties have the meanings given for a compound of formula I



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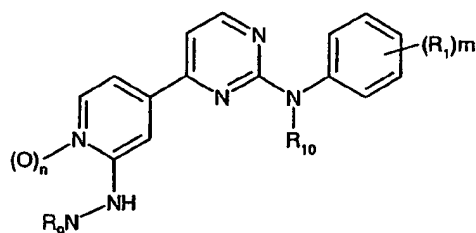
E) Conversion of the C=O group into the corresponding C=S group in subformula Ic can be achieved by reacting Ic with a thionating reagent such as e.g. Lawesson reagent thus producing compounds of subformula Id



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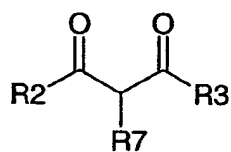
F) compounds of subformula Ic and Id can be alkylated to form compounds of structure I wherein p is 0, R3 is optionally substituted alkoxy or optionally substituted alkylthio and all the other moieties have the meanings given for a compound of formula I

15 G) reacting a compound of the formula II (or a salt thereof)



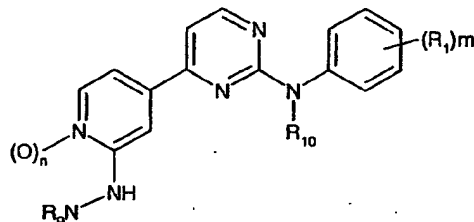
II

with a substituted 1,3 dicarbonyl compound of formula VIII



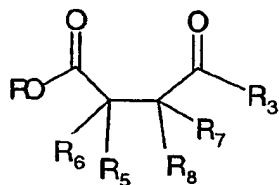
VIII

H) reacting a compound of the formula II (or a salt thereof)

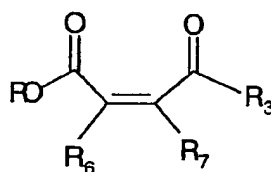


II

with a 1,4 dicarbonyl compounds of formula IX or X wherein R is H or optionally substituted alkyl

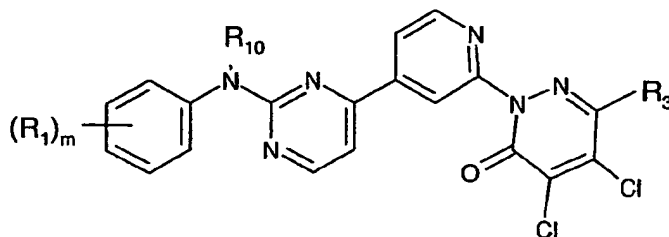


IX



X

I) reacting a compound of the formula I.6 (or a salt thereof)



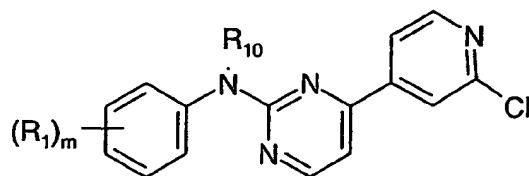
I.6

With a nucleophile to form compounds of formula I

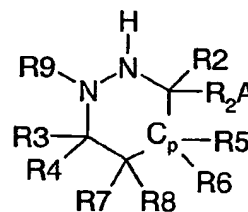
Compounds of formula I.6 are prepared by the methods of W Davey and D J Tivey, J

Chem Soc 1958, p1230 and illustrated in Example 7.

J) reacting a compound of the formula XI (or a salt thereof) with a cyclic hydrazine system of formula XII in the presence of a base and a metal catalyst, such as palladium(II) or palladium(0) complexes commonly used for Buchwald-Hartwig aminations



XI



XII

The R group moieties in compounds VIII, IX, X, XI and XII are as for those defined for compounds of formula I.

Compounds of formula III to XII inclusive are known compounds or may be prepared by compounds known processes.

The reaction types A to J and additional methods which can be applied per se or as analogous procedures for the synthesis of compounds of formula I are described for example in:

For 5-membred heterocycles:

- 15 J. Bernstein; et al.; J. Am. Chem. Soc. **1947**, 69, 1157;
H. Priewe, A. Poljak; Chem. Ber. **1955**, 88, 1932;
Patent Application CH 77-10606 19770831 (1982) ;
EP 0 680 954 A2 ;

For 6 membred heterocycles

- 20 Francis, John E.; Doebel, Karl. J.; Schutte, Paula M. Bachmann, Ernst F. Can. J. Chem. **1982**, 60, 1214-1232. Sauter, Fritz; Stanetty, Peter; Blaschke, Alfred; Vyplel, Hermann J. Chem Miniprint, **4**, 1981, 1087-1096. Mikhailovskii, A. Chem. Heterocycl. Compd. (Engl. Trans.), **1998**, 34, 2, 163-166. J. Med. Chem. **1999**, 42, 6, 1088-1099.
Krutosikova, Alzbeta; Dandarova, Miloslava; Konecny, Vaclav;
25 Collect.Czech.Chem.Comm.; EN; **55**; 11; **1990**; 2707-2714.
Benjamin, Louis E. Earley James V. Gilman Norman W. J. Heterocyclic. Chem. **1986**, 23, 119-124. . Patent, Chem. Fabr. Schering, DE 406214. Gregory; Wiggins;

J.Chem.Soc.; 1949; 2546, 2549. Lancelot, Jean-Charles; Robba, Max; Chem.Pharm.Bull. 36; 7; 1988; 2381-2385.

Example on Phenylhydrazine : Bourel, Line; Tartar, Andre; Melnyk, Patricia; TELEAY; Tetrahedron Lett.; 37; 24; 1996; 4145-4148. Sawhney, S. N., Bhutani Sanjay, Vir, Indian
5 J.Chem.Sect.B; 26, 5; 1987, 348-350. P. Coudert, J. Couquelet, P. Tronche *J. of Heterocyclic. Chem.* 1988, 25, 799.

The chloro atoms of formula I.6 can be substituted by aryl groups under palladium catalysed conditions according to procedures described in: Bert U. W. Maes, Omar 'kyek, Janez Komrlj, Guy L. F. Lemièrre, Eddy Esmans, Jef Rozenski, Roger A. Dommissse and
10 Achiel Haemers Tetrahedron, 2001, 57(7), 1323-1330.

β-Ketoesters of formula III – V are known or can be prepared according to procedures described in:

Hyoung R.K. Synlett 1998, 789-791; Freskos J.N. Tetrahedron letters, Vol. 35, No. 6, pp. 835-838 (1994);
15 J. Chem. Soc. , Perkin Trans. 1, (4), 839-61 (1988); Bull. Soc. Chim. Belg., 94(7), 449-56 (1985);
Collins D.J. Aust. J. Chem., 43, 617-22 (1990);

Procedures for the alkylation of compounds of the subformula Ia to Id are described in the experimental section using Williamson conditions.

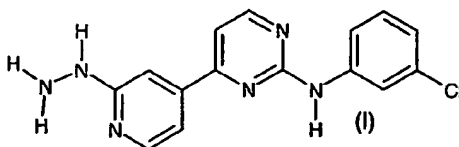
20 Conversion of C=O groups (in Ia and Ic) into C=S groups (subformulas Ib and Id) is described in the experimental section using Lawesson reagent under standard conditions or according to procedures given in
Ley, Steven V.; Leach, Andrew G.; Storer, R. Ian. J. Chem. Soc., Perkin Trans. 1 (2001), (4), 358-361.

25 Procedures for the palladium catalysed C-N linkage reaction (Burchwald-Hartwig amination) of compounds of formula XI with cyclic hydrazine ring systems of formula XII are given in the experimental part and are described in PCT/IB01/02821.

Examples:

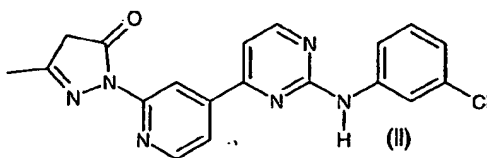
The subsequent examples are intended to illustrated the invention, without however
30 limiting the scope thereof.

Synthesis Example 1: (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine



A mixture of (3-chloro-phenyl)-[4-(2-chloro-pyridin-4-yl)-pyrimidin-2-yl]-amine (4.8g, 0.015mol) in hydrazine (20ml, 0.41mol) is refluxed for 90 minutes. The reaction is poured into ethanol (300ml) with efficient stirring. The resulting precipitate is filtered with suction to yield the title compound, m.p. 201-203°C.

Synthesis Example 2: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methyl-2,4-dihydro-pyrazol-3-one

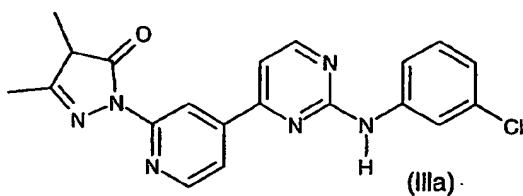


A mixture of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (3.14g, 0.010mol) and Methyl acetoacetate (1.28g, 0.011mol) in EtOH (30ml) and Acetic acid (30ml) is stirred at reflux for one hour. At room temperature the resulting precipitate is filtered with suction to yield the title compound, (3.50g, 92%) m.p. 149-150°C.

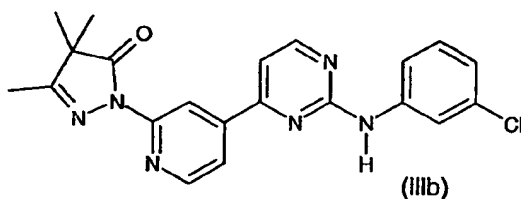
Synthesis Example 3:

A mixture of 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methyl-2,4-dihydro-pyrazol-3-one (3.42g, 0.009mol), iodomethane (2.52g, 0.018mol) and potassium carbonate anhydrous (3.78g, 0.027mol) in DMF (30ml) is stirred at room temperature for three hours. After stirring the resulting is partitioned between ethyl acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified twice by silicagel chromatography to give all possible Isomers of the title compounds IIIa to IIIf. IIIa (0.10g, 2.8%) m.p. 185-188°C, IIIb (0.29g, 8.1%) m.p. 163-166°C, IIIc (0.52g, 14.1%) m.p. 192-194°C, IIId (0.53g, 14.4%) m.p. 89-94°C, IIIe (0.29g, 8.0%) m.p. 149-150°C, IIIf (0.11g, 3.0%) m.p. 149-150°C.

Synthesis Example IIIa: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dimethyl-2,4-dihydro-pyrazol-3-one

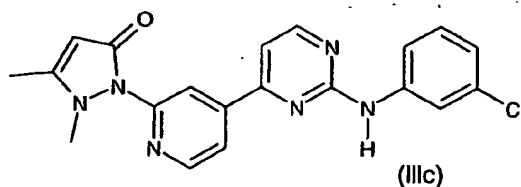


Synthesis Example IIIb: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one



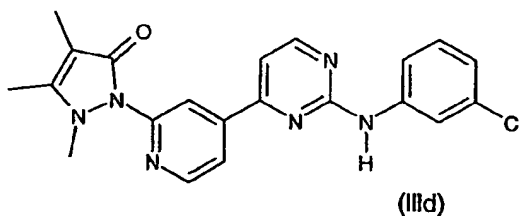
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Synthesis Example IIIc: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one

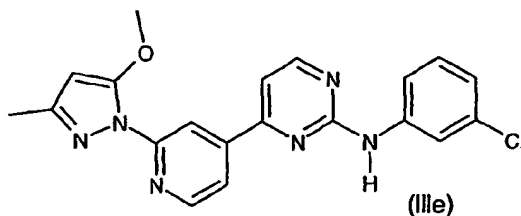


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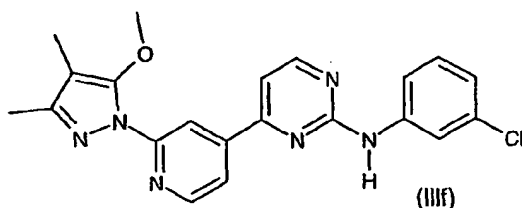
Synthesis Example IIId: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one



15 Synthesis Example IIle: (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine



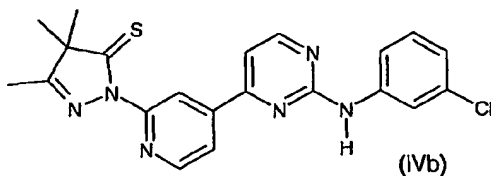
Synthesis Example IIIf: (3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine



5

Synthesis Example 4:

Synthesis Example IVb: 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione



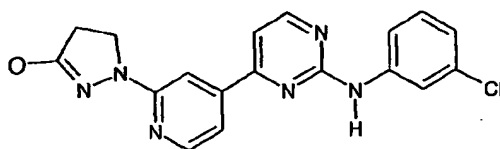
10

A mixture of 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one (0.21g, 0.0005mol) and Lawesson reagent (0.22g 0.0005mol) in toluene (3ml) is stirred at 100°C for one hour. After cooling the resulting solution is directly purified by silicagel column chromatography to the title compounds (IVb) (0.19g, 88.1%) m.p. 167-168°C,

15

Synthesis Example 5:

Synthesis Example V: 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dihydro-1H-pyrazol-3-ol



20

To a mixture of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (7.82g, 0.025mol) and Methyl acrylate (2.58g, 0.030mol) in tert BuOH (80ml) is added Potassium tert-butoxyde (5.6g, 0.05mol) in portions at 25°C. After stirring for two hours
 5 the resulting brown solution is poured in water (500ml), acidified with acetic acid and partitioned between ethyl acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified by crystallizing from acetone. The resulting precipitate is filtered with suction to yield the title compound. (1.55g, 16.9%) m.p. 222-226°C.

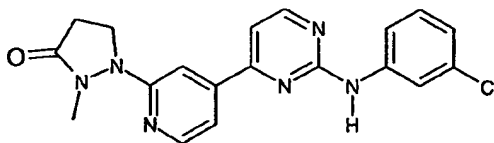
10 Synthesis Example 6:

A mixture of 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,5-dihydro-1H-pyrazol-3-ol (0.734g, 0.0020mol), iodomethane (175µl, 0.0028mol) and potassium carbonate anhydrous (0.497g, 0.0036mol) in acetonitrile (4ml) and DMF (2ml) is stirred at 45°C for seven hours. After stirring the resulting is partitioned between ethyl
 15 acetate and water. The organic phase is separated, dried over magnesium sulfate, filtered and evaporated under reduced pressure. The residue is purified by silicagel chromatography to give both possible Isomers of the title compounds.

Vla (0.192g, 25.2%) mp. 143-144°C

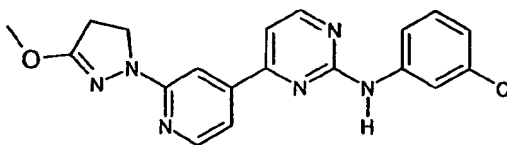
Vlb (0.036g, 4.7%) mp. 202-205°C

20 Synthesis Example VIa: 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-on

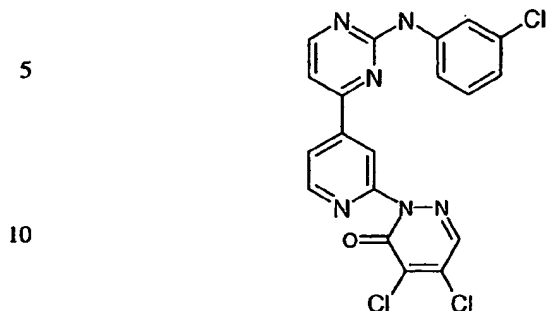


Synthesis Example VIb: (3-Chloro-phenyl)-{4-[2-(3-methoxy-4,5-dihydro-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine

25



Synthesis Example 7 : 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one

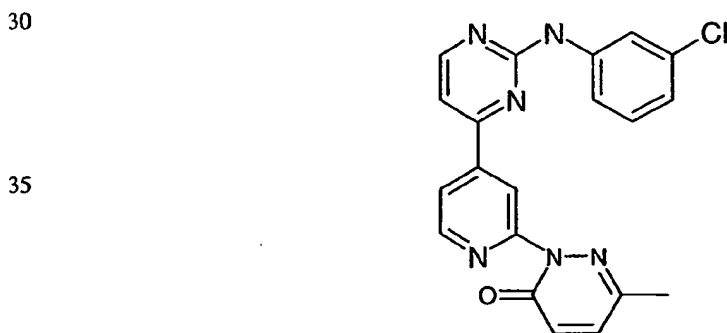


15 To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (5g) in acetic acid (80 mL) was added 2.8g of Mucochloric acid. The mixture was heated at 125°C for 4h. The solvent was concentrated and the crude was poured into water (500mL). The suspension was neutralised by addition of solid potassium carbonate until pH 7. The aqueous phase was extracted with ethyl acetate (3x 200 mL). The organic

20 phases were combined, dried over MgSO₄, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (1-0 to 1 - 1), afforded the title compound as a solid (3.11g, 44%). Mp 238-240°C, ¹H NMR (DMSO-d₆) 10.3 (1H, s, NH), 8.84 (1H, d, 5Hz), 8.76 (1H, d, 5Hz), 8.42 (1H, s), 8.38(1H, s), 8.28 (1H, dd, 2Hz, 5Hz), 8.04(1H, t, 2Hz), 7.74(1H, dd), 7.62(1H, d, 5Hz), 7.32(1H, t, 8Hz), 7.02(1H,

25 dd, 2Hz, 8Hz). ¹³CNMR (DMSO-d₆) 160.3, 160.2, 159.9, 155.5, 153.5, 150.2, 146.6, 141.7, 136.7, 136.6, 134.0, 132.9, 130.1, 121.9, 121.1, 118.7, 118.2, 117.3, 109.3.

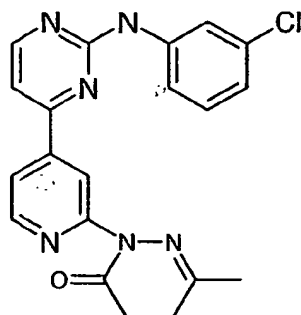
Synthesis Example 8 : 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one



To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in acetic acid (40 mL) was acetate (3x 200 mL). The organic phase were combined, dried over MgSO₄, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (1-0 to 1 – 1), afforded the title compound as a solid
 5 (1.39g, 55%). Mp 187-189°C, ¹H NMR (DMSO-d₆) 9.8 (1H, s, NH), 8.86 (1H, d, 5Hz), 8.80 (1H, d, 5Hz), 8.35 (1H, s), 8.28(1H, dd, 2Hz, 5Hz), 8.14 (1H, t, 2Hz), 7.73 (1H, m), 7.748(1H, d, 10Hz), 7.36(1H, t, 8Hz), 7.14(1H, d, 10Hz), 7.08(1H, dd, 1Hz, 7Hz), 2.39 (3H,s), ¹³CNMR (DMSO-d₆) 160.8, 160.5, 160.3, 159.0, 154.8, 150.5, 146.7, 145.4, 142.2, 135.4, 133.3, 130.8, 130.5, 121.5, 119.2, 118.6, 117.7, 109.6, 20.58.

10

Synthesis Example 9 :2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one

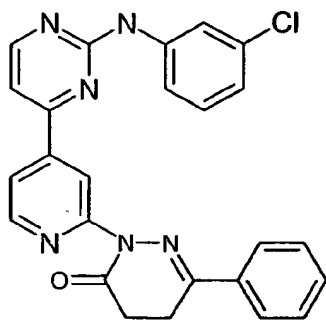


15

To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in n-Butanol (40 mL) was added of 0.744g of levulinic acid. The mixture was heated at reflux. After 3h, the mixture was cooled at 0°C and the 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-methyl-butyrac acid (1.92g,
 20 73%) was recovered by filtration. Mp 218-220°C, ¹H NMR (DMSO-d₆) 12.1 (1H, OHacid), 9.93 (1H, s, NH), 9.48 (1H, s, NH), 8.64 (1H, d, 5Hz), 8.24 (1H, d, 5Hz), 8.0 (1H, s), 7.76 (2H, m), 7.46 (1H, d, 5Hz), 7.38 (1H, dd, 2Hz, 5Hz), 7.30 (1H, t, 8Hz), 6.98 (1H, dd, 1Hz, 8Hz), 2.51 (4H, s), 1.92 (3H, s), ¹³C NMR (DMSO-d₆) 176.2, 164.6, 161.6, 161.2, 150.5, 150.1, 147.5, 144.0, 134.9, 132.1, 122.97, 120.13, 119.2, 113.7,
 25 111.1, 105.9, 35.33 (CH₂), 32.56(CH₂), 18.09 (CH₃), MS (ES-) 409 (M-1, 100), 819 (2M-1, 30). The 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-methyl-butyrac acid (1.5g) was dissolved in acetic acid (40 mL). The solution was stirred at 110°C for 3h then the solution was poured in a mixture of water

and ice (250 mL) and neutralised with a solution saturated of sodium hydrogenocarbonate until pH 7. The mixture was extracted with ethyl acetate (3x100 mL). The organic phase were combined, dried over MgSO₄, and concentrated. Flash silica chromatography, eluting with ethyl acetate-tetrahydrofuran (3-1), afforded the title compound as a solid (0.7263g, 51%). Mp 189-192°C, ¹H NMR (DMSO-d₆) 10.07(1H, NH), 8.73 (1H, d, 5Hz), 8.69 (1H, d, 5Hz), 8.16 (1H, s), 8.06 (2H, m), 7.73 (1H, dd, 3Hz, 10Hz), 7.60(1H, d, 5Hz), 7.31 (1H, t, 8Hz), 7.03(1H, dd, 3hz, 8Hz), 2.63 (4H, m), 2.07 (3H, s). ¹³C NMR (DMSO-d₆) 165.3, 160.3, 159.6, 159.3, 154.9, 153.9, 149.0, 141.1, 132.5, 129.7, 120.7, 119.2, 117.8, 117.6, 116.7, 108.66, 26.4, 25.3, 22.0. MS (ES⁺) 393 (MH⁺, 100), 785 (2MH⁺, 60).

Synthesis Example 10 : 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one



15

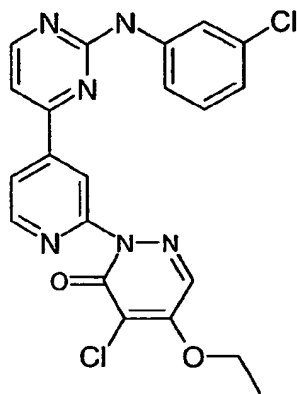
To a suspension of (3-Chloro-phenyl)-[4-(2-hydrazino-pyridin-4-yl)-pyrimidin-2-yl]-amine (2g) in n-Butanol (40 mL) was added of 1.14g of 3-benzoylpropionic acid. The mixture was heated at reflux. After 3h, the mixture was cooled at 0°C and the 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-phenyl-butyric acid (2.19g, 72%) was recovered by filtration. Mp 144-146°C, ¹H NMR (DMSO-d₆) 12.0 (1H, OH), 10.4 (1H, s, NH), 10.1 (1H, s, NH), 8.77 (1H, d, 5Hz), 8.42 (1H, d, 5Hz) 8.12 (1H, s), 8.06(1H, s), 7.80(3H, m), 7.6 (1H, d, 5Hz) 7.53 (1H, d, 5Hz), 7.45 (3H, m), 7.34 (1H, t, 8Hz), 7.08 (1H, m) 3.4 (2H, m), 2.95 (2H, m), MS (ES⁺) 473 (MH⁺, 100), . MS (ES⁻) 471 (M-1, 100). To a solution of 4-({4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-hydrazono)-4-phenyl-butyric acid (0.48g) in tetrahydrofuranne (40 mL) was additionned N, N'-dicyclohexylcarbodiimide (0.23g) and 1-Hydroxybenzotriazole (0.1401g). The solution was stirred at reflux for 2h then the solvent was evaporated. The

25

crude was chromatographed, eluting with ethyl acetate to gave the title compound as a solid (0.3366g, 78%). Mp 165-167°C, ¹H NMR (CDCl₃) 9.6 (1H, s, NH), 8.76 (1H, d, 5 Hz), 8.59 (1H, d, 5 Hz), 8.21 (1H, s), 7.86 (4H, m), 7.44 (4H, m), 7.26 (2H, m), 7.01 (1H, m), 3.18 (2H, t, 8 Hz), 2.87 (2H, t, 8 Hz). ¹³C NMR (CDCl₃) 166.3, 162.4, 160.4, 159.8, 154.8, 152.9, 150.1, 146.7, 140.9, 135.7, 134.9, 130.5, 130.3, 129.0, 126.7, 122.9, 120.1, 119.6, 118.8, 117.55, 109.6, 28.4, 23.6. MS (ES⁺) 455 (MH⁺, 100), 909 (2MH⁺, 10).

Synthesis Example 11 : 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one

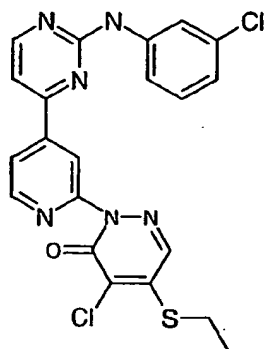
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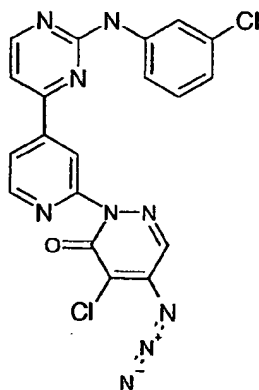
To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in ethanol (10 mL) was added of 0.220 mg of potassium carbonate. The mixture was heated to reflux for 4h. The suspension was poured into water (50 mL) and extracted with ethyl acetate (2x100mL). The organic phase were combined, dried over MgSO₄, and concentrated. Flash silica chromatography, eluting with ethyl acetate-hexane (9 : 1), afforded the title compound as a solid (0.130g, 35%). Mp 196-198°C, ¹H NMR (CDCl₃) 8.80 (1H, d, 3 Hz), 8.60 (1H, d, 6 Hz), 8.42 (1H, s), 8.02 (2H, d, m), 7.93 (1H, s), 7.46 (1H, dd, 6 Hz, 3 Hz), 7.31 (1H, m), 7.29 (1H, d, 3 Hz), 7.28 (1H, s), 4.43 (2H, q, 6 Hz), 1.56 (3H, t, 6 Hz).

Synthesis Example 12 : 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one.

25



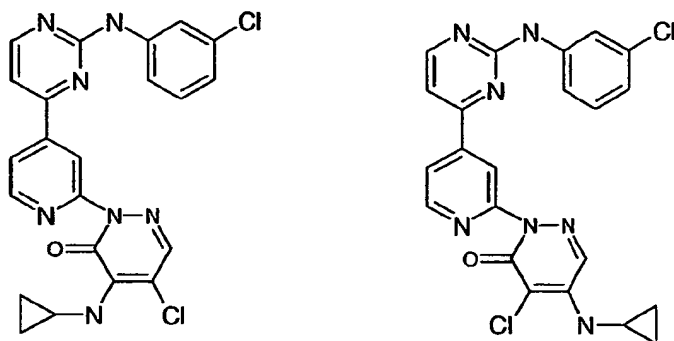
- To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in acetonitrile (10 mL) was added of 0.15 mL of ethylmercaptan and 0.280 mg of potassium carbonate. The mixture was heated to reflux for 2h. The suspension was filtered and the solid was washed with ethyl acetate to gave the title compound (0.220g, 46%). Mp 80-100°C, ¹H NMR (DMSO-d₆) 8.8 (1H, d, 3Hz), 8.59 (1H, d, 6Hz), 8.37 (1H, s, NH), 7.98 (1H, d, 6Hz, 3Hz), 7.92 (1H, t, 3Hz), 7.86 (1H, s), 7.46 (1H, d, 9Hz, 3Hz), 7.40 (1H, s), 7.27 (2H, m), 7.04 (1H, d, 9Hz), 3.12 (2H, q, 6Hz), 1.47 (3H, t, 6Hz).
- 10 Synthesis Example 13 : 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.



- 15 To a suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in acetonitrile (10 mL) was added of 0.09g of sodium azide. The mixture was heated to reflux for 4h. The suspension was filtered to give the title compound as a solid (0.280g, 95%). Mp 184-186°C, ¹H NMR (DMSO-d₆) 10.1 (1H, s, NH), 8.75 (1H, d, 6Hz), 8.67 (1H, d, 3Hz), 8.28 (1H, s), 8.27 (1H, s),

8.18(1H, dd, 1Hz, 3Hz), 7.97 (1H, m), 7.65 (1H,dd), 7.57(1H, d, 6Hz), 7.25(1H, t, 9Hz), 6.94 (1H, dd).

Synthesis Example 14 : 5-Chloro-4-cyclopropylamin-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one and 5- Cyclopropylamin -4- chloro -2-
5 {4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.

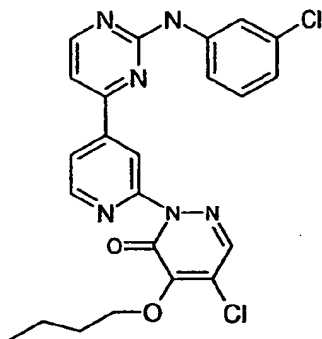


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A suspension of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.3g) in cyclopropylamine (10 mL) was heated at reflux for 2h. The solvent was evaporated under vacuum. Flash silica chromatography, eluting with ethyl acetate-hexane (1 : 1), afforded the 5-Chloro-4-cyclopropylamin-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one as a solid (Mp 117-121°C, 0.082g, 26%) and the 5- cyclopropylamin -4- chloro -2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (Mp 90-100°C, 0.180g, 58%) as a solid.

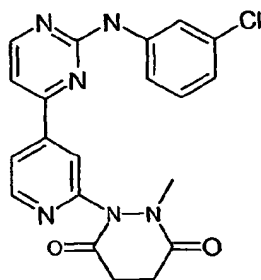
Synthesis Example 15 : 5-Chloro-4-butanol-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one.

20



To a solution of butanol (0.18 mL) in tetrahydrofuran (40 mL) was added a solution of Lithium diisopropylamide (1.5 M, 1.3 mL) at room temperature. The solution was stirred for 15 minutes followed by the addition of 4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one (0.7g). The mixture
5 was heated at 85°C for 1h. The suspension was poured into brine (200 mL) and extracted with ethyl acetate (3x100mL). The organic phase were combined, dried over MgSO₄, and concentrated under vacuum. Flash silica chromatography, eluting with ethyl acetate-cyclohexane (1:1), afforded the title compound as a solid (0.4128g, 55%). Mp 118-127°C.

10 Synthesis Example 16 : 1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-tetrahydro-pyridazine-3,6-dione.



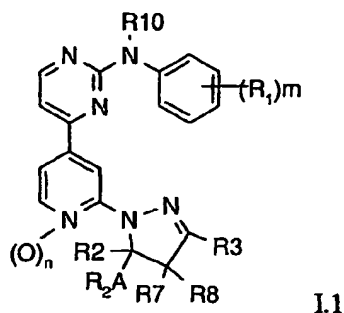
To a solution of succinic anhydride (2.16g) in chloroform (60 mL) 1.16 mL of methyl
15 hydrazine were added at room temperature. The solution was stirred 2h at room temperature then heated at reflux for 1h. The solvent was evaporated. 1g of the obtained solid was dissolved in tetrahydrofuran (10 mL) followed by the addition of 1.55g of N,N'-dicyclohexylcarbodiimide and 1.01g of 1-hydroxybenzotriazole. The mixture was heated at reflux for 1 h. The mixture was cooled to 0°C and a solution of oxalic acid
20 (0.617g) in methanol was added. The suspension was filtered and the solvent was evaporated. Flash silica chromatography, eluting with ethyl acetate-methanol (5%), afforded the 1-Methyl-tetrahydro-pyridazine-3,6-dione as a solid (0.4128g, 24%). 0.014g of Pd(dba)₃ and xantphos (0.018g) were dissolved in toluene (2 mL). The mixture was stirred at room temperature for 20 minutes. Then the 1-Methyl-tetrahydro-pyridazine-3,6-
25 dione, the (3-Chloro-phenyl)-[4-(2-chloro-pyridin-4-yl)-pyrimidin-2-yl]-amine (0.2g) and sodium terbutanolate (0.085g) were added. The mixture was heated at reflux for 2h. The suspension was poured into water (50 mL) and extracted with ethyl acetate (3x100mL). The organic phase was separated, dried over MgSO₄, filtered and

concentrated. Flash silica chromatography, eluting with ethyl acetate, afforded the title compound as a solid (0.169g, 65%). Mp 201-204°C.

The compounds in the following Tables further illustrate the invention

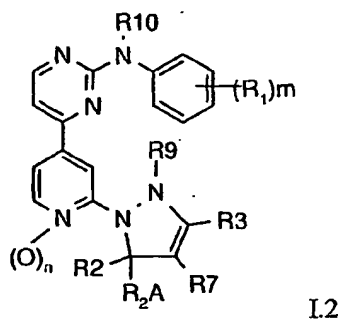
5 Table 1

Compounds of the general structure I.1 wherein R_1 to R_{10} , m , n , and p correspond with a line of table A and B1



10 Table 2

Compounds of the general structure I.2 wherein R_1 to R_{10} , m , n , and p correspond with a line of table A and B2



15 Table 3

Compounds of the general structure I.3 wherein R_1 to R_{10} , m , n , and p correspond with a line of table A and B3

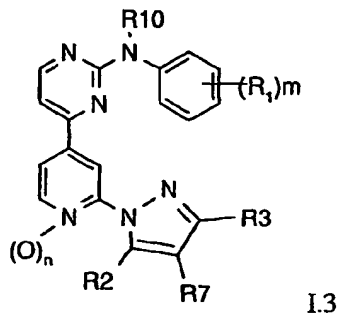


Table 4

R10



R10

R10 

15 line of table A and B7

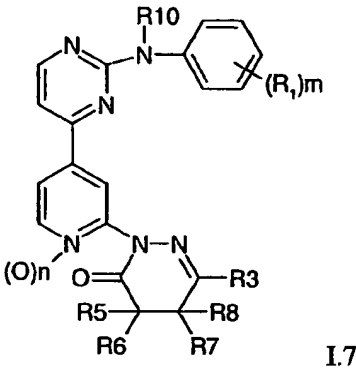
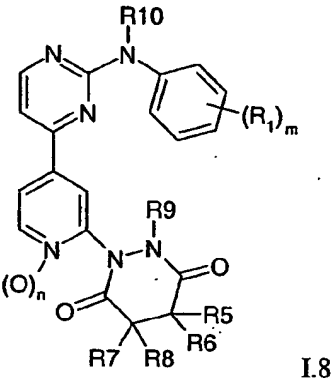


Table 8

Compounds of the general structure I.8 wherein R1 to R10, m, n, and p correspond with a line of table A and B8



Compounds of general structure I are any combination of the definitions given in Table A and the appropriate Table B, wherein n, R10, m and R1 correspond with a line of Table A and wherein R2 – R9 and p correspond with a line of the appropriate Table B.

Table A:

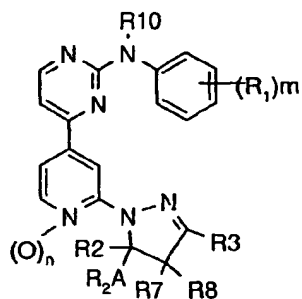
No.	n	R ₁₀	2-R ₁	3-R ₁	4-R ₁	5-R ₁	6-R ₁
001	0	CH ₃	H	OH	H	H	F
002	0	CH ₃	H	OH	H	F	H
003	0	CH ₃	CH ₃	H	H	H	H
004	0	CH ₃	H	Cl	H	H	F
005	0	CH ₃	H	Cl	H	H	CH ₃
006	0	CH ₃	H	CH ₃	Cl	H	H
007	0	CH ₃	F	H	Cl	H	H
008	0	CH ₃	H	Cl	H	H	H
009	0	CH ₃	H	C(O)H	H	H	H
010	0	CH ₃	H	CH ₂ OH	H	H	H

011	0	CH ₃	H	CH(OH)CH ₃	H	H	H
012	0	CH ₃	H	F	H	H	H
013	0	CH ₃	H	CH ₃	H	H	H
014	0	CH ₃	H	H	H	CF ₃	H
015	0	CH ₃	H	H	H	OCF ₃	H
016	0	CH ₃	H	N(CH ₃) ₂	H	H	F
017	0	CH ₃	H	SO ₂ N(CH ₃) ₂	H	H	H
018	0	CH ₃	H	H	H	CONH ₂	H
019	0	CH ₃	H	H	H	OCH ₂ CECH	H
020	0	CH ₃	H	SC ₄ H ₉	H	H	H
021	0	H	H	OH	H	F	H
022	0	H	H	OH	H	H	F
023	0	H	CH ₃	H	H	H	H
024	0	H	H	Cl	H	H	F
025	0	H	H	Cl	H	H	CH ₃
026	0	H	H	CH ₃	Cl	H	H
027	0	H	F	H	Cl	H	H
028	0	H	H	Cl	H	H	H
029	0	H	H	C(O)H	H	H	H
030	0	H	H	CH ₂ OH	H	H	H
031	0	H	H	CH(OH)CH ₃	H	H	H
032	0	H	H	F	H	H	H
033	0	H	H	CH ₃	H	H	H
034	0	H	H	H	H	CF ₃	H
035	0	H	H	H	H	OCF ₃	H
036	0	H	H	N(CH ₃) ₂	H	H	F
037	0	H	H	SO ₂ N(CH ₃) ₂	H	H	H
038	0	H	H	H	H	CONH ₂	H
039	0	H	H	H	H	OCH ₂ CECH	H
040	0	H	H	SC ₄ H ₉	H	H	H
041	0	CH ₂ OCH ₃	H	OH	H	H	F
042	0	CH ₂ OCH ₃	H	OH	H	F	H
043	0	CH ₂ OCH ₃	CH ₃	H	H	H	H
044	0	CH ₂ OCH ₃	H	Cl	H	H	F
045	0	CH ₂ OCH ₃	H	Cl	H	H	CH ₃
046	0	CH ₂ OCH ₃	H	CH ₃	Cl	H	H
047	0	CH ₂ OCH ₃	F	H	Cl	H	H
048	0	CH ₂ OCH ₃	H	Cl	H	H	H
049	0	CH ₂ OCH ₃	H	C(O)H	H	H	H
050	0	CH ₂ OCH ₃	H	CH ₂ OH	H	H	H
051	0	CH ₂ OCH ₃	H	CH(OH)CH ₃	H	H	H
052	0	CH ₂ OCH ₃	H	F	H	H	H
053	0	CH ₂ OCH ₃	H	CH ₃	H	H	H
054	0	CH ₂ OCH ₃	H	H	H	CF ₃	H
055	0	CH ₂ OCH ₃	H	H	H	OCF ₃	H
056	0	CH ₂ OCH ₃	H	N(CH ₃) ₂	H	H	F
057	0	CH ₂ OCH ₃	H	SO ₂ N(CH ₃) ₂	H	H	H

058	0	CH ₂ OCH ₃	H	H	H	CONH ₂	H
059	0	CH ₂ OCH ₃	H	H	H	OCH ₂ CECH	H
060	0	CH ₂ OCH ₃	H	SC ₄ H ₉	H	H	H
061	0	CH ₂ OCH ₃	H	OH	H	H	F
062	0	CH ₂ OCH ₃	H	Cl	H	H	H
063	0	CH ₂ OCH ₃	H	C(O)H	H	H	H
064	0	CH ₂ OCH ₃	H	CH ₂ OH	H	H	H
065	0	CH ₂ OCH ₃	H	CH(OH)CH ₃	H	H	H
066	0	CH ₂ OCH ₃	H	F	H	H	H
067	0	CH ₂ OCH ₃	H	CH ₃	H	H	H
068	0	CH ₂ OCH ₃	H	H	H	CF ₃	H
069	0	CH ₂ OCH ₃	H	H	H	OCF ₃	H
070	0	CH ₂ OCH ₃	H	N(CH ₃) ₂	H	H	F
071	0	CH ₂ OCH ₃	H	SO ₂ N(CH ₃) ₂	H	H	H
072	0	CH ₂ OCH ₃	H	H	H	CONH ₂	H
073	0	CH ₂ SCH ₃	H	OH	H	H	F
074	0	CH ₂ SCH ₃	H	Cl	H	H	H
075	0	CH ₂ SCH ₃	H	C(O)H	H	H	H
076	0	CH ₂ SCH ₃	H	CH ₂ OH	H	H	H
077	0	CH ₂ SCH ₃	H	CH(OH)CH ₃	H	H	H
078	0	CH ₂ SCH ₃	H	F	H	H	H
079	0	CH ₂ SCH ₃	H	CH ₃	H	H	H
080	0	CH ₂ SCH ₃	H	H	H	CF ₃	H
081	0	CH ₂ SCH ₃	H	H	H	OCF ₃	H
082	0	CH ₂ SCH ₃	H	N(CH ₃) ₂	H	H	F
083	0	CH ₂ SCH ₃	H	SO ₂ N(CH ₃) ₂	H	H	H
084	0	CH ₂ SCH ₃	H	H	H	CONH ₂	H
085	0	CH ₂ CH=CH ₂	H	OH	H	H	F
086	0	CH ₂ CH=CH ₂	H	Cl	H	H	H
087	0	CH ₂ CH=CH ₂	H	C(O)H	H	H	H
088	0	CH ₂ CH=CH ₂	H	CH ₂ OH	H	H	H
089	0	CH ₂ CH=CH ₂	H	CH(OH)CH ₃	H	H	H
090	0	CH ₂ CH=CH ₂	H	F	H	H	H
091	0	CH ₂ CH=CH ₂	H	CH ₃	H	H	H
092	0	CH ₂ CH=CH ₂	H	H	H	CF ₃	H
093	0	CH ₂ CH=CH ₂	H	H	H	OCF ₃	H
094	0	CH ₂ CH=CH ₂	H	N(CH ₃) ₂	H	H	F
095	0	CH ₂ CH=CH ₂	H	SO ₂ N(CH ₃) ₂	H	H	H
096	0	CH ₂ CH=CH ₂	H	H	H	CONH ₂	H
097	0	CH ₂ CECH	H	OH	H	H	F
098	0	CH ₂ CECH	H	Cl	H	H	H
099	0	CH ₂ CECH	H	C(O)H	H	H	H
100	0	CH ₂ CECH	H	CH ₂ OH	H	H	H
101	0	CH ₂ CECH	H	CH(OH)CH ₃	H	H	H
102	0	CH ₂ CECH	H	F	H	H	H
103	0	CH ₂ CECH	H	CH ₃	H	H	H
104	0	CH ₂ CECH	H	H	H	CF ₃	H

105	0	CH ₂ CECH	H	H	H	OCF ₃	H
106	0	CH ₂ CECH	H	N(CH ₃) ₂	H	H	F
107	0	CH ₂ CECH	H	SO ₂ N(CH ₃) ₂	H	H	H
108	0	CH ₂ CECH	H	H	H	CONH ₂	H
109	0	CH ₂ CECH	H	OH	H	H	F
110	0	CH ₂ Ph	H	Cl	H	H	H
111	0	CH ₂ Ph	H	C(O)H	H	H	H
112	0	CH ₂ Ph	H	CH ₂ OH	H	H	H
113	0	CH ₂ Ph	H	CH(OH)CH ₃	H	H	H
114	0	CH ₂ Ph	H	F	H	H	H
115	0	CH ₂ Ph	H	CH ₃	H	H	H
116	0	CH ₂ Ph	H	H	H	CF ₃	H
117	0	CH ₂ Ph	H	H	H	OCF ₃	H
118	0	CH ₂ Ph	H	N(CH ₃) ₂	H	H	F
119	0	CH ₂ Ph	H	SO ₂ N(CH ₃) ₂	H	H	H
120	0	H	H	Cl	CH ₃	H	H
121	0	H	H	Cl	CH ₃	H	H
122	0	H	H	Cl	OCH ₃	H	H
123	0	H	H	F	H	F	H
124	0	H	H	Cl	H	Cl	H
125	0	H	H	Br	H	H	H

Table B-1



5

I.1

No.	R ₂	R _{2A}	R ₃	R ₇	R ₈	R ₉
01	C=O	CH ₃	CH ₃	CH ₃	CH ₃	
02	C=S	CH ₃	CH ₃	CH ₃	H	
03	C=O	CH ₃	CH ₃	CH ₃	CH ₂ CH ₃	
04	C=O	CH ₃	CH ₃	CH ₂ -CH ₂		
05	C=O	CH ₃	CH ₃	CH ₃	Ph	
06	C=S	CH ₃	CH ₃	CH ₃	CH ₃	
07	C=O	H	CH ₃	CH ₃	CH ₃	
08	C=O	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃	
09	C=O	CH ₃	CH ₃	CH ₃	CH ₂ Ph	
010	C=O	CH ₃	CH ₃	CH ₂ CH ₂ OC(O)CH ₃	H	
011	C=O	CH ₃	CH ₃	CO ₂ Et	H	

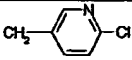
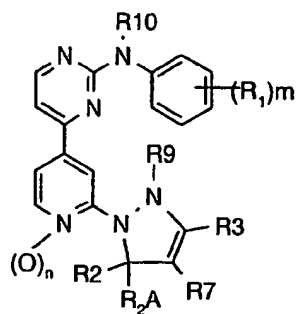
012	C=O	CH ₃	CHO	H	
013	C=O	CH ₃	CF ₃	H	
014	C=O	CF ₃	CF ₃	H	
015	C=O	CF ₃	H	H	
016	C=O	CH ₂ CH ₃	H	H	
017	C=O	CH ₂ CH ₃	CH ₃	H	
018	C=O	n-C ₄ H ₉	CH ₃	H	
019	C=O	Ph	CH ₃	H	
020	C=S	n-C ₄ H ₉	CH ₃	H	
021	C=S	CH ₃	CH ₃	CH ₂ CH ₃	
022	C=S	CH ₃	CH ₂ -CH ₂		
023	C=S	CH ₃	CH ₃	Ph	
024	C=S	H	CH ₃	CH ₃	
025	C=S	CH ₂ OCH ₃	CH ₃	CH ₃	
026	C=S	CH ₃	CH ₃	CH ₂ Ph	
027	C=S	CH ₃	CH ₂ CH ₂ OC(O)CH ₃	H	
028	C=S	CH ₃	CO ₂ Et	H	
029	C=S	CH ₃	CHO	H	
030	C=S	CH ₃	CF ₃	H	
031	C=S	CF ₃	CF ₃	H	
032	C=S	CF ₃	H	H	
033	C=S	CH ₂ CH ₃	H	H	
034	C=S	CH ₂ CH ₃	CH ₃	H	
035	C=S	Ph	CH ₃	H	
036	C=O	CH ₃	CO ₂ Et	CH ₃	
037	C=O	CH ₃	CHO	CH ₃	
038	C=O	CH ₃	CF ₃	CH ₃	
039	C=O	CF ₃	CF ₃	CH ₃	
040	C=O	CF ₃	CH ₃	H	
041	C=O	CH ₂ CH ₃	CF ₃	H	
042	C=O	CH ₂ CH ₃	CH ₃	H	
043	C=S	CH ₃	CO ₂ Et	CH ₃	
044	C=S	CH ₃	CHO	CH ₃	
045	C=S	CH ₃	CF ₃	CH ₃	
046	C=S	CF ₃	CF ₃	CH ₃	
047	C=S	CF ₃	CH ₃	H	
048	C=S	CH ₂ CH ₃	CF ₃	H	
049	C=S	CH ₂ CH ₃	CH ₃	H	
050	H	H	OCH ₃	H	H
051	H	H	OCH ₂ Ph	H	H
052	H	H	OCH ₂ CCH	H	H
053	H	H		H	H

Table B-2

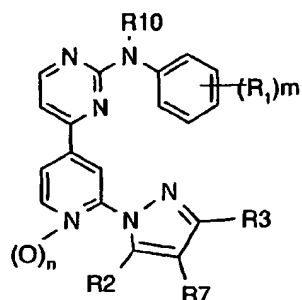


I.2

No.	R ₂	R _{2A}	R ₃	R ₇	R ₉
01	C=O		CH ₃	C(O) ₂ Me	CH ₃
02	C=O		CH ₃	CH ₃	CH ₃
03	C=O		CH ₃	H	CH ₃
04	C=O		CH ₃	CHO	CH ₃
05	C=O		H	CH ₃	CH ₃
06	C=O		CH ₃	CH ₃	CH ₂ -CH ₃
07	C=O		CH ₃	CH ₃	CH ₂ PH
08	C=O		CH ₃	H	CH ₃
09	C=O		CH ₂ OCH ₃	CH ₃	CH ₃
010	C=O		CH ₃	CH ₃	Ac
011	C=O		CH ₂ OCH ₃	H	CH ₃
012	C=S		CH ₃	H	CH ₃
013	C=S		CH ₃	C(O) ₂ Me	CH ₃
014	C=S		CH ₃	CH ₃	CH ₃
015	C=S		CH ₃	CHO	CH ₃
016	C=S		H	CH ₃	CH ₃
017	C=S		CH ₃	CH ₃	CH ₂ -CH ₃
018	C=S		CH ₃	CH ₃	CH ₂ PH
019	C=S		CH ₃	H	CH ₃
020	C=S		CH ₂ OCH ₃	CH ₃	CH ₃
021	C=S		CH ₃	CH ₃	Ac
022	C=S		CH ₂ OCH ₃	H	CH ₃
023	C=O		CH ₂ Ph	CH ₃	CH ₃
024	C=O		n-C ₄ H ₉	CH ₃	CH ₃
025	C=O		CH ₂ CH ₃	CH ₃	CH ₂ CH ₃
026	C=O		CH ₂ CH ₃	CH ₃	CH ₃
027	C=O		CF ₃	n-C ₄ H ₉	CH ₃
028	C=O		CH ₂ Ph	H	CH ₃
029	C=O		n-C ₄ H ₉	H	CH ₃
030	C=O		CH ₂ CH ₃	CH ₂ Ph	CH ₂ CH ₃
031	C=O		CH ₂ CH ₃	H	CH ₃
032	C=O		CF ₃	CH ₃	CH ₃
033	C=S		CH ₂ Ph	CH ₃	CH ₃
034	C=S		n-C ₄ H ₉	CH ₃	CH ₃
035	C=S		CH ₂ CH ₃	CH ₃	CH ₂ CH ₃

036	C=S	CH ₂ CH ₃	CH ₃	CH ₃
037	C=S	CF ₃	n-C ₄ H ₉	CH ₃
038	C=S	CH ₂ Ph	H	CH ₃
039	C=S	n-C ₄ H ₉	H	CH ₃
040	C=S	CH ₂ CH ₃	CH ₂ Ph	CH ₂ CH ₃
041	C=S	CH ₂ CH ₃	H	CH ₃
042	C=S	CF ₃	CH ₃	CH ₃

Table B-3

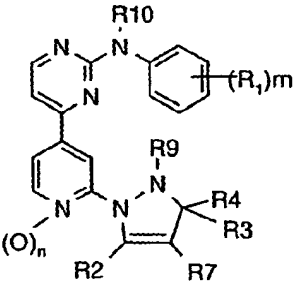


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No.	R ₂	R ₃	R ₇
01	OCH ₃	CH ₃	H
02	Oac	CH ₃	CH ₃
03	OC ₂ H ₅	CH ₃	CH ₃
04	OC ₂ H ₅	H	CH ₃
05	OC ₂ H ₅	CH ₃	H
06	OC ₂ H ₅	H	Ph
07	OC ₂ H ₅	CH ₂ OCH ₃	CH ₃
08	OC ₂ H ₅	CH ₂ OCH ₃	CH ₂ CH ₃
09	OH	CH ₂ OCH ₃	CH ₃
010	OH	CH ₂ OCH ₃	CH ₂ CH ₃
011	OH	CH ₂ OCH ₃	H
012	OCH ₃	H	CH ₃
013	OCH ₃	CH ₂ OCH ₃	CH ₃
014	OCH ₃	CH ₂ OCH ₃	H
015	OH	CH ₃	CH ₂ CH ₃
016	OH	H	CH ₃
017	CH ₃	CH ₃	CH ₃
018	OAc	CH ₃	H
019	OH	CH ₃	H
020	OCH ₂ Ph	CH ₃	CH ₃
021	SCH ₃	CH ₃	CH ₃
022	SCH ₃	CH ₃	CH ₂ CH ₃
023	SCH ₃	CH ₃	H
024	SCH ₃	CH ₃	CH ₂ CH ₃
025	SCH ₃	H	H
026	SCH ₃	H	CH ₃

027	CH ₃	CH ₃	
028	CH ₃	CH ₃	CH ₃
029	CH ₃	CH ₃	C(O) ₂ Et
030	CH(CH ₃) ₂	CH(CH ₃) ₂	H
031	CH ₃	CH ₃	Cl
032	H	OCH ₃	H
033	CH ₂ OCH ₃	H	C(O) ₂ Me
034	CH ₂ OCH ₃	H	CONHMe
035	c-C ₃ H ₅	CH ₃	H
036	i-C ₃ H ₇	CH ₃	C(O) ₂ Et
037	CH ₃	CH ₃	Ph
038	CH ₃	CF ₃	H
039	H	OH	H
040	2,4-F ₂ -Ph	C(O) ₂ Me	H
041	2,4-F ₂ -Ph	CONHMe	H
042	SCH ₃	CH ₂ OCH ₃	CH ₃
043	SCH ₃	CH ₂ OCH ₃	H
044	SH	CH ₃	CH ₂ CH ₃
045	SH	H	CH ₃
046	SCH ₃	CH ₃	H
047	SCH ₂ Ph	CH ₃	CH ₃
048	SC ₂ H ₅	CH ₃	CH ₃
049	SC ₂ H ₅	H	CH ₃
050	SC ₂ H ₅	CH ₃	H
051	SC ₂ H ₅	H	Ph
052	SC ₂ H ₅	CH ₂ OCH ₃	CH ₃
053	SC ₂ H ₅	CH ₂ OCH ₃	CH ₂ CH ₃

Table B-4



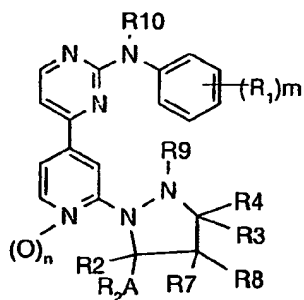
I.4

No.	R ₂	R ₃	R ₄	R ₇	R ₉
01	H	C=O	H	CH ₃	
02	H	C=O	H		
03	H	C=O	H	Benzyl	

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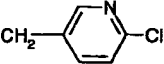
04	H	C=O	H	CH ₂ C≡CH
05	CH ₃	C=O	H	CH ₃
06	CH ₃	C=O	H	CH ₂ CH ₃
07	CH ₃	C=O	H	n-C ₄ H ₉
08	CH ₃	C=O	H	CH ₂ Ph
09	CH ₂ CH ₃	C=O	H	CH ₃
010	CH ₂ CH ₃	C=O	H	CH ₃
011	Ph	C=O	H	CH ₃
012	Ph	C=O	H	CH ₂ CH ₃
013	Ph	C=O	H	Ph
014	Ph	C=O	H	n-C ₄ H ₉
015	H	C=S	H	CH ₃
016	H	C=S	H	Benzyl
017	H	C=S	H	CH ₂ C≡CH
018	CH ₃	C=S	H	CH ₃
019	CH ₃	C=S	H	CH ₂ CH ₃
020	CH ₃	C=S	H	n-C ₄ H ₉
021	CH ₃	C=S	H	CH ₂ Ph
022	CH ₂ CH ₃	C=S	H	CH ₃
023	CH ₂ CH ₃	C=S	H	CH ₃
024	Ph	C=S	H	CH ₃
025	Ph	C=S	H	CH ₂ CH ₃
026	Ph	C=S	H	Ph
027	Ph	C=S	H	n-C ₄ H ₉

Table B-5



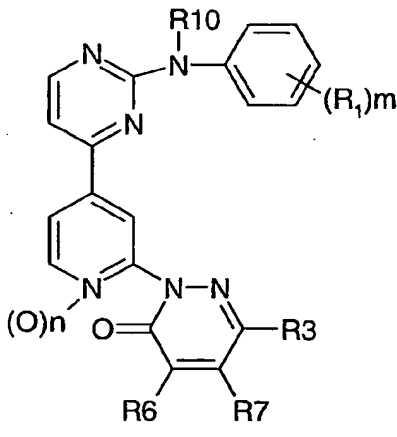
I.5

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No.	R ₂	R _{2A}	R ₃	R ₄	R ₇	R ₈	R ₉
01	H	H	C=O		H	H	CH ₃
02	H	H	C=O		H	H	CH ₂ - 
03	H	H	C=O		H	H	Benzyl
04	H	H	C=O		H	H	CH ₂ C≡CH
05	CH ₃	H	C=O		CH ₃	H	CH ₂ Ph

06	CH ₃	H	C=O	CH ₃	H	CH ₂ CECH
07	CH ₃	H	C=O	CH ₃	H	CH ₂ CH=CH ₂
08	CH ₃	H	C=O	CH ₃	H	CH ₃
09	CH ₃	H	C=O	CH ₃	H	CH ₂ CH ₃
010	CH ₃	H	C=O	H	H	CH ₂ Ph
011	CH ₃	H	C=O	H	H	CH ₂ CECH
012	CH ₃	H	C=O	H	H	CH ₂ CH=CH ₂
013	CH ₃	H	C=O	H	H	CH ₃
014	CH ₃	H	C=O	H	H	CH ₂ CH ₃
015	CH ₃	CH ₃	C=O	H	H	CH ₂ Ph
016	CH ₃	CH ₃	C=O	H	H	CH ₂ CECH
017	CH ₃	CH ₃	C=O	H	H	CH ₂ CH=CH ₂
018	CH ₃	CH ₃	C=O	H	H	CH ₃
019	CH ₃	CH ₃	C=O	H	H	CH ₂ CH ₃
020	CH ₃	H	C=S	H	H	CH ₂ Ph
021	CH ₃	H	C=S	H	H	CH ₂ CECH
022	CH ₃	H	C=S	H	H	CH ₂ CH=CH ₂
023	CH ₃	H	C=S	H	H	CH ₃
024	CH ₃	H	C=S	H	H	CH ₂ CH ₃
025	CH ₃	CH ₃	C=S	H	H	CH ₂ Ph
026	CH ₃	CH ₃	C=S	H	H	CH ₂ CECH
027	CH ₃	CH ₃	C=S	H	H	CH ₂ CH=CH ₂
028	CH ₃	CH ₃	C=S	H	H	CH ₃
029	CH ₃	CH ₃	C=S	H	H	CH ₂ CH ₃
030	C=O		H	H	H	CH ₃

Table B-6



1.6

5

	R ₃	R ₆	R ₇
1.	H	H	H
2.	H	Cl	Cl

3.	H	Cl	NHCH ₃
4.	H	Cl	NHBu
5.	H	Cl	N(CH ₃) ₂
6.	H	Cl	NBu ₂
7.	H	Cl	NCH ₃ Bu
8.	H	Cl	NEt ₂
9.	H	Cl	NEtBu
10.	H	Cl	SCH ₃
11.	H	Cl	SBu
12.	H	Cl	OCH ₃
13.	H	Cl	OBu
14.	H	Cl	CF ₃
15.	H	Cl	OPh
16.	H	Cl	CH ₂ OCH ₃
17.	H	Cl	OCF ₃
18.	H	Cl	OCF ₂ CF ₃
19.	H	Cl	Ph
20.	H	Cl	N ₃
21.	H	H	I
22.	H	H	CH ₃
23.	H	H	Bu
24.	H	H	OCH ₃
25.	H	H	OBu
26.	H	H	SCH ₃
27.	H	H	SBu
28.	H	H	NHCH ₃
29.	H	H	NHBu
30.	H	H	N(CH ₃) ₂
31.	H	H	NBu ₂
32.	H	H	NCH ₃ Bu
33.	H	H	NEt ₂
34.	H	H	NEtBu
35.	H	H	CF ₃
36.	H	H	OPh
37.	H	H	CH ₂ OCH ₃
38.	H	H	OCF ₃
39.	H	H	OCF ₂ CF ₃
40.	H	H	Ph
41.	H	H	N ₃
42.	H	CH ₃	CH ₃
43.	H	CH ₃	Bu
44.	H	CH ₃	OCH ₃
45.	H	CH ₃	OBu
46.	H	CH ₃	SCH ₃
47.	H	CH ₃	SBu
48.	H	CH ₃	NHCH ₃
49.	H	CH ₃	NHBu

50.	H	CH ₃	N(CH ₃) ₂
51.	H	CH ₃	NBu ₂
52.	H	CH ₃	NCH ₃ Bu
53.	H	CH ₃	NEt ₂
54.	H	CH ₃	NEtBu
55.	H	CH ₃	CF ₃
56.	H	CH ₃	OPh
57.	H	CH ₃	CH ₂ OCH ₃
58.	H	CH ₃	OCF ₃
59.	H	CH ₃	OCF ₂ CF ₃
60.	H	CH ₃	Ph
61.	H	CH ₃	N ₃
62.	H	nBu	CH ₃
63.	H	nBu	Bu
64.	H	nBu	OCH ₃
65.	H	nBu	OBu
66.	H	nBu	SCH ₃
67.	H	nBu	SBu
68.	H	nBu	NHCH ₃
69.	H	nBu	NHBu
70.	H	nBu	N(CH ₃) ₂
71.	H	nBu	NBu ₂
72.	H	nBu	NCH ₃ Bu
73.	H	nBu	NEt ₂
74.	H	nBu	NEtBu
75.	H	nBu	CF ₃
76.	H	nBu	OPh
77.	H	nBu	CH ₂ OCH ₃
78.	H	nBu	OCF ₃
79.	H	nBu	OCF ₂ CF ₃
80.	H	nBu	Ph
81.	H	nBu	N ₃
82.	H	I	H
83.	H	CH ₃	H
84.	H	Bu	H
85.	H	OCH ₃	H
86.	H	OBu	H
87.	H	SCH ₃	H
88.	H	SBu	H
89.	H	NHCH ₃	H
90.	H	NHBu	H
91.	H	N(CH ₃) ₂	H
92.	H	NBu ₂	H
93.	H	NCH ₃ Bu	H
94.	H	NEt ₂	H
95.	H	NEtBu	H
96.	H	CF ₃	H

97.	H	OPh	H
98.	H	CH ₂ OCH ₃	H
99.	H	OCF ₃	H
100.	H	OCF ₂ CF ₃	H
101.	H	Ph	H
102.	H	N ₃	H
103.	H	CH ₃	CH ₃
104.	H	Bu	CH ₃
105.	H	OCH ₃	CH ₃
106.	H	OBu	CH ₃
107.	H	SCH ₃	CH ₃
108.	H	SBu	CH ₃
109.	H	NHCH ₃	CH ₃
110.	H	NHBu	CH ₃
111.	H	N(CH ₃) ₂	CH ₃
112.	H	NBu ₂	CH ₃
113.	H	NCH ₃ Bu	CH ₃
114.	H	NEt ₂	CH ₃
115.	H	NEtBu	CH ₃
116.	H	CF ₃	CH ₃
117.	H	OPh	CH ₃
118.	H	CH ₂ OCH ₃	CH ₃
119.	H	OCF ₃	CH ₃
120.	H	OCF ₂ CF ₃	CH ₃
121.	H	Ph	CH ₃
122.	H	N ₃	CH ₃
123.	H	CH ₃	nBu
124.	H	nBu	nBu
125.	H	OCH ₃	nBu
126.	H	OBu	nBu
127.	H	SCH ₃	nBu
128.	H	SBu	nBu
129.	H	NHCH ₃	nBu
130.	H	NHBu	nBu
131.	H	N(CH ₃) ₂	nBu
132.	H	NBu ₂	nBu
133.	H	NCH ₃ Bu	nBu
134.	H	NEt ₂	nBu
135.	H	NEtBu	nBu
136.	H	CF ₃	nBu
137.	H	OPh	nBu
138.	H	CH ₂ OCH ₃	nBu
139.	H	OCF ₃	nBu
140.	H	OCF ₂ CF ₃	nBu
141.	H	Ph	nBu
142.	H	N ₃	nBu
143.	H	NHCH ₃	Cl

144.	H	NHBu	Cl
145.	H	N(CH ₃) ₂	Cl
146.	H	NBu ₂	Cl
147.	H	NCH ₃ Bu	Cl
148.	H	NEt ₂	Cl
149.	H	NEtBu	Cl
150.	H	SCH ₃	Cl
151.	H	SBu	Cl
152.	H	OCH ₃	Cl
153.	H	OBu	Cl
154.	H	CF ₃	Cl
155.	H	OPh	Cl
156.	H	CH ₂ OCH ₃	Cl
157.	H	OCF ₃	Cl
158.	H	OCF ₂ CF ₃	Cl
159.	H	Ph	Cl
160.	H	N ₃	Cl
161.	H	NHCH ₃	NHCH ₃
162.	H	NHBu	NHBu
163.	H	N(CH ₃) ₂	N(CH ₃) ₂
164.	H	NBu ₂	NBu ₂
165.	H	NCH ₃ Bu	NCH ₃ Bu
166.	H	NEt ₂	NEt ₂
167.	H	NEtBu	NEtBu
168.	H	SCH ₃	SCH ₃
169.	H	SBu	SBu
170.	H	OCH ₃	OCH ₃
171.	H	OBu	OBu
172.	H	CF ₃	CF ₃
173.	H	OPh	OPh
174.	H	CH ₂ OCH ₃	CH ₂ OCH ₃
175.	H	OCF ₃	OCF ₃
176.	H	OCF ₂ CF ₃	OCF ₂ CF ₃
177.	H	Ph	Ph
178.	H	N ₃	N ₃
179.	CH ₃	H	H
180.	CH ₃	Cl	Cl
181.	CH ₃	Cl	NHCH ₃
182.	CH ₃	Cl	NHBu
183.	CH ₃	Cl	N(CH ₃) ₂
184.	CH ₃	Cl	NBu ₂
185.	CH ₃	Cl	NCH ₃ Bu
186.	CH ₃	Cl	NEt ₂
187.	CH ₃	Cl	NEtBu
188.	CH ₃	Cl	SCH ₃
189.	CH ₃	Cl	SBu
190.	CH ₃	Cl	OCH ₃

191.	CH ₃	Cl	OBu
192.	CH ₃	Cl	CF ₃
193.	CH ₃	Cl	OPh
194.	CH ₃	Cl	CH ₂ OCH ₃
195.	CH ₃	Cl	OCF ₃
196.	CH ₃	Cl	OCF ₂ CF ₃
197.	CH ₃	Cl	Ph
198.	CH ₃	Cl	N ₃
199.	CH ₃	H	I
200.	CH ₃	H	CH ₃
201.	CH ₃	H	Bu
202.	CH ₃	H	OCH ₃
203.	CH ₃	H	OBu
204.	CH ₃	H	SCH ₃
205.	CH ₃	H	SBu
206.	CH ₃	H	NHCH ₃
207.	CH ₃	H	NHBu
208.	CH ₃	H	N(CH ₃) ₂
209.	CH ₃	H	NBu ₂
210.	CH ₃	H	NCH ₃ Bu
211.	CH ₃	H	NEt ₂
212.	CH ₃	H	NEtBu
213.	CH ₃	H	CF ₃
214.	CH ₃	H	OPh
215.	CH ₃	H	CH ₂ OCH ₃
216.	CH ₃	H	OCF ₃
217.	CH ₃	H	OCF ₂ CF ₃
218.	CH ₃	H	Ph
219.	CH ₃	H	N ₃
220.	CH ₃	CH ₃	CH ₃
221.	CH ₃	CH ₃	Bu
222.	CH ₃	CH ₃	OCH ₃
223.	CH ₃	CH ₃	OBu
224.	CH ₃	CH ₃	SCH ₃
225.	CH ₃	CH ₃	SBu
226.	CH ₃	CH ₃	NHCH ₃
227.	CH ₃	CH ₃	NHBu
228.	CH ₃	CH ₃	N(CH ₃) ₂
229.	CH ₃	CH ₃	NBu ₂
230.	CH ₃	CH ₃	NCH ₃ Bu
231.	CH ₃	CH ₃	NEt ₂
232.	CH ₃	CH ₃	NEtBu
233.	CH ₃	CH ₃	CF ₃
234.	CH ₃	CH ₃	OPh
235.	CH ₃	CH ₃	CH ₂ OCH ₃
236.	CH ₃	CH ₃	OCF ₃
237.	CH ₃	CH ₃	OCF ₂ CF ₃

238.	CH ₃	CH ₃	Ph
239.	CH ₃	CH ₃	N ₃
240.	CH ₃	nBu	CH ₃
241.	CH ₃	nBu	Bu
242.	CH ₃	nBu	OCH ₃
243.	CH ₃	nBu	OBu
244.	CH ₃	nBu	SCH ₃
245.	CH ₃	nBu	SBu
246.	CH ₃	nBu	NHCH ₃
247.	CH ₃	nBu	NHBu
248.	CH ₃	nBu	N(CH ₃) ₂
249.	CH ₃	nBu	NBu ₂
250.	CH ₃	nBu	NCH ₃ Bu
251.	CH ₃	nBu	NEt ₂
252.	CH ₃	nBu	NEtBu
253.	CH ₃	nBu	CF ₃
254.	CH ₃	nBu	OPh
255.	CH ₃	nBu	CH ₂ OCH ₃
256.	CH ₃	nBu	OCF ₃
257.	CH ₃	nBu	OCF ₂ CF ₃
258.	CH ₃	nBu	Ph
259.	CH ₃	nBu	N ₃
260.	CH ₃	I	H
261.	CH ₃	CH ₃	H
262.	CH ₃	Bu	H
263.	CH ₃	OCH ₃	H
264.	CH ₃	OBu	H
265.	CH ₃	SCH ₃	H
266.	CH ₃	SBu	H
267.	CH ₃	NHCH ₃	H
268.	CH ₃	NHBu	H
269.	CH ₃	N(CH ₃) ₂	H
270.	CH ₃	NBu ₂	H
271.	CH ₃	NCH ₃ Bu	H
272.	CH ₃	NEt ₂	H
273.	CH ₃	NEtBu	H
274.	CH ₃	CF ₃	H
275.	CH ₃	OPh	H
276.	CH ₃	CH ₂ OCH ₃	H
277.	CH ₃	OCF ₃	H
278.	CH ₃	OCF ₂ CF ₃	H
279.	CH ₃	Ph	H
280.	CH ₃	N ₃	H
281.	CH ₃	CH ₃	CH ₃
282.	CH ₃	Bu	CH ₃
283.	CH ₃	OCH ₃	CH ₃
284.	CH ₃	OBu	CH ₃

285.	CH ₃	SCH ₃	CH ₃
286.	CH ₃	SBu	CH ₃
287.	CH ₃	NHCH ₃	CH ₃
288.	CH ₃	NHBu	CH ₃
289.	CH ₃	N(CH ₃) ₂	CH ₃
290.	CH ₃	NBu ₂	CH ₃
291.	CH ₃	NCH ₃ Bu	CH ₃
292.	CH ₃	NEt ₂	CH ₃
293.	CH ₃	NEtBu	CH ₃
294.	CH ₃	CF ₃	CH ₃
295.	CH ₃	OPh	CH ₃
296.	CH ₃	CH ₂ OCH ₃	CH ₃
297.	CH ₃	OCF ₃	CH ₃
298.	CH ₃	OCF ₂ CF ₃	CH ₃
299.	CH ₃	Ph	CH ₃
300.	CH ₃	N ₃	CH ₃
301.	CH ₃	CH ₃	nBu
302.	CH ₃	Bu	nBu
303.	CH ₃	OCH ₃	nBu
304.	CH ₃	OBu	nBu
305.	CH ₃	SCH ₃	nBu
306.	CH ₃	SBu	nBu
307.	CH ₃	NHCH ₃	nBu
308.	CH ₃	NHBu	nBu
309.	CH ₃	N(CH ₃) ₂	nBu
310.	CH ₃	NBu ₂	nBu
311.	CH ₃	NCH ₃ Bu	nBu
312.	CH ₃	NEt ₂	nBu
313.	CH ₃	NEtBu	nBu
314.	CH ₃	CF ₃	nBu
315.	CH ₃	OPh	nBu
316.	CH ₃	CH ₂ OCH ₃	nBu
317.	CH ₃	OCF ₃	nBu
318.	CH ₃	OCF ₂ CF ₃	nBu
319.	CH ₃	Ph	nBu
320.	CH ₃	N ₃	nBu
321.	CH ₃	NHCH ₃	Cl
322.	CH ₃	NHBu	Cl
323.	CH ₃	N(CH ₃) ₂	Cl
324.	CH ₃	NBu ₂	Cl
325.	CH ₃	NCH ₃ Bu	Cl
326.	CH ₃	NEt ₂	Cl
327.	CH ₃	NEtBu	Cl
328.	CH ₃	SCH ₃	Cl
329.	CH ₃	SBu	Cl
330.	CH ₃	OCH ₃	Cl
331.	CH ₃	OBu	Cl

332.	CH ₃	CF ₃	Cl
333.	CH ₃	OPh	Cl
334.	CH ₃	CH ₂ OCH ₃	Cl
335.	CH ₃	OCF ₃	Cl
336.	CH ₃	OCF ₂ CF ₃	Cl
337.	CH ₃	Ph	Cl
338.	CH ₃	N ₃	Cl
339.	CH ₃	NHCH ₃	NHCH ₃
340.	CH ₃	NHBu	NHBu
341.	CH ₃	N(CH ₃) ₂	N(CH ₃) ₂
342.	CH ₃	NBu ₂	NBu ₂
343.	CH ₃	NCH ₃ Bu	NCH ₃ Bu
344.	CH ₃	NEt ₂	NEt ₂
345.	CH ₃	NEtBu	NEtBu
346.	CH ₃	SCH ₃	SCH ₃
347.	CH ₃	SBu	SBu
348.	CH ₃	OCH ₃	OCH ₃
349.	CH ₃	OBu	OBu
350.	CH ₃	CF ₃	CF ₃
351.	CH ₃	OPh	OPh
352.	CH ₃	CH ₂ OCH ₃	CH ₂ OCH ₃
353.	CH ₃	OCF ₃	OCF ₃
354.	CH ₃	OCF ₂ CF ₃	OCF ₂ CF ₃
355.	CH ₃	Ph	Ph
356.	CH ₃	N ₃	N ₃
357.	nBu	H	H
358.	nBu	Cl	Cl
359.	nBu	Cl	NHCH ₃
360.	nBu	Cl	NHBu
361.	nBu	Cl	N(CH ₃) ₂
362.	nBu	Cl	NBu ₂
363.	nBu	Cl	NCH ₃ Bu
364.	nBu	Cl	NEt ₂
365.	nBu	Cl	NEtBu
366.	nBu	Cl	SCH ₃
367.	nBu	Cl	SBu
368.	nBu	Cl	OCH ₃
369.	nBu	Cl	OBu
370.	nBu	Cl	CF ₃
371.	nBu	Cl	OPh
372.	nBu	Cl	CH ₂ OCH ₃
373.	nBu	Cl	OCF ₃
374.	nBu	Cl	OCF ₂ CF ₃
375.	nBu	Cl	Ph
376.	nBu	Cl	N ₃
377.	nBu	H	I
378.	nBu	H	CH ₃

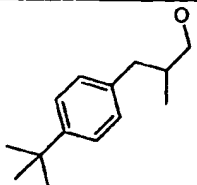
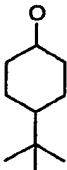



379.	nBu	H	Bu
380.	nBu	H	OCH ₃
381.	nBu	H	OBu
382.	nBu	H	SCH ₃
383.	nBu	H	SBu
384.	nBu	H	NHCH ₃
385.	nBu	H	NHBu
386.	nBu	H	N(CH ₃) ₂
387.	nBu	H	NBu ₂
388.	nBu	H	NCH ₃ Bu
389.	nBu	H	NEt ₂
390.	nBu	H	NEtBu
391.	nBu	H	CF ₃
392.	nBu	H	OPh
393.	nBu	H	CH ₂ OCH ₃
394.	nBu	H	OCF ₃
395.	nBu	H	OCF ₂ CF ₃
396.	nBu	H	Ph
397.	nBu	H	N ₃
398.	nBu	CH ₃	CH ₃
399.	nBu	CH ₃	Bu
400.	nBu	CH ₃	OCH ₃
401.	nBu	CH ₃	OBu
402.	nBu	CH ₃	SCH ₃
403.	nBu	CH ₃	SBu
404.	nBu	CH ₃	NHCH ₃
405.	nBu	CH ₃	NHBu
406.	nBu	CH ₃	N(CH ₃) ₂
407.	nBu	CH ₃	NBu ₂
408.	nBu	CH ₃	NCH ₃ Bu
409.	nBu	CH ₃	NEt ₂
410.	nBu	CH ₃	NEtBu
411.	nBu	CH ₃	CF ₃
412.	nBu	CH ₃	OPh
413.	nBu	CH ₃	CH ₂ OCH ₃
414.	nBu	CH ₃	OCF ₃
415.	nBu	CH ₃	OCF ₂ CF ₃
416.	nBu	CH ₃	Ph
417.	nBu	CH ₃	N ₃
418.	nBu	nBu	CH ₃
419.	nBu	nBu	Bu
420.	nBu	nBu	OCH ₃
421.	nBu	nBu	OBu
422.	nBu	nBu	SCH ₃
423.	nBu	nBu	SBu
424.	nBu	nBu	NHCH ₃
425.	nBu	nBu	NHBu

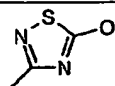
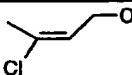
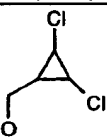
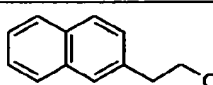
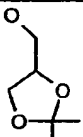

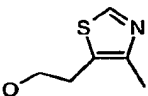
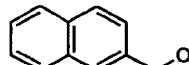
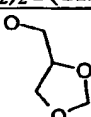
426.	nBu	nBu	N(CH ₃) ₂
427.	nBu	nBu	NBu ₂
428.	nBu	nBu	NCH ₃ Bu
429.	nBu	nBu	NEt ₂
430.	nBu	nBu	NEtBu
431.	nBu	nBu	CF ₃
432.	nBu	nBu	OPh
433.	nBu	nBu	CH ₂ OCH ₃
434.	nBu	nBu	OCF ₃
435.	nBu	nBu	OCF ₂ CF ₃
436.	nBu	nBu	Ph
437.	nBu	nBu	N ₃
438.	nBu	I	H
439.	nBu	CH ₃	H
440.	nBu	Bu	H
441.	nBu	OCH ₃	H
442.	nBu	OBu	H
443.	nBu	SCH ₃	H
444.	nBu	SBu	H
445.	nBu	NHCH ₃	H
446.	nBu	NHBu	H
447.	nBu	N(CH ₃) ₂	H
448.	nBu	NBu ₂	H
449.	nBu	NCH ₃ Bu	H
450.	nBu	NEt ₂	H
451.	nBu	NEtBu	H
452.	nBu	CF ₃	H
453.	nBu	OPh	H
454.	nBu	CH ₂ OCH ₃	H
455.	nBu	OCF ₃	H
456.	nBu	OCF ₂ CF ₃	H
457.	nBu	Ph	H
458.	nBu	N ₃	H
459.	nBu	CH ₃	CH ₃
460.	nBu	Bu	CH ₃
461.	nBu	OCH ₃	CH ₃
462.	nBu	OBu	CH ₃
463.	nBu	SCH ₃	CH ₃
464.	nBu	SBu	CH ₃
465.	nBu	NHCH ₃	CH ₃
466.	nBu	NHBu	CH ₃
467.	nBu	N(CH ₃) ₂	CH ₃
468.	nBu	NBu ₂	CH ₃
469.	nBu	NCH ₃ Bu	CH ₃
470.	nBu	NEt ₂	CH ₃
471.	nBu	NEtBu	CH ₃
472.	nBu	CF ₃	CH ₃


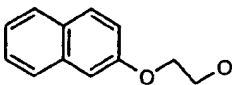
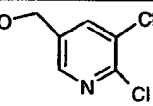
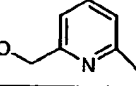
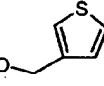

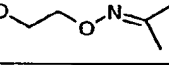
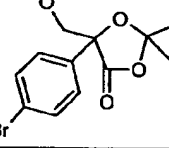
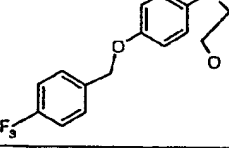
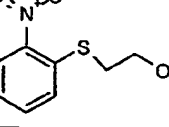
473.	nBu	OPh	CH ₃
474.	nBu	CH ₂ OCH ₃	CH ₃
475.	nBu	OCF ₃	CH ₃
476.	nBu	OCF ₂ CF ₃	CH ₃
477.	nBu	Ph	CH ₃
478.	nBu	N ₃	CH ₃
479.	nBu	CH ₃	nBu
480.	nBu	nBu	nBu
481.	nBu	OCH ₃	nBu
482.	nBu	OBu	nBu
483.	nBu	SCH ₃	nBu
484.	nBu	SBu	nBu
485.	nBu	NHCH ₃	nBu
486.	nBu	NHBu	nBu
487.	nBu	N(CH ₃) ₂	nBu
488.	nBu	NBu ₂	nBu
489.	nBu	NCH ₃ Bu	nBu
490.	nBu	NEt ₂	nBu
491.	nBu	NEtBu	nBu
492.	nBu	CF ₃	nBu
493.	nBu	OPh	nBu
494.	nBu	CH ₂ OCH ₃	nBu
495.	nBu	OCF ₃	nBu
496.	nBu	OCF ₂ CF ₃	nBu
497.	nBu	Ph	nBu
498.	nBu	N ₃	nBu
499.	nBu	NHCH ₃	Cl
500.	nBu	NHBu	Cl
501.	nBu	N(CH ₃) ₂	Cl
502.	nBu	NBu ₂	Cl
503.	nBu	NCH ₃ Bu	Cl
504.	nBu	NEt ₂	Cl
505.	nBu	NEtBu	Cl
506.	nBu	SCH ₃	Cl
507.	nBu	SBu	Cl
508.	nBu	OCH ₃	Cl
509.	nBu	OBu	Cl
510.	nBu	CF ₃	Cl
511.	nBu	OPh	Cl
512.	nBu	CH ₂ OCH ₃	Cl
513.	nBu	OCF ₃	Cl
514.	nBu	OCF ₂ CF ₃	Cl
515.	nBu	Ph	Cl
516.	nBu	N ₃	Cl
517.	nBu	NHCH ₃	NHCH ₃
518.	nBu	NHBu	NHBu
519.	nBu	N(CH ₃) ₂	N(CH ₃) ₂



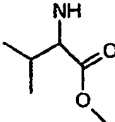
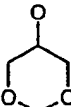
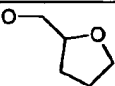

520.	nBu	NBu ₂	NBu ₂
521.	nBu	NCH ₃ Bu	NCH ₃ Bu
522.	nBu	NEt ₂	NEt ₂
523.	nBu	NEtBu	NEtBu
524.	nBu	SCH ₃	SCH ₃
525.	nBu	SBu	SBu
526.	nBu	OCH ₃	OCH ₃
527.	nBu	OBu	OBu
528.	nBu	CF ₃	CF ₃
529.	nBu	OPh	OPh
530.	nBu	CH ₂ OCH ₃	CH ₂ OCH ₃
531.	nBu	OCF ₃	OCF ₃
532.	nBu	OCF ₂ CF ₃	OCF ₂ CF ₃
533.	nBu	Ph	Ph
534.	nBu	N ₃	N ₃
535.	Ph	H	H
536.	Ph	CH ₃	CH ₃
537.	Ph	CH ₃	H
538.	Ph	H	CH ₃
539.	CH ₂ OCH ₃	H	H
540.	CH ₂ OCH ₃	CH ₃	CH ₃
541.	CH ₂ OCH ₃	CH ₃	H
542.	CH ₂ OCH ₃	H	CH ₃
543.	CF ₃	H	H
544.	CF ₃	CH ₃	CH ₃
545.	CF ₃	CH ₃	H
546.	CF ₃	H	CH ₃
547.	OH	H	H
548.	OH	CH ₃	CH ₃
549.	OH	CH ₃	H
550.	OH	H	CH ₃
551.	OH	CHO	H
552.	OH	CHO	CH ₃
553.	OH	H	CF ₃
554.	OH	CF ₃	H
555.	OH	CF ₃	CF ₃
556.	OH	CH ₂ OCH ₃	CH ₃
557.	OH	CH ₃	CH ₂ OCH ₃
558.	OH	CH ₂ OCH ₃	H
559.	OH	H	CH ₂ OCH ₃
560.	H	CHO	H
561.	CH ₃	CHO	H
562.	CF ₃	CHO	H
563.	CH ₂ OCH ₃	CHO	H
564.	nBu	CHO	H
565.	H	CHO	CH ₃
566.	CH ₃	CHO	CH ₃

567.	CF ₃	CHO	CH ₃
568.	CH ₂ OCH ₃	CHO	CH ₃
569.	nBu	CHO	CH ₃
570.	H	H	CHO
571.	CH ₃	H	CHO
572.	CF ₃	H	CHO
573.	CH ₂ OCH ₃	H	CHO
574.	nBu	H	CHO
575.	H	CH ₃	CHO
576.	CH ₃	CH ₃	CHO
577.	CF ₃	CH ₃	CHO
578.	CH ₂ OCH ₃	CH ₃	CHO
579.	nBu	CH ₃	CHO
580.	H	Cl	CH ₃
581.	H	CH ₃	Cl
582.	H	CF ₃	Cl
583.	H	Cl	CF ₃
584.	CH ₃	Cl	CH ₃
585.	CH ₃	CH ₃	Cl
586.	CH ₃	CF ₃	Cl
587.	CH ₃	Cl	CF ₃
588.	CF ₃	Cl	CH ₃
589.	CF ₃	CH ₃	Cl
590.	CF ₃	CF ₃	Cl
591.	CF ₃	Cl	CF ₃
592.	OCH ₃	H	H
593.	OCH ₃	CH ₃	CH ₃
594.	OCH ₃	CH ₃	H
595.	OCH ₃	H	CH ₃
596.	OCH ₃	CHO	H
597.	OCH ₃	CHO	CH ₃
598.	OCH ₃	H	CF ₃
599.	OCH ₃	CF ₃	H
600.	OCH ₃	CF ₃	CF ₃
601.	OCH ₃	CH ₂ OCH ₃	CH ₃
602.	OCH ₃	CH ₃	CH ₂ OCH ₃
603.	OCH ₃	CH ₂ OCH ₃	H
604.	OCH ₃	H	CH ₂ OCH ₃
605.	H	Cl	OCH ₂ CH ₃
606.	H	Cl	SCH ₂ CH ₃
607.	H	Cl	Morpholin
608.	H	Cl	Pyperidin
609.	H	Pyperidin	Pyperidin

610.	H		Cl
611.	H		Cl
612.	H	$\text{CH}_3(\text{CH}_2)_{13}\text{O}$	Cl
613.	H	$\text{OCH}_2\text{Ph-3-Cl}$	Cl
614.	H	Cl	$\text{OCH}_2\text{Ph-3-Cl}$
615.	H	$\text{O}(\text{CH}_2)_2\text{C}\equiv\text{CH}$	Cl
616.	H	$\text{OCH}(\text{CH}_3)=\text{CH}_2$	Cl
617.	H	$\text{O}(\text{CH}_2)_2\text{CH}=\text{CH}_2$	Cl
618.	H	Cl	$\text{O}(\text{CH}_2)_2\text{CH}=\text{CH}_2$
619.	H		Cl
620.	H	$\text{O}(\text{CH}_2)_2\text{Ph-4-CN}$	Cl
621.	H	Cl	$\text{O}(\text{CH}_2)_2\text{Ph-4-CN}$
622.	H	$\text{OCH}_2\text{CH}_2\text{CH}_3$	Cl
623.	H	$\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{OCH}_3$	Cl
624.	H	$\text{CH}_3\text{CH}_2\text{CH}(\text{CH}_3)\text{O}$ $\text{OCH}(\text{CH}_3)\text{CH}_2\text{CH}_3$	Cl
625.	H	$\text{OCH}_2\text{CH}=\text{CH}_2$	Cl
626.	H	Cl	$\text{OCH}_2\text{CH}=\text{CH}_2$
627.	H	Cl	$\text{OCH}_2\text{C}\equiv\text{CH}$
628.	H	$\text{O}(\text{CH}_2)_2\text{C}\equiv\text{CCH}_3$	Cl
629.	H	$\text{OCH}_2\text{C}\equiv\text{CCH}_3$	Cl
630.	H	Cl	$\text{OCH}_2\text{C}\equiv\text{CCH}_3$
631.	H	$\text{OCH}(\text{CH}_3)\text{-cycloprop.}$	Cl
632.	H	$\text{OCH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	Cl
633.	H	Cl	$\text{OCH}_2\text{C}(\text{CH}_3)=\text{CH}_2$
634.	H		Cl
635.	H	Cl	
636.	H	$\text{O}(\text{CH}_2)_2\text{OPh-2-Cl}$	Cl
637.	H	$\text{O-Cl, m-ClPhCH}(\text{CH}_3)\text{O}$	Cl
638.	H	$\text{O}(\text{CH}_2)_2\text{SCH}_2\text{Ph-4-Cl}$	Cl
639.	H	$\text{O}(\text{CH}_2)_2\text{Ph-2-Cl}$	Cl
640.	H	$\text{O}(\text{CH}_2)_2\text{Ph-3-CF}_3$	Cl

641.	H	$\text{O}(\text{CH}_2)_2\text{Ph-4-CH}_3$	Cl
642.	H		Cl
643.	H		Cl
644.	H	$\text{O}(\text{CH}_2)_2\text{CF}_3$	Cl
645.	H		Cl
646.	H	$\text{O}(\text{CH}_2)_{11}\text{C}(\text{O})\text{OCH}_3$	Cl
647.	H		Cl
648.	H	$\text{O}(\text{CH}_2)_2\text{SCH}_3$	Cl
649.	H	$\text{O}(\text{CH}_2)_7\text{CH}_3$	Cl
650.	H	$\text{OCH}_2\text{Ph-3-OCH}_3$	Cl
651.	H		Cl
652.	H	$\text{OC}_{12}\text{H}_{24}$	Cl
653.	H	$\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_5\text{CH}_3$	Cl
654.	H	$\text{O C}_{10}\text{H}_{18}$	Cl
655.	H		Cl
656.	H	$\text{O}(\text{CH}_2)_2\text{SCH}_2\text{CH}_3$	Cl
657.	H		Cl
658.	H	$\text{OCH}_2\text{CH}=\text{CH}(\text{CH}_2)_2\text{CH}_3$	Cl
659.	H	$\text{O}(\text{CH}_2)_2\text{Ph-3,4-(OCH}_3)_2$	Cl
660.	H	$\text{O}(\text{CH}_2)_2\text{Ph-4-Cl}$	Cl
661.	H	$\text{CF}_3(\text{CF}_2)_5\text{CH}_2\text{O}$	Cl
662.	H		Cl
663.	H	$\text{OCH}_2\text{Ph-2-I}$	Cl
664.	H	$\text{CH}_3(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}(\text{CH}_2)_2\text{O}$	Cl
665.	H		Cl

666.	H	$O(CH_2)_3-4-(C_5H_4N)$	Cl
667.	H		Cl
668.	H		Cl
669.	H	$O(CH_2)_{11}Br$	Cl
670.	H	$O(CH_2)_2S\text{ Ph}$	Cl
671.	H		Cl
672.	H		Cl
673.	H	$O(CH_2)_6Ph$	Cl
674.	H		Cl
675.	H	$O(CH_2)_9CH=CH_2$	Cl
676.	H		Cl
677.	H		Cl
678.	H	$OCH_2Ph-3-CF_3$	Cl
679.	H	$OCH_2-3-(C_5H_4N)$	Cl
680.	H	$OCH_2Si(CH_3)_3$	Cl
681.	H	$O(CH_2)_4Cl$	Cl
682.	H		Cl
683.	H		Cl
684.	H		Cl
685.	H	SEt	SEt
686.	H	Cl	OiPr
687.	H	Cl	NH ₂
688.	H	Cl	N(CH ₃)NH ₂

689.	H		
690.	H	Cl	NHPr
691.	H	Cl	NHPh
692.	H	Cl	NHCH(CH ₂) ₂
693.	H	NHPr	Cl
694.	H	NHCH(CH ₂) ₂	Cl
695.	H	Cl	NH C ₅ H ₉
696.	H	NH C ₅ H ₉	Cl
697.	H	Cl	
698.	H	Cl	N(CH ₃)(OCH ₃)
699.	H	Cl	NHCH ₂ CECH
700.	H	Cl	NHCH(CH ₃)CH ₂ OCH ₃
701.	H	Cl	NHEt
702.	H	NHCH ₂ CECH	Cl
703.	H	NHEt	Cl
704.	H	Br	Br
705.	H	iPr	Br
706.	H	OBu	Ph
707.	H	Ph-3,5-(CF ₃) ₂	Ph-3,5-(CF ₃) ₂
708.	H	Ph-4-CH ₃	Ph-4-CH ₃
709.	H	OiPr	Ph
710.	H	OiPr	Ph-4-CH ₃
711.	H	OiPr	Ph-3,5-(CF ₃) ₂
712.	H	OiPr	Ph-4- Si (CH ₃) ₃
713.	H	Ph-4- Si (CH ₃) ₃	Ph-4- Si (CH ₃) ₃
714.	H	OCH ₂ CECH	Cl
715.	H	NHCH ₂ Ph	Cl
716.	H	Cl	NHCH ₂ Ph
717.	H	NH ₂	Cl
718.	H		Cl
719.	H		Cl
720.	H		Cl

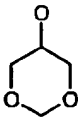
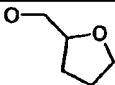
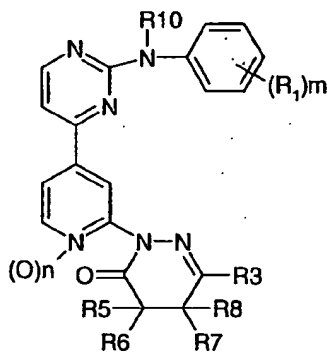
721.	H	Cl	
722.	H	Cl	
723.	H	OiPr	Cl
724.	H	OEt	Cl
725.	H	NHCH(CH ₃)CH ₂ OCH ₃	Cl
726.	H	SCH ₂ CH ₃	Cl

Table B-7



5

I.7

N°	R ₃	R ₅	R ₆	R ₇	R ₈
1.	H	H	H	H	H
2.	H	CH ₃	H	H	H
3.	H	nBu	H	H	H
4.	H	CF ₃	H	H	H
5.	H	CF ₂ CF ₃	H	H	H
6.	H	CH ₂ OCH ₃	H	H	H
7.	H	OCH ₃	H	H	H
8.	H	Ph	H	H	H
9.	H	-CH ₂ Ph	H	H	H
10.	H	H	H	CH ₃	H
11.	H	H	H	nBu	H
12.	H	H	H	CF ₃	H
13.	H	H	H	CF ₂ CF ₃	H
14.	H	H	H	CH ₂ OCH ₃	H
15.	H	H	H	OCH ₃	H
16.	H	H	H	Ph	H

17.	H	H	H	-CH ₂ Ph	H
18.	H	CH ₃	CH ₃	H	H
19.	H	nBu	CH ₃	H	H
20.	H	CF ₃	CH ₃	H	H
21.	H	CF ₂ CF ₃	CH ₃	H	H
22.	H	CH ₂ OCH ₃	CH ₃	H	H
23.	H	OCH ₃	CH ₃	H	H
24.	H	Ph	CH ₃	H	H
25.	H	-CH ₂ Ph	CH ₃	H	H
26.	H	H	H	CH ₃	CH ₃
27.	H	H	H	CH ₃	nBu
28.	H	H	H	CH ₃	CF ₃
29.	H	H	H	CH ₃	CF ₂ CF ₃
30.	H	H	H	CH ₃	CH ₂ OCH ₃
31.	H	H	H	CH ₃	OCH ₃
32.	H	H	H	CH ₃	Ph
33.	H	H	H	CH ₃	-CH ₂ Ph
34.	H	CH ₃	H	H	CH ₃
35.	H	nBu	H	H	CH ₃
36.	H	CF ₃	H	H	CH ₃
37.	H	CF ₂ CF ₃	H	H	CH ₃
38.	H	CH ₂ OCH ₃	H	H	CH ₃
39.	H	OCH ₃	H	H	CH ₃
40.	H	Ph	H	H	CH ₃
41.	H	-CH ₂ Ph	H	H	CH ₃
42.	H	H	CH ₃	nBu	H
43.	H	H	CH ₃	CF ₃	H
44.	H	H	CH ₃	CF ₂ CF ₃	H
45.	H	H	CH ₃	CH ₂ OCH ₃	H
46.	H	H	CH ₃	OCH ₃	H
47.	H	H	CH ₃	Ph	H
48.	H	H	CH ₃	-CH ₂ Ph	H
49.	H	CH ₃	H	CH ₃	CH ₃
50.	H	nBu	H	CH ₃	CH ₃
51.	H	CF ₃	H	CH ₃	CH ₃
52.	H	CF ₂ CF ₃	H	CH ₃	CH ₃
53.	H	CH ₂ OCH ₃	H	CH ₃	CH ₃
54.	H	OCH ₃	H	CH ₃	CH ₃
55.	H	Ph	H	CH ₃	CH ₃
56.	H	-CH ₂ Ph	H	CH ₃	CH ₃
57.	H	CH ₃	CH ₃	CH ₃	H
58.	H	CH ₃	CH ₃	nBu	H
59.	H	CH ₃	CH ₃	CF ₃	H
60.	H	CH ₃	CH ₃	CF ₂ CF ₃	H
61.	H	CH ₃	CH ₃	CH ₂ OCH ₃	H
62.	H	CH ₃	CH ₃	OCH ₃	H
63.	H	CH ₃	CH ₃	Ph	H

64.	H	CH ₃	CH ₃	-CH ₂ Ph	H
65.	H	nBu	CH ₃	CH ₃	H
66.	H	CF ₃	CH ₃	CH ₃	H
67.	H	CF ₂ CF ₃	CH ₃	CH ₃	H
68.	H	CH ₂ OCH ₃	CH ₃	CH ₃	H
69.	H	OCH ₃	CH ₃	CH ₃	H
70.	H	Ph	CH ₃	CH ₃	H
71.	H	-CH ₂ Ph	CH ₃	CH ₃	H
72.	H	CH ₃	H	CH ₃	nBu
73.	H	CH ₃	H	CH ₃	CF ₃
74.	H	CH ₃	H	CH ₃	CF ₂ CF ₃
75.	H	CH ₃	H	CH ₃	CH ₂ OCH ₃
76.	H	CH ₃	H	CH ₃	OCH ₃
77.	H	CH ₃	H	CH ₃	Ph
78.	H	CH ₃	H	CH ₃	-CH ₂ Ph
79.	H	CH ₃	CH ₃	CH ₃	CH ₃
80.	H	nBu	CH ₃	CH ₃	CH ₃
81.	H	CF ₃	CH ₃	CH ₃	CH ₃
82.	H	CF ₂ CF ₃	CH ₃	CH ₃	CH ₃
83.	H	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃
84.	H	OCH ₃	CH ₃	CH ₃	CH ₃
85.	H	Ph	CH ₃	CH ₃	CH ₃
86.	H	-CH ₂ Ph	CH ₃	CH ₃	CH ₃
87.	H	CH ₃	CH ₃	CH ₃	nBu
88.	H	CH ₃	CH ₃	CH ₃	CF ₃
89.	H	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃
90.	H	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃
91.	H	CH ₃	CH ₃	CH ₃	OCH ₃
92.	H	CH ₃	CH ₃	CH ₃	Ph
93.	H	CH ₃	CH ₃	CH ₃	-CH ₂ Ph
94.	H	nBu	nBu	H	H
95.	H	CF ₃	nBu	H	H
96.	H	CF ₂ CF ₃	nBu	H	H
97.	H	CH ₂ OCH ₃	nBu	H	H
98.	H	OCH ₃	nBu	H	H
99.	H	Ph	nBu	H	H
100.	H	-CH ₂ Ph	nBu	H	H
101.	H	H	H	Bu	nBu
102.	H	H	H	CF ₃	nBu
103.	H	H	H	CF ₂ CF ₃	nBu
104.	H	H	H	CH ₂ OCH ₃	nBu
105.	H	H	H	OCH ₃	nBu
106.	H	H	H	Ph	nBu
107.	H	H	H	-CH ₂ Ph	nBu
108.	H	nBu	H	H	H
109.	H	nBu	H	H	CH ₃
110.	H	nBu	H	H	nBu

111	H	nBu	H	H	CF ₃
112	H	nBu	H	H	CF ₂ CF ₃
113	H	nBu	H	H	CH ₂ OCH ₃
114	H	nBu	H	H	OCH ₃
115	H	nBu	H	H	Ph
116	H	nBu	H	H	-CH ₂ Ph
117	H	H	H	nBu	H
118	H	H	CH ₃	nBu	H
119	H	H	nBu	nBu	H
120	H	H	CF ₃	nBu	H
121	H	H	CF ₂ CF ₃	nBu	H
122	H	H	CH ₂ OCH ₃	nBu	H
123	H	H	OCH ₃	nBu	H
124	H	H	Ph	nBu	H
125	H	H	-CH ₂ Ph	nBu	H
126	H	CH ₃	nBu	nBu	H
127	H	nBu	nBu	nBu	H
128	H	CF ₃	nBu	nBu	H
129	H	CF ₂ CF ₃	nBu	nBu	H
130	H	CH ₂ OCH ₃	nBu	nBu	H
131	H	OCH ₃	nBu	nBu	H
132	H	Ph	nBu	Bu	H
133	H	-CH ₂ Ph	nBu	nBu	H
134	H	CH ₃	H	nBu	nBu
135	H	nBu	H	nBu	nBu
136	H	CF ₃	H	nBu	nBu
137	H	CF ₂ CF ₃	H	nBu	nBu
138	H	CH ₂ OCH ₃	H	nBu	nBu
139	H	OCH ₃	H	nBu	nBu
140	H	Ph	H	nBu	nBu
141	H	-CH ₂ Ph	H	nBu	nBu
142	H	nBu	nBu	CH ₃	H
143	H	nBu	nBu	CF ₃	H
144	H	nBu	nBu	CF ₂ CF ₃	H
145	H	nBu	nBu	CH ₂ OCH ₃	H
146	H	nBu	nBu	OCH ₃	H
147	H	nBu	nBu	Ph	H
148	H	nBu	nBu	-CH ₂ Ph	H
149	H	nBu	H	CH ₃	nBu
150	H	nBu	H	CF ₃	nBu
151	H	nBu	H	CF ₂ CF ₃	nBu
152	H	nBu	H	CH ₂ OCH ₃	nBu
153	H	nBu	H	OCH ₃	nBu
154	H	nBu	H	Ph	nBu
155	H	nBu	H	-CH ₂ Ph	nBu
156	H	CH ₃	nBu	nBu	nBu
157	H	Bu	nBu	nBu	nBu

158	H	CF ₃	nBu	nBu	nBu
159	H	CF ₂ CF ₃	nBu	nBu	nBu
160	H	CH ₂ OCH ₃	nBu	nBu	nBu
161	H	OCH ₃	nBu	nBu	nBu
162	H	Ph	nBu	nBu	nBu
163	H	-CH ₂ Ph	nBu	nBu	nBu
164	H	nBu	nBu	nBu	CH ₃
165	H	nBu	nBu	nBu	CF ₃
166	H	nBu	nBu	nBu	CF ₂ CF ₃
167	H	nBu	nBu	nBu	CH ₂ OCH ₃
168	H	nBu	nBu	nBu	OCH ₃
169	H	nBu	nBu	nBu	Ph
170	H	nBu	Bu	nBu	-CH ₂ Ph
171	H	nBu	CH ₃	nBu	CH ₃
172	H	nBu	CH ₃	nBu	CF ₃
173	H	nBu	CH ₃	nBu	CF ₂ CF ₃
174	H	nBu	CH ₃	nBu	CH ₂ OCH ₃
175	H	nBu	CH ₃	nBu	OCH ₃
176	H	nBu	CH ₃	nBu	Ph
177	H	nBu	CH ₃	nBu	-CH ₂ Ph
178	H	CF ₃	nBu	nBu	CH ₃
179	H	CF ₂ CF ₃	nBu	nBu	CH ₃
180	H	CH ₂ OCH ₃	nBu	nBu	CH ₃
181	H	OCH ₃	nBu	nBu	CH ₃
182	H	Ph	nBu	nBu	CH ₃
183	H	-CH ₂ Ph	nBu	nBu	CH ₃
184	H	CH ₃	CH ₃	nBu	nBu
185	H	CF ₃	CH ₃	nBu	nBu
186	H	CF ₂ CF ₃	CH ₃	nBu	nBu
187	H	CH ₂ OCH ₃	CH ₃	nBu	nBu
188	H	OCH ₃	CH ₃	nBu	nBu
189	H	Ph	CH ₃	nBu	nBu
190	H	-CH ₂ Ph	CH ₃	nBu	nBu
191	H	nBu	nBu	CH ₃	CH ₃
192	H	nBu	nBu	CF ₃	CH ₃
193	H	nBu	nBu	CF ₂ CF ₃	CH ₃
194	H	nBu	nBu	CH ₂ OCH ₃	CH ₃
195	H	nBu	nBu	OCH ₃	CH ₃
196	H	nBu	nBu	Ph	CH ₃
197	H	nBu	nBu	-CH ₂ Ph	CH ₃
198	H	nBu	CH ₃	CH ₃	CF ₃
199	H	nBu	CH ₃	CH ₃	CF ₂ CF ₃
200	H	nBu	CH ₃	CH ₃	CH ₂ OCH ₃
201	H	nBu	CH ₃	CH ₃	OCH ₃
202	H	nBu	CH ₃	CH ₃	Ph
203	H	nBu	CH ₃	CH ₃	-CH ₂ Ph
204	H	CF ₃	CH ₃	CH ₃	nBu

205	H	CF ₂ CF ₃	CH ₃	CH ₃	nBu
206	H	CH ₂ OCH ₃	CH ₃	CH ₃	nBu
207	H	OCH ₃	CH ₃	CH ₃	nBu
208	H	Ph	CH ₃	CH ₃	nBu
209	H	-CH ₂ Ph	CH ₃	CH ₃	nBu
210	H	CF ₃	nBu	CH ₃	CH ₃
211	H	CF ₂ CF ₃	nBu	CH ₃	CH ₃
212	H	CH ₂ OCH ₃	nBu	CH ₃	CH ₃
213	H	OCH ₃	nBu	CH ₃	CH ₃
214	H	Ph	nBu	CH ₃	CH ₃
215	H	-CH ₂ Ph	nBu	CH ₃	CH ₃
216	H	CH ₃	CH ₃	CF ₃	nBu
217	H	CH ₃	CH ₃	CF ₂ CF ₃	nBu
218	H	CH ₃	CH ₃	CH ₂ OCH ₃	nBu
219	H	CH ₃	CH ₃	OCH ₃	nBu
220	H	CH ₃	CH ₃	Ph	nBu
221	H	CH ₃	CH ₃	-CH ₂ Ph	nBu
222	H	CF ₃	nBu	H	CH ₃
223	H	CF ₂ CF ₃	nBu	H	CH ₃
224	H	CH ₂ OCH ₃	nBu	H	CH ₃
225	H	OCH ₃	nBu	H	CH ₃
226	H	Ph	nBu	H	CH ₃
227	H	-CH ₂ Ph	nBu	H	CH ₃
228	H	H	CH ₃	CF ₃	nBu
229	H	H	CH ₃	CF ₂ CF ₃	nBu
230	H	H	CH ₃	CH ₂ OCH ₃	nBu
231	H	H	CH ₃	OCH ₃	nBu
232	H	H	CH ₃	Ph	nBu
233	H	H	CH ₃	-CH ₂ Ph	nBu
234	H	nBu	H	CH ₃	CF ₃
235	H	nBu	H	CH ₃	CF ₂ CF ₃
236	H	nBu	H	CH ₃	CH ₂ OCH ₃
237	H	nBu	H	CH ₃	OCH ₃
238	H	nBu	H	CH ₃	Ph
239	H	nBu	H	CH ₃	-CH ₂ Ph
240	H	CF ₃	CH ₃	nBu	H
241	H	CF ₂ CF ₃	CH ₃	nBu	H
242	H	CH ₂ OCH ₃	CH ₃	nBu	H
243	H	OCH ₃	CH ₃	nBu	H
244	H	Ph	CH ₃	nBu	H
245	H	-CH ₂ Ph	CH ₃	nBu	H
246	H	CF ₃	Bu	CH ₃	H
247	H	CF ₂ CF ₃	Bu	CH ₃	H
248	H	CH ₂ OCH ₃	Bu	CH ₃	H
249	H	OCH ₃	Bu	CH ₃	H
250	H	Ph	Bu	CH ₃	H
251	H	-CH ₂ Ph	Bu	CH ₃	H

252	H	CH ₃	H	CF ₃	nBu
253	H	CH ₃	H	CF ₂ CF ₃	nBu
254	H	CH ₃	H	CH ₂ OCH ₃	nBu
255	H	CH ₃	H	OCH ₃	nBu
256	H	CH ₃	H	Ph	nBu
257	H	CH ₃	H	-CH ₂ Ph	nBu
258	H	nBu	CH ₃	H	CF ₃
259	H	nBu	CH ₃	H	CF ₂ CF ₃
260	H	nBu	CH ₃	H	CH ₂ OCH ₃
261	H	nBu	CH ₃	H	OCH ₃
262	H	nBu	CH ₃	H	Ph
263	H	nBu	CH ₃	H	-CH ₂ Ph
264	H	CF ₃	H	CH ₃	nBu
265	H	CF ₂ CF ₃	H	CH ₃	nBu
266	H	CH ₂ OCH ₃	H	CH ₃	nBu
267	H	OCH ₃	H	CH ₃	nBu
268	H	Ph	H	CH ₃	nBu
269	H	-CH ₂ Ph	H	CH ₃	nBu
270	CH ₃	H	H	H	H
271	CH ₃	CH ₃	H	H	H
272	CH ₃	nBu	H	H	H
273	CH ₃	CF ₃	H	H	H
274	CH ₃	CF ₂ CF ₃	H	H	H
275	CH ₃	CH ₂ OCH ₃	H	H	H
276	CH ₃	OCH ₃	H	H	H
277	CH ₃	Ph	H	H	H
278	CH ₃	-CH ₂ Ph	H	H	H
279	CH ₃	H	H	CH ₃	H
280	CH ₃	H	H	nBu	H
281	CH ₃	H	H	CF ₃	H
282	CH ₃	H	H	CF ₂ CF ₃	H
283	CH ₃	H	H	CH ₂ OCH ₃	H
284	CH ₃	H	H	OCH ₃	H
285	CH ₃	H	H	Ph	H
286	CH ₃	H	H	-CH ₂ Ph	H
287	CH ₃	CH ₃	CH ₃	H	H
288	CH ₃	nBu	CH ₃	H	H
289	CH ₃	CF ₃	CH ₃	H	H
290	CH ₃	CF ₂ CF ₃	CH ₃	H	H
291	CH ₃	CH ₂ OCH ₃	CH ₃	H	H
292	CH ₃	OCH ₃	CH ₃	H	H
293	CH ₃	Ph	CH ₃	H	H
294	CH ₃	-CH ₂ Ph	CH ₃	H	H
295	CH ₃	H	H	CH ₃	CH ₃
296	CH ₃	H	H	CH ₃	nBu
297	CH ₃	H	H	CH ₃	CF ₃
298	CH ₃	H	H	CH ₃	CF ₂ CF ₃

299	CH ₃	H	H	CH ₃	CH ₂ OCH ₃
300	CH ₃	H	H	CH ₃	OCH ₃
301	CH ₃	H	H	CH ₃	Ph
302	CH ₃	H	H	CH ₃	-CH ₂ Ph
303	CH ₃	CH ₃	H	H	CH ₃
304	CH ₃	Bu	H	H	CH ₃
305	CH ₃	CF ₃	H	H	CH ₃
306	CH ₃	CF ₂ CF ₃	H	H	CH ₃
307	CH ₃	CH ₂ OCH ₃	H	H	CH ₃
308	CH ₃	OCH ₃	H	H	CH ₃
309	CH ₃	Ph	H	H	CH ₃
310	CH ₃	-CH ₂ Ph	H	H	CH ₃
311	CH ₃	H	CH ₃	nBu	H
312	CH ₃	H	CH ₃	CF ₃	H
313	CH ₃	H	CH ₃	CF ₂ CF ₃	H
314	CH ₃	H	CH ₃	CH ₂ OCH ₃	H
315	CH ₃	H	CH ₃	OCH ₃	H
316	CH ₃	H	CH ₃	Ph	H
317	CH ₃	H	CH ₃	-CH ₂ Ph	H
318	CH ₃	CH ₃	H	CH ₃	CH ₃
319	CH ₃	nBu	H	CH ₃	CH ₃
320	CH ₃	CF ₃	H	CH ₃	CH ₃
321	CH ₃	CF ₂ CF ₃	H	CH ₃	CH ₃
322	CH ₃	CH ₂ OCH ₃	H	CH ₃	CH ₃
323	CH ₃	OCH ₃	H	CH ₃	CH ₃
324	CH ₃	Ph	H	CH ₃	CH ₃
325	CH ₃	-CH ₂ Ph	H	CH ₃	CH ₃
326	CH ₃	CH ₃	CH ₃	CH ₃	H
327	CH ₃	CH ₃	CH ₃	nBu	H
328	CH ₃	CH ₃	CH ₃	CF ₃	H
329	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃	H
330	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃	H
331	CH ₃	CH ₃	CH ₃	OCH ₃	H
332	CH ₃	CH ₃	CH ₃	Ph	H
333	CH ₃	CH ₃	CH ₃	-CH ₂ Ph	H
334	CH ₃	nBu	CH ₃	CH ₃	H
335	CH ₃	CF ₃	CH ₃	CH ₃	H
336	CH ₃	CF ₂ CF ₃	CH ₃	CH ₃	H
337	CH ₃	CH ₂ OCH ₃	CH ₃	CH ₃	H
338	CH ₃	OCH ₃	CH ₃	CH ₃	H
339	CH ₃	Ph	CH ₃	CH ₃	H
340	CH ₃	-CH ₂ Ph	CH ₃	CH ₃	H
341	CH ₃	CH ₃	H	CH ₃	nBu
342	CH ₃	CH ₃	H	CH ₃	CF ₃
343	CH ₃	CH ₃	H	CH ₃	CF ₂ CF ₃
344	CH ₃	CH ₃	H	CH ₃	CH ₂ OCH ₃
345	CH ₃	CH ₃	H	CH ₃	OCH ₃

346	CH ₃	CH ₃	H	CH ₃	Ph
347	CH ₃	CH ₃	H	CH ₃	-CH ₂ Ph
348	CH ₃	CH ₃	CH ₃	CH ₃	CH ₃
349	CH ₃	nBu	CH ₃	CH ₃	CH ₃
350	CH ₃	CF ₃	CH ₃	CH ₃	CH ₃
351	CH ₃	CF ₂ CF ₃	CH ₃	CH ₃	CH ₃
352	CH ₃	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃
353	CH ₃	OCH ₃	CH ₃	CH ₃	CH ₃
354	CH ₃	Ph	CH ₃	CH ₃	CH ₃
355	CH ₃	-CH ₂ Ph	CH ₃	CH ₃	CH ₃
356	CH ₃	CH ₃	CH ₃	CH ₃	nBu
357	CH ₃	CH ₃	CH ₃	CH ₃	CF ₃
358	CH ₃	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃
359	CH ₃	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃
360	CH ₃	CH ₃	CH ₃	CH ₃	OCH ₃
361	CH ₃	CH ₃	CH ₃	CH ₃	Ph
362	CH ₃	CH ₃	CH ₃	CH ₃	-CH ₂ Ph
363	CH ₃	nBu	nBu	H	H
364	CH ₃	CF ₃	nBu	H	H
365	CH ₃	CF ₂ CF ₃	nBu	H	H
366	CH ₃	CH ₂ OCH ₃	nBu	H	H
367	CH ₃	OCH ₃	nBu	H	H
368	CH ₃	Ph	nBu	H	H
369	CH ₃	-CH ₂ Ph	nBu	H	H
370	CH ₃	H	H	nBu	nBu
371	CH ₃	H	H	CF ₃	nBu
372	CH ₃	H	H	CF ₂ CF ₃	nBu
373	CH ₃	H	H	CH ₂ OCH ₃	nBu
374	CH ₃	H	H	OCH ₃	nBu
375	CH ₃	H	H	Ph	nBu
376	CH ₃	H	H	-CH ₂ Ph	nBu
377	CH ₃	nBu	H	H	H
378	CH ₃	nBu	H	H	CH ₃
379	CH ₃	nBu	H	H	nBu
380	CH ₃	nBu	H	H	CF ₃
381	CH ₃	nBu	H	H	CF ₂ CF ₃
382	CH ₃	nBu	H	H	CH ₂ OCH ₃
383	CH ₃	nBu	H	H	OCH ₃
384	CH ₃	nBu	H	H	Ph
385	CH ₃	nBu	H	H	-CH ₂ Ph
386	CH ₃	H	H	nBu	H
387	CH ₃	H	CH ₃	nBu	H
388	CH ₃	H	Bu	nBu	H
389	CH ₃	H	CF ₃	nBu	H
390	CH ₃	H	CF ₂ CF ₃	nBu	H
391	CH ₃	H	CH ₂ OCH ₃	nBu	H
392	CH ₃	H	OCH ₃	nBu	H

393	CH ₃	H	Ph	nBu	H
394	CH ₃	H	-CH ₂ Ph	nBu	H
395	CH ₃	CH ₃	nBu	nBu	H
396	CH ₃	nBu	nBu	nBu	H
397	CH ₃	CF ₃	nBu	nBu	H
398	CH ₃	CF ₂ CF ₃	nBu	nBu	H
399	CH ₃	CH ₂ OCH ₃	nBu	nBu	H
400	CH ₃	OCH ₃	nBu	nBu	H
401	CH ₃	Ph	nBu	nBu	H
402	CH ₃	-CH ₂ Ph	nBu	nBu	H
403	CH ₃	CH ₃	H	nBu	nBu
404	CH ₃	nBu	H	nBu	nBu
405	CH ₃	CF ₃	H	nBu	nBu
406	CH ₃	CF ₂ CF ₃	H	nBu	nBu
407	CH ₃	CH ₂ OCH ₃	H	nBu	nBu
408	CH ₃	OCH ₃	H	nBu	nBu
409	CH ₃	Ph	H	nBu	nBu
410	CH ₃	-CH ₂ Ph	H	nBu	nBu
411	CH ₃	nBu	nBu	CH ₃	H
412	CH ₃	nBu	nBu	CF ₃	H
413	CH ₃	nBu	nBu	CF ₂ CF ₃	H
414	CH ₃	nBu	nBu	CH ₂ OCH ₃	H
415	CH ₃	nBu	nBu	OCH ₃	H
416	CH ₃	nBu	nBu	Ph	H
417	CH ₃	nBu	nBu	-CH ₂ Ph	H
418	CH ₃	nBu	H	CH ₃	nBu
419	CH ₃	nBu	H	CF ₃	nBu
420	CH ₃	nBu	H	CF ₂ CF ₃	nBu
421	CH ₃	nBu	H	CH ₂ OCH ₃	nBu
422	CH ₃	nBu	H	OCH ₃	nBu
423	CH ₃	nBu	H	Ph	nBu
424	CH ₃	nBu	H	-CH ₂ Ph	nBu
425	CH ₃	CH ₃	nBu	nBu	nBu
426	CH ₃	Bu	nBu	nBu	nBu
427	CH ₃	CF ₃	nBu	nBu	nBu
428	CH ₃	CF ₂ CF ₃	nBu	nBu	nBu
429	CH ₃	CH ₂ OCH ₃	nBu	nBu	nBu
430	CH ₃	OCH ₃	nBu	nBu	nBu
431	CH ₃	Ph	nBu	nBu	nBu
432	CH ₃	-CH ₂ Ph	nBu	nBu	nBu
433	CH ₃	nBu	nBu	nBu	CH ₃
434	CH ₃	nBu	nBu	nBu	CF ₃
435	CH ₃	nBu	nBu	nBu	CF ₂ CF ₃
436	CH ₃	nBu	nBu	nBu	CH ₂ OCH ₃
437	CH ₃	nBu	nBu	nBu	OCH ₃
438	CH ₃	nBu	nBu	nBu	Ph
439	CH ₃	nBu	nBu	nBu	-CH ₂ Ph

440	CH ₃	nBu	CH ₃	nBu	CH ₃
441	CH ₃	nBu	CH ₃	nBu	CF ₃
442	CH ₃	nBu	CH ₃	nBu	CF ₂ CF ₃
443	CH ₃	nBu	CH ₃	nBu	CH ₂ OCH ₃
444	CH ₃	nBu	CH ₃	nBu	OCH ₃
445	CH ₃	nBu	CH ₃	nBu	Ph
446	CH ₃	nBu	CH ₃	nBu	-CH ₂ Ph
447	CH ₃	CF ₃	nBu	nBu	CH ₃
448	CH ₃	CF ₂ CF ₃	nBu	nBu	CH ₃
449	CH ₃	CH ₂ OCH ₃	nBu	nBu	CH ₃
450	CH ₃	OCH ₃	nBu	nBu	CH ₃
451	CH ₃	Ph	nBu	nBu	CH ₃
452	CH ₃	-CH ₂ Ph	nBu	nBu	CH ₃
453	CH ₃	CH ₃	CH ₃	nBu	nBu
454	CH ₃	CF ₃	CH ₃	nBu	nBu
455	CH ₃	CF ₂ CF ₃	CH ₃	nBu	nBu
456	CH ₃	CH ₂ OCH ₃	CH ₃	nBu	nBu
457	CH ₃	OCH ₃	CH ₃	nBu	nBu
458	CH ₃	Ph	CH ₃	nBu	nBu
459	CH ₃	-CH ₂ Ph	CH ₃	nBu	nBu
460	CH ₃	nBu	nBu	CH ₃	CH ₃
461	CH ₃	nBu	nBu	CF ₃	CH ₃
462	CH ₃	nBu	nBu	CF ₂ CF ₃	CH ₃
463	CH ₃	nBu	nBu	CH ₂ OCH ₃	CH ₃
464	CH ₃	nBu	nBu	OCH ₃	CH ₃
465	CH ₃	nBu	nBu	Ph	CH ₃
466	CH ₃	nBu	nBu	-CH ₂ Ph	CH ₃
467	CH ₃	nBu	CH ₃	CH ₃	CF ₃
468	CH ₃	nBu	CH ₃	CH ₃	CF ₂ CF ₃
469	CH ₃	nBu	CH ₃	CH ₃	CH ₂ OCH ₃
470	CH ₃	nBu	CH ₃	CH ₃	OCH ₃
471	CH ₃	nBu	CH ₃	CH ₃	Ph
472	CH ₃	nBu	CH ₃	CH ₃	-CH ₂ Ph
473	CH ₃	CF ₃	CH ₃	CH ₃	nBu
474	CH ₃	CF ₂ CF ₃	CH ₃	CH ₃	nBu
475	CH ₃	CH ₂ OCH ₃	CH ₃	CH ₃	nBu
476	CH ₃	OCH ₃	CH ₃	CH ₃	nBu
477	CH ₃	Ph	CH ₃	CH ₃	nBu
478	CH ₃	-CH ₂ Ph	CH ₃	CH ₃	nBu
479	CH ₃	CF ₃	nBu	CH ₃	CH ₃
480	CH ₃	CF ₂ CF ₃	nBu	CH ₃	CH ₃
481	CH ₃	CH ₂ OCH ₃	nBu	CH ₃	CH ₃
482	CH ₃	OCH ₃	nBu	CH ₃	CH ₃
483	CH ₃	Ph	nBu	CH ₃	CH ₃
484	CH ₃	-CH ₂ Ph	nBu	CH ₃	CH ₃
485	CH ₃	CH ₃	CH ₃	CF ₃	nBu
486	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃	nBu

487	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃	nBu
488	CH ₃	CH ₃	CH ₃	OCH ₃	nBu
489	CH ₃	CH ₃	CH ₃	Ph	nBu
490	CH ₃	CH ₃	CH ₃	-CH ₂ Ph	nBu
491	CH ₃	CF ₃	nBu	H	CH ₃
492	CH ₃	CF ₂ CF ₃	nBu	H	CH ₃
493	CH ₃	CH ₂ OCH ₃	nBu	H	CH ₃
494	CH ₃	OCH ₃	nBu	H	CH ₃
495	CH ₃	Ph	nBu	H	CH ₃
496	CH ₃	-CH ₂ Ph	nBu	H	CH ₃
497	CH ₃	H	CH ₃	CF ₃	nBu
498	CH ₃	H	CH ₃	CF ₂ CF ₃	nBu
499	CH ₃	H	CH ₃	CH ₂ OCH ₃	nBu
500	CH ₃	H	CH ₃	OCH ₃	nBu
501	CH ₃	H	CH ₃	Ph	nBu
502	CH ₃	H	CH ₃	-CH ₂ Ph	nBu
503	CH ₃	nBu	H	CH ₃	CF ₃
504	CH ₃	nBu	H	CH ₃	CF ₂ CF ₃
505	CH ₃	nBu	H	CH ₃	CH ₂ OCH ₃
506	CH ₃	nBu	H	CH ₃	OCH ₃
507	CH ₃	nBu	H	CH ₃	Ph
508	CH ₃	nBu	H	CH ₃	-CH ₂ Ph
509	CH ₃	CF ₃	CH ₃	nBu	H
510	CH ₃	CF ₂ CF ₃	CH ₃	nBu	H
511	CH ₃	CH ₂ OCH ₃	CH ₃	nBu	H
512	CH ₃	OCH ₃	CH ₃	nBu	H
513	CH ₃	Ph	CH ₃	nBu	H
514	CH ₃	-CH ₂ Ph	CH ₃	nBu	H
515	CH ₃	CF ₃	nBu	CH ₃	H
516	CH ₃	CF ₂ CF ₃	nBu	CH ₃	H
517	CH ₃	CH ₂ OCH ₃	nBu	CH ₃	H
518	CH ₃	OCH ₃	nBu	CH ₃	H
519	CH ₃	Ph	nBu	CH ₃	H
520	CH ₃	-CH ₂ Ph	nBu	CH ₃	H
521	CH ₃	CH ₃	H	CF ₃	nBu
522	CH ₃	CH ₃	H	CF ₂ CF ₃	nBu
523	CH ₃	CH ₃	H	CH ₂ OCH ₃	nBu
524	CH ₃	CH ₃	H	OCH ₃	nBu
525	CH ₃	CH ₃	H	Ph	nBu
526	CH ₃	CH ₃	H	-CH ₂ Ph	nBu
527	CH ₃	nBu	CH ₃	H	CF ₃
528	CH ₃	nBu	CH ₃	H	CF ₂ CF ₃
529	CH ₃	nBu	CH ₃	H	CH ₂ OCH ₃
530	CH ₃	nBu	CH ₃	H	OCH ₃
531	CH ₃	nBu	CH ₃	H	Ph
532	CH ₃	nBu	CH ₃	H	-CH ₂ Ph
533	CH ₃	CF ₃	H	CH ₃	nBu

534	CH ₃	CF ₂ CF ₃	H	CH ₃	nBu
535	CH ₃	CH ₂ OCH ₃	H	CH ₃	nBu
536	CH ₃	OCH ₃	H	CH ₃	nBu
537	CH ₃	Ph	H	CH ₃	nBu
538	CH ₃	-CH ₂ Ph	H	CH ₃	nBu
539	CF ₃	H	H	H	H
540	CF ₃	CH ₃	H	H	H
541	CF ₃	nBu	H	H	H
542	CF ₃	CF ₃	H	H	H
543	CF ₃	CF ₂ CF ₃	H	H	H
544	CF ₃	CH ₂ OCH ₃	H	H	H
545	CF ₃	OCH ₃	H	H	H
546	CF ₃	Ph	H	H	H
547	CF ₃	-CH ₂ Ph	H	H	H
548	CF ₃	H	H	CH ₃	H
549	CF ₃	H	H	nBu	H
550	CF ₃	H	H	CF ₃	H
551	CF ₃	H	H	CF ₂ CF ₃	H
552	CF ₃	H	H	CH ₂ OCH ₃	H
553	CF ₃	H	H	OCH ₃	H
554	CF ₃	H	H	Ph	H
555	CF ₃	H	H	-CH ₂ Ph	H
556	CF ₃	CH ₃	CH ₃	H	H
557	CF ₃	nBu	CH ₃	H	H
558	CF ₃	CF ₃	CH ₃	H	H
559	CF ₃	CF ₂ CF ₃	CH ₃	H	H
560	CF ₃	CH ₂ OCH ₃	CH ₃	H	H
561	CF ₃	OCH ₃	CH ₃	H	H
562	CF ₃	Ph	CH ₃	H	H
563	CF ₃	-CH ₂ Ph	CH ₃	H	H
564	CF ₃	H	H	CH ₃	CH ₃
565	CF ₃	H	H	CH ₃	nBu
566	CF ₃	H	H	CH ₃	CF ₃
567	CF ₃	H	H	CH ₃	CF ₂ CF ₃
568	CF ₃	H	H	CH ₃	CH ₂ OCH ₃
569	CF ₃	H	H	CH ₃	OCH ₃
570	CF ₃	H	H	CH ₃	Ph
571	CF ₃	H	H	CH ₃	-CH ₂ Ph
572	CF ₃	CH ₃	H	H	CH ₃
573	CF ₃	nBu	H	H	CH ₃
574	CF ₃	CF ₃	H	H	CH ₃
575	CF ₃	CF ₂ CF ₃	H	H	CH ₃
576	CF ₃	CH ₂ OCH ₃	H	H	CH ₃
577	CF ₃	OCH ₃	H	H	CH ₃
578	CF ₃	Ph	H	H	CH ₃
579	CF ₃	-CH ₂ Ph	H	H	CH ₃
580	CF ₃	H	CH ₃	nBu	H

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581	CF ₃	H	CH ₃	CF ₃	H
582	CF ₃	H	CH ₃	CF ₂ CF ₃	H
583	CF ₃	H	CH ₃	CH ₂ OCH ₃	H
584	CF ₃	H	CH ₃	OCH ₃	H
585	CF ₃	H	CH ₃	Ph	H
586	CF ₃	H	CH ₃	-CH ₂ Ph	H
587	CF ₃	CH ₃	H	CH ₃	CH ₃
588	CF ₃	nBu	H	CH ₃	CH ₃
589	CF ₃	CF ₃	H	CH ₃	CH ₃
590	CF ₃	CF ₂ CF ₃	H	CH ₃	CH ₃
591	CF ₃	CH ₂ OCH ₃	H	CH ₃	CH ₃
592	CF ₃	OCH ₃	H	CH ₃	CH ₃
593	CF ₃	Ph	H	CH ₃	CH ₃
594	CF ₃	-CH ₂ Ph	H	CH ₃	CH ₃
595	CF ₃	CH ₃	CH ₃	CH ₃	H
596	CF ₃	CH ₃	CH ₃	nBu	H
597	CF ₃	CH ₃	CH ₃	CF ₃	H
598	CF ₃	CH ₃	CH ₃	CF ₂ CF ₃	H
599	CF ₃	CH ₃	CH ₃	CH ₂ OCH ₃	H
600	CF ₃	CH ₃	CH ₃	OCH ₃	H
601	CF ₃	CH ₃	CH ₃	Ph	H
602	CF ₃	CH ₃	CH ₃	-CH ₂ Ph	H
603	CF ₃	nBu	CH ₃	CH ₃	H
604	CF ₃	CF ₃	CH ₃	CH ₃	H
605	CF ₃	CF ₂ CF ₃	CH ₃	CH ₃	H
606	CF ₃	CH ₂ OCH ₃	CH ₃	CH ₃	H
607	CF ₃	OCH ₃	CH ₃	CH ₃	H
608	CF ₃	Ph	CH ₃	CH ₃	H
609	CF ₃	-CH ₂ Ph	CH ₃	CH ₃	H
610	CF ₃	CH ₃	H	CH ₃	nBu
611	CF ₃	CH ₃	H	CH ₃	CF ₃
612	CF ₃	CH ₃	H	CH ₃	CF ₂ CF ₃
613	CF ₃	CH ₃	H	CH ₃	CH ₂ OCH ₃
614	CF ₃	CH ₃	H	CH ₃	OCH ₃
615	CF ₃	CH ₃	H	CH ₃	Ph
616	CF ₃	CH ₃	H	CH ₃	-CH ₂ Ph
617	CF ₃	CH ₃	CH ₃	CH ₃	CH ₃
618	CF ₃	nBu	CH ₃	CH ₃	CH ₃
619	CF ₃	CF ₃	CH ₃	CH ₃	CH ₃
620	CF ₃	CF ₂ CF ₃	CH ₃	CH ₃	CH ₃
621	CF ₃	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃
622	CF ₃	OCH ₃	CH ₃	CH ₃	CH ₃
623	CF ₃	Ph	CH ₃	CH ₃	CH ₃
624	CF ₃	-CH ₂ Ph	CH ₃	CH ₃	CH ₃
625	CF ₃	CH ₃	CH ₃	CH ₃	nBu
626	CF ₃	CH ₃	CH ₃	CH ₃	CF ₃
627	CF ₃	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃

628	CF ₃	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃
629	CF ₃	CH ₃	CH ₃	CH ₃	OCH ₃
630	CF ₃	CH ₃	CH ₃	CH ₃	Ph
631	CF ₃	CH ₃	CH ₃	CH ₃	-CH ₂ Ph
632	CF ₃	Bu	nBu	H	H
633	CF ₃	CF ₃	nBu	H	H
634	CF ₃	CF ₂ CF ₃	nBu	H	H
635	CF ₃	CH ₂ OCH ₃	nBu	H	H
636	CF ₃	OCH ₃	nBu	H	H
637	CF ₃	Ph	nBu	H	H
638	CF ₃	-CH ₂ Ph	nBu	H	H
639	CF ₃	H	H	nBu	nBu
640	CF ₃	H	H	CF ₃	nBu
641	CF ₃	H	H	CF ₂ CF ₃	nBu
642	CF ₃	H	H	CH ₂ OCH ₃	nBu
643	CF ₃	H	H	OCH ₃	nBu
644	CF ₃	H	H	Ph	nBu
645	CF ₃	H	H	-CH ₂ Ph	nBu
646	CF ₃	nBu	H	H	H
647	CF ₃	nBu	H	H	CH ₃
648	CF ₃	nBu	H	H	Bu
649	CF ₃	nBu	H	H	CF ₃
650	CF ₃	nBu	H	H	CF ₂ CF ₃
651	CF ₃	nBu	H	H	CH ₂ OCH ₃
652	CF ₃	nBu	H	H	OCH ₃
653	CF ₃	nBu	H	H	Ph
654	CF ₃	nBu	H	H	-CH ₂ Ph
655	CF ₃	H	H	nBu	H
656	CF ₃	H	CH ₃	nBu	H
657	CF ₃	H	Bu	nBu	H
658	CF ₃	H	CF ₃	nBu	H
659	CF ₃	H	CF ₂ CF ₃	nBu	H
660	CF ₃	H	CH ₂ OCH ₃	nBu	H
661	CF ₃	H	OCH ₃	nBu	H
662	CF ₃	H	Ph	nBu	H
663	CF ₃	H	-CH ₂ Ph	nBu	H
664	CF ₃	CH ₃	nBu	nBu	H
665	CF ₃	Bu	nBu	nBu	H
666	CF ₃	CF ₃	nBu	nBu	H
667	CF ₃	CF ₂ CF ₃	nBu	nBu	H
668	CF ₃	CH ₂ OCH ₃	nBu	nBu	H
669	CF ₃	OCH ₃	nBu	nBu	H
670	CF ₃	Ph	nBu	nBu	H
671	CF ₃	-CH ₂ Ph	nBu	nBu	H
672	CF ₃	CH ₃	H	nBu	nBu
673	CF ₃	nBu	H	nBu	nBu
674	CF ₃	CF ₃	H	nBu	nBu

675	CF ₃	CF ₂ CF ₃	H	nBu	nBu
676	CF ₃	CH ₂ OCH ₃	H	nBu	nBu
677	CF ₃	OCH ₃	H	nBu	nBu
678	CF ₃	Ph	H	nBu	nBu
679	CF ₃	-CH ₂ Ph	H	nBu	nBu
680	CF ₃	nBu	nBu	CH ₃	H
681	CF ₃	nBu	nBu	CF ₃	H
682	CF ₃	nBu	nBu	CF ₂ CF ₃	H
683	CF ₃	nBu	nBu	CH ₂ OCH ₃	H
684	CF ₃	nBu	nBu	OCH ₃	H
685	CF ₃	nBu	nBu	Ph	H
686	CF ₃	nBu	nBu	-CH ₂ Ph	H
687	CF ₃	nBu	H	CH ₃	nBu
688	CF ₃	nBu	H	CF ₃	nBu
689	CF ₃	nBu	H	CF ₂ CF ₃	nBu
690	CF ₃	nBu	H	CH ₂ OCH ₃	nBu
691	CF ₃	nBu	H	OCH ₃	nBu
692	CF ₃	nBu	H	Ph	nBu
693	CF ₃	nBu	H	-CH ₂ Ph	nBu
694	CF ₃	CH ₃	nBu	nBu	nBu
695	CF ₃	nBu	nBu	nBu	nBu
696	CF ₃	CF ₃	nBu	nBu	nBu
697	CF ₃	CF ₂ CF ₃	nBu	nBu	nBu
698	CF ₃	CH ₂ OCH ₃	nBu	nBu	nBu
699	CF ₃	OCH ₃	nBu	nBu	nBu
700	CF ₃	Ph	nBu	nBu	nBu
701	CF ₃	-CH ₂ Ph	nBu	nBu	nBu
702	CF ₃	nBu	nBu	nBu	CH ₃
703	CF ₃	nBu	nBu	nBu	CF ₃
704	CF ₃	nBu	nBu	nBu	CF ₂ CF ₃
705	CF ₃	nBu	nBu	nBu	CH ₂ OCH ₃
706	CF ₃	nBu	nBu	nBu	OCH ₃
707	CF ₃	nBu	nBu	nBu	Ph
708	CF ₃	nBu	nBu	nBu	-CH ₂ Ph
709	CF ₃	nBu	CH ₃	nBu	CH ₃
710	CF ₃	nBu	CH ₃	nBu	CF ₃
711	CF ₃	nBu	CH ₃	nBu	CF ₂ CF ₃
712	CF ₃	nBu	CH ₃	nBu	CH ₂ OCH ₃
713	CF ₃	nBu	CH ₃	nBu	OCH ₃
714	CF ₃	nBu	CH ₃	nBu	Ph
715	CF ₃	nBu	CH ₃	nBu	-CH ₂ Ph
716	CF ₃	CF ₃	nBu	nBu	CH ₃
717	CF ₃	CF ₂ CF ₃	nBu	nBu	CH ₃
718	CF ₃	CH ₂ OCH ₃	nBu	nBu	CH ₃
719	CF ₃	OCH ₃	nBu	nBu	CH ₃
720	CF ₃	Ph	nBu	nBu	CH ₃
721	CF ₃	-CH ₂ Ph	nBu	nBu	CH ₃

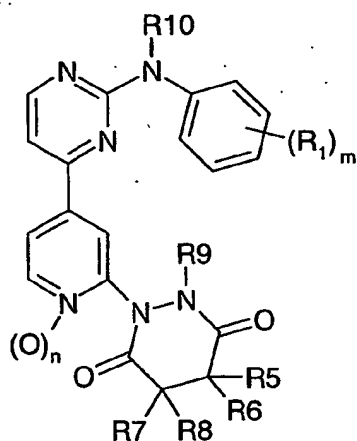
722	CF ₃	CH ₃	CH ₃	nBu	nBu
723	CF ₃	CF ₃	CH ₃	nBu	nBu
724	CF ₃	CF ₂ CF ₃	CH ₃	nBu	nBu
725	CF ₃	CH ₂ OCH ₃	CH ₃	nBu	nBu
726	CF ₃	OCH ₃	CH ₃	nBu	nBu
727	CF ₃	Ph	CH ₃	nBu	nBu
728	CF ₃	-CH ₂ Ph	CH ₃	nBu	nBu
729	CF ₃	nBu	nBu	CH ₃	CH ₃
730	CF ₃	nBu	nBu	CF ₃	CH ₃
731	CF ₃	nBu	nBu	CF ₂ CF ₃	CH ₃
732	CF ₃	nBu	nBu	CH ₂ OCH ₃	CH ₃
733	CF ₃	nBu	nBu	OCH ₃	CH ₃
734	CF ₃	nBu	nBu	Ph	CH ₃
735	CF ₃	nBu	nBu	-CH ₂ Ph	CH ₃
736	CF ₃	nBu	CH ₃	CH ₃	CF ₃
737	CF ₃	nBu	CH ₃	CH ₃	CF ₂ CF ₃
738	CF ₃	nBu	CH ₃	CH ₃	CH ₂ OCH ₃
739	CF ₃	nBu	CH ₃	CH ₃	OCH ₃
740	CF ₃	nBu	CH ₃	CH ₃	Ph
741	CF ₃	nBu	CH ₃	CH ₃	-CH ₂ Ph
742	CF ₃	CF ₃	CH ₃	CH ₃	nBu
743	CF ₃	CF ₂ CF ₃	CH ₃	CH ₃	nBu
744	CF ₃	CH ₂ OCH ₃	CH ₃	CH ₃	nBu
745	CF ₃	OCH ₃	CH ₃	CH ₃	nBu
746	CF ₃	Ph	CH ₃	CH ₃	nBu
747	CF ₃	-CH ₂ Ph	CH ₃	CH ₃	nBu
748	CF ₃	CF ₃	nBu	CH ₃	CH ₃
749	CF ₃	CF ₂ CF ₃	nBu	CH ₃	CH ₃
750	CF ₃	CH ₂ OCH ₃	nBu	CH ₃	CH ₃
751	CF ₃	OCH ₃	nBu	CH ₃	CH ₃
752	CF ₃	Ph	nBu	CH ₃	CH ₃
753	CF ₃	-CH ₂ Ph	nBu	CH ₃	CH ₃
754	CF ₃	CH ₃	CH ₃	CF ₃	nBu
755	CF ₃	CH ₃	CH ₃	CF ₂ CF ₃	nBu
756	CF ₃	CH ₃	CH ₃	CH ₂ OCH ₃	nBu
757	CF ₃	CH ₃	CH ₃	OCH ₃	nBu
758	CF ₃	CH ₃	CH ₃	Ph	nBu
759	CF ₃	CH ₃	CH ₃	-CH ₂ Ph	nBu
760	CF ₃	CF ₃	nBu	H	CH ₃
761	CF ₃	CF ₂ CF ₃	nBu	H	CH ₃
762	CF ₃	CH ₂ OCH ₃	nBu	H	CH ₃
763	CF ₃	OCH ₃	nBu	H	CH ₃
764	CF ₃	Ph	nBu	H	CH ₃
765	CF ₃	-CH ₂ Ph	nBu	H	CH ₃
766	CF ₃	H	CH ₃	CF ₃	nBu
767	CF ₃	H	CH ₃	CF ₂ CF ₃	nBu
768	CF ₃	H	CH ₃	CH ₂ OCH ₃	nBu

769	CF ₃	H	CH ₃	OCH ₃	nBu
770	CF ₃	H	CH ₃	Ph	nBu
771	CF ₃	H	CH ₃	-CH ₂ Ph	nBu
772	CF ₃	nBu	H	CH ₃	CF ₃
773	CF ₃	nBu	H	CH ₃	CF ₂ CF ₃
774	CF ₃	nBu	H	CH ₃	CH ₂ OCH ₃
775	CF ₃	nBu	H	CH ₃	OCH ₃
776	CF ₃	nBu	H	CH ₃	Ph
777	CF ₃	nBu	H	CH ₃	-CH ₂ Ph
778	CF ₃	CF ₃	CH ₃	nBu	H
779	CF ₃	CF ₂ CF ₃	CH ₃	nBu	H
780	CF ₃	CH ₂ OCH ₃	CH ₃	nBu	H
781	CF ₃	OCH ₃	CH ₃	nBu	H
782	CF ₃	Ph	CH ₃	nBu	H
783	CF ₃	-CH ₂ Ph	CH ₃	nBu	H
784	CF ₃	CF ₃	nBu	CH ₃	H
785	CF ₃	CF ₂ CF ₃	nBu	CH ₃	H
786	CF ₃	CH ₂ OCH ₃	nBu	CH ₃	H
787	CF ₃	OCH ₃	nBu	CH ₃	H
788	CF ₃	Ph	nBu	CH ₃	H
789	CF ₃	-CH ₂ Ph	nBu	CH ₃	H
790	CF ₃	CH ₃	H	CF ₃	nBu
791	CF ₃	CH ₃	H	CF ₂ CF ₃	nBu
792	CF ₃	CH ₃	H	CH ₂ OCH ₃	nBu
793	CF ₃	CH ₃	H	OCH ₃	nBu
794	CF ₃	CH ₃	H	Ph	nBu
795	CF ₃	CH ₃	H	-CH ₂ Ph	nBu
796	CF ₃	nBu	CH ₃	H	CF ₃
797	CF ₃	nBu	CH ₃	H	CF ₂ CF ₃
798	CF ₃	nBu	CH ₃	H	CH ₂ OCH ₃
799	CF ₃	nBu	CH ₃	H	OCH ₃
800	CF ₃	nBu	CH ₃	H	Ph
801	CF ₃	nBu	CH ₃	H	-CH ₂ Ph
802	CF ₃	CF ₃	H	CH ₃	nBu
803	CF ₃	CF ₂ CF ₃	H	CH ₃	nBu
804	CF ₃	CH ₂ OCH ₃	H	CH ₃	nBu
805	CF ₃	OCH ₃	H	CH ₃	nBu
806	CF ₃	Ph	H	CH ₃	nBu
807	CF ₃	-CH ₂ Ph	H	CH ₃	nBu
808	Ph	H	H	H	H
809	Ph	CH ₃	H	H	H
810	Ph	H	H	CH ₃	H
811	Ph	CH ₃	CH ₃	H	H
812	Ph	H	H	CH ₃	CH ₃
813	Ph	CF ₃	H	H	H
814	Ph	H	H	CF ₃	H
815	OH	H	H	H	H

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816	OH	CH ₃	H	H	H
817	OH	H	H	CH ₃	H
818	OH	CH ₃	CH ₃	H	H
819	OH	H	H	CH ₃	CH ₃
820	OH	H	H	CF ₃	H
821	OH	CF ₃	H	H	CH ₃
822	OH	CF ₃	H	H	H
823	OH	CH ₃	H	CF ₃	H
824	OH	H	H	CH ₂ OCH ₃	H
825	OH	CH ₂ OCH ₃	H	H	H
826	OH	CH ₂ OCH ₃	H	CH ₃	H
827	OH	H	CH ₃	CH ₂ OCH ₃	H
828	OH	CH ₂ OCH ₃	H	CH ₃	H
829	OH	H	CH ₃	CH ₂ OCH ₃	H
830	CH ₃	H	(CH ₂) ₄		H

Table B-8



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	R ₅	R ₆	R ₇	R ₈	R ₉
1.	H	H	H	H	H
2.	CH ₃	H	H	H	H
3.	n-Bu	H	H	H	H
4.	CF ₃	H	H	H	H
5.	CF ₂ CF ₃	H	H	H	H
6.	CH ₂ OCH ₃	H	H	H	H
7.	OCH ₃	H	H	H	H
8.	Ph	H	H	H	H
9.	-CH ₂ Ph	H	H	H	H
10.	H	H	CH ₃	H	H

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11.	H	H	n-Bu	H	H
12.	H	H	CF ₃	H	H
13.	H	H	CF ₂ CF ₃	H	H
14.	H	H	CH ₂ OCH ₃	H	H
15.	H	H	OCH ₃	H	H
16.	H	H	Ph	H	H
17.	H	H	-CH ₂ Ph	H	H
18.	CH ₃	CH ₃	H	H	H
19.	n-Bu	CH ₃	H	H	H
20.	CF ₃	CH ₃	H	H	H
21.	CF ₂ CF ₃	CH ₃	H	H	H
22.	CH ₂ OCH ₃	CH ₃	H	H	H
23.	OCH ₃	CH ₃	H	H	H
24.	Ph	CH ₃	H	H	H
25.	-CH ₂ Ph	CH ₃	H	H	H
26.	H	H	CH ₃	CH ₃	H
27.	H	H	CH ₃	n-Bu	H
28.	H	H	CH ₃	CF ₃	H
29.	H	H	CH ₃	CF ₂ CF ₃	H
30.	H	H	CH ₃	CH ₂ OCH ₃	H
31.	H	H	CH ₃	OCH ₃	H
32.	H	H	CH ₃	Ph	H
33.	H	H	CH ₃	CH ₂ Ph	H
34.	CH ₃	H	H	CH ₃	H
35.	n-Bu	H	H	CH ₃	H
36.	CF ₃	H	H	CH ₃	H
37.	CF ₂ CF ₃	H	H	CH ₃	H
38.	CH ₂ OCH ₃	H	H	CH ₃	H
39.	OCH ₃	H	H	CH ₃	H
40.	Ph	H	H	CH ₃	H
41.	-CH ₂ Ph	H	H	CH ₃	H
42.	H	CH ₃	n-Bu	H	H
43.	H	CH ₃	CF ₃	H	H
44.	H	CH ₃	CF ₂ CF ₃	H	H
45.	H	CH ₃	CH ₂ OCH ₃	H	H
46.	H	CH ₃	OCH ₃	H	H
47.	H	CH ₃	Ph	H	H
48.	H	CH ₃	-CH ₂ Ph	H	H
49.	CH ₃	H	CH ₃	CH ₃	H
50.	n-Bu	H	CH ₃	CH ₃	H
51.	CF ₃	H	CH ₃	CH ₃	H
52.	CF ₂ CF ₃	H	CH ₃	CH ₃	H
53.	CH ₂ OCH ₃	H	CH ₃	CH ₃	H
54.	OCH ₃	H	CH ₃	CH ₃	H
55.	Ph	H	CH ₃	CH ₃	H
56.	CH ₂ Ph	H	CH ₃	CH ₃	H
57.	CH ₃	CH ₃	CH ₃	H	H

58.	CH ₃	CH ₃	n-Bu	H	H
59.	CH ₃	CH ₃	CF ₃	H	H
60.	CH ₃	CH ₃	CF ₂ CF ₃	H	H
61.	CH ₃	CH ₃	CH ₂ OCH ₃	H	H
62.	CH ₃	CH ₃	OCH ₃	H	H
63.	CH ₃	CH ₃	Ph	H	H
64.	CH ₃	CH ₃	-CH ₂ Ph	H	H
65.	n-Bu	CH ₃	CH ₃	H	H
66.	CF ₃	CH ₃	CH ₃	H	H
67.	CF ₂ CF ₃	CH ₃	CH ₃	H	H
68.	CH ₂ OCH ₃	CH ₃	CH ₃	H	H
69.	OCH ₃	CH ₃	CH ₃	H	H
70.	Ph	CH ₃	CH ₃	H	H
71.	CH ₂ Ph	CH ₃	CH ₃	H	H
72.	CH ₃	H	CH ₃	n-Bu	H
73.	CH ₃	H	CH ₃	CF ₃	H
74.	CH ₃	H	CH ₃	CF ₂ CF ₃	H
75.	CH ₃	H	CH ₃	CH ₂ OCH ₃	H
76.	CH ₃	H	CH ₃	OCH ₃	H
77.	CH ₃	H	CH ₃	Ph	H
78.	CH ₃	H	CH ₃	CH ₂ Ph	H
79.	CH ₃	CH ₃	CH ₃	CH ₃	H
80.	n-Bu	CH ₃	CH ₃	CH ₃	H
81.	CF ₃	CH ₃	CH ₃	CH ₃	H
82.	CF ₂ CF ₃	CH ₃	CH ₃	CH ₃	H
83.	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃	H
84.	OCH ₃	CH ₃	CH ₃	CH ₃	H
85.	Ph	CH ₃	CH ₃	CH ₃	H
86.	CH ₂ Ph	CH ₃	CH ₃	CH ₃	H
87.	CH ₃	CH ₃	CH ₃	n-Bu	H
88.	CH ₃	CH ₃	CH ₃	CF ₃	H
89.	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃	H
90.	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃	H
91.	CH ₃	CH ₃	CH ₃	OCH ₃	H
92.	CH ₃	CH ₃	CH ₃	Ph	H
93.	CH ₃	CH ₃	CH ₃	CH ₂ Ph	H
94.	n-Bu	n-Bu	H	H	H
95.	CF ₃	n-Bu	H	H	H
96.	CF ₂ CF ₃	n-Bu	H	H	H
97.	CH ₂ OCH ₃	n-Bu	H	H	H
98.	OCH ₃	n-Bu	H	H	H
99.	Ph	n-Bu	H	H	H
100.	CH ₂ Ph	n-Bu	H	H	H
101.	H	H	n-Bu	n-Bu	H
102.	H	H	CF ₃	n-Bu	H
103.	H	H	CF ₂ CF ₃	n-Bu	H
104.	H	H	CH ₂ OCH ₃	n-Bu	H

105	H	H	OCH ₃	n-Bu	H
106	H	H	Ph	n-Bu	H
107	H	H	-CH ₂ Ph	n-Bu	H
108	n-Bu	H	H	H	H
109	n-Bu	H	H	CH ₃	H
110	n-Bu	H	H	v	H
111	n-Bu	H	H	CF ₃	H
112	n-Bu	H	H	CF ₂ CF ₃	H
113	n-Bu	H	H	CH ₂ OCH ₃	H
114	n-Bu	H	H	OCH ₃	H
115	n-Bu	H	H	Ph	H
116	n-Bu	H	H	-CH ₂ Ph	H
117	H	H	n-Bu	H	H
118	H	CH ₃	n-Bu	H	H
119	H	n-Bu	n-Bu	H	H
120	H	CF ₃	n-Bu	H	H
121	H	CF ₂ CF ₃	n-Bu	H	H
122	H	CH ₂ OCH ₃	n-Bu	H	H
123	H	OCH ₃	n-Bu	H	H
124	H	Ph	n-Bu	H	H
125	H	-CH ₂ Ph	n-Bu	H	H
126	CH ₃	n-Bu	n-Bu	H	H
127	n-Bu	n-Bu	n-Bu	H	H
128	CF ₃	n-Bu	n-Bu	H	H
129	CF ₂ CF ₃	n-Bu	n-Bu	H	H
130	CH ₂ OCH ₃	n-Bu	n-Bu	H	H
131	OCH ₃	n-Bu	n-Bu	H	H
132	Ph	n-Bu	n-Bu	H	H
133	-CH ₂ Ph	n-Bu	n-Bu	H	H
134	CH ₃	H	n-Bu	n-Bu	H
135	n-Bu	H	n-Bu	n-Bu	H
136	CF ₃	H	n-Bu	n-Bu	H
137	CF ₂ CF ₃	H	n-Bu	n-Bu	H
138	CH ₂ OCH ₃	H	n-Bu	n-Bu	H
139	OCH ₃	H	n-Bu	n-Bu	H
140	Ph	H	n-Bu	n-Bu	H
141	-CH ₂ Ph	H	n-Bu	n-Bu	H
142	n-Bu	n-Bu	CH ₃	H	H
143	n-Bu	n-Bu	CF ₃	H	H
144	n-Bu	n-Bu	CF ₂ CF ₃	H	H
145	n-Bu	n-Bu	CH ₂ OCH ₃	H	H
146	n-Bu	n-Bu	OCH ₃	H	H
147	n-Bu	n-Bu	Ph	H	H
148	n-Bu	n-Bu	-CH ₂ Ph	H	H
149	n-Bu	H	CH ₃	n-Bu	H
150	n-Bu	H	CF ₃	n-Bu	H
151	n-Bu	H	CF ₂ CF ₃	n-Bu	H

152	n-Bu	H	CH ₂ OCH ₃	n-Bu	H
153	n-Bu	H	OCH ₃	n-Bu	H
154	n-Bu	H	Ph	n-Bu	H
155	n-Bu	H	-CH ₂ Ph	n-Bu	H
156	CH ₃	n-Bu	n-Bu	n-Bu	H
157	n-Bu	n-Bu	n-Bu	n-Bu	H
158	CF ₃	n-Bu	n-Bu	n-Bu	H
159	CF ₂ CF ₃	n-Bu	n-Bu	n-Bu	H
160	CH ₂ OCH ₃	n-Bu	n-Bu	n-Bu	H
161	OCH ₃	n-Bu	n-Bu	n-Bu	H
162	Ph	n-Bu	n-Bu	n-Bu	H
163	-CH ₂ Ph	n-Bu	n-Bu	n-Bu	H
164	n-Bu	n-Bu	n-Bu	CH ₃	H
165	n-Bu	n-Bu	n-Bu	CF ₃	H
166	n-Bu	n-Bu	n-Bu	CF ₂ CF ₃	H
167	n-Bu	n-Bu	n-Bu	CH ₂ OCH ₃	H
168	n-Bu	n-Bu	n-Bu	OCH ₃	H
169	n-Bu	n-Bu	n-Bu	Ph	H
170	n-Bu	n-Bu	n-Bu	-CH ₂ Ph	H
171	n-Bu	CH ₃	n-Bu	CH ₃	H
172	n-Bu	CH ₃	n-Bu	CF ₃	H
173	n-Bu	CH ₃	n-Bu	CF ₂ CF ₃	H
174	n-Bu	CH ₃	n-Bu	CH ₂ OCH ₃	H
175	n-Bu	CH ₃	n-Bu	OCH ₃	H
176	n-Bu	CH ₃	n-Bu	Ph	H
177	n-Bu	CH ₃	n-Bu	-CH ₂ Ph	H
178	CF ₃	n-Bu	n-Bu	CH ₃	H
179	CF ₂ CF ₃	n-Bu	n-Bu	CH ₃	H
180	CH ₂ OCH ₃	n-Bu	n-Bu	CH ₃	H
181	OCH ₃	n-Bu	n-Bu	CH ₃	H
182	Ph	n-Bu	n-Bu	CH ₃	H
183	-CH ₂ Ph	n-Bu	n-Bu	CH ₃	H
184	CH ₃	CH ₃	n-Bu	n-Bu	H
185	CF ₃	CH ₃	n-Bu	n	H
186	CF ₂ CF ₃	CH ₃	n-Bu	n	H
187	CH ₂ OCH ₃	CH ₃	n-Bu	n	H
188	OCH ₃	CH ₃	n-Bu	n	H
189	Ph	CH ₃	n-Bu	n	H
190	-CH ₂ Ph	CH ₃	n-Bu	n	H
191	n-Bu	n-Bu	CH ₃	CH ₃	H
192	n-Bu	n-Bu	CF ₃	CH ₃	H
193	n-Bu	n-Bu	CF ₂ CF ₃	CH ₃	H
194	n-Bu	n-Bu	CH ₂ OCH ₃	CH ₃	H
195	n-Bu	n-Bu	OCH ₃	CH ₃	H
196	n-Bu	n-Bu	Ph	CH ₃	H
197	n-Bu	n-Bu	-CH ₂ Ph	CH ₃	H
198	n-Bu	CH ₃	CH ₃	CF ₃	H

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199.	n-Bu	CH ₃	CH ₃	CF ₂ CF ₃	H
200.	n-Bu	CH ₃	CH ₃	CH ₂ OCH ₃	H
201.	n-Bu	CH ₃	CH ₃	OCH ₃	H
202.	n-Bu	CH ₃	CH ₃	Ph	H
203.	n-Bu	CH ₃	CH ₃	-CH ₂ Ph	H
204.	CF ₃	CH ₃	CH ₃	n-Bu	H
205.	CF ₂ CF ₃	CH ₃	CH ₃	n-Bu	H
206.	CH ₂ OCH ₃	CH ₃	CH ₃	n-Bu	H
207.	OCH ₃	CH ₃	CH ₃	n-Bu	H
208.	Ph	CH ₃	CH ₃	n-Bu	H
209.	-CH ₂ Ph	CH ₃	CH ₃	n-Bu	H
210.	CF ₃	n-Bu	CH ₃	CH ₃	H
211.	CF ₂ CF ₃	n-Bu	CH ₃	CH ₃	H
212.	CH ₂ OCH ₃	n-Bu	CH ₃	CH ₃	H
213.	OCH ₃	n-Bu	CH ₃	CH ₃	H
214.	Ph	n-Bu	CH ₃	CH ₃	H
215.	-CH ₂ Ph	n-Bu	CH ₃	CH ₃	H
216.	CH ₃	CH ₃	CF ₃	n-Bu	H
217.	CH ₃	CH ₃	CF ₂ CF ₃	n-Bu	H
218.	CH ₃	CH ₃	CH ₂ OCH ₃	n-Bu	H
219.	CH ₃	CH ₃	OCH ₃	n-Bu	H
220.	CH ₃	CH ₃	Ph	n-Bu	H
221.	CH ₃	CH ₃	-CH ₂ Ph	n-Bu	H
222.	CF ₃	n-Bu	H	CH ₃	H
223.	CF ₂ CF ₃	n-Bu	H	CH ₃	H
224.	CH ₂ OCH ₃	n-Bu	H	CH ₃	H
225.	OCH ₃	n-Bu	H	CH ₃	H
226.	Ph	n-Bu	H	CH ₃	H
227.	-CH ₂ Ph	n-Bu	H	CH ₃	H
228.	H	CH ₃	CF ₃	n-Bu	H
229.	H	CH ₃	CF ₂ CF ₃	n-Bu	H
230.	H	CH ₃	CH ₂ OCH ₃	n-Bu	H
231.	H	CH ₃	OCH ₃	n-Bu	H
232.	H	CH ₃	Ph	n-Bu	H
233.	H	CH ₃	-CH ₂ Ph	n-Bu	H
234.	n-Bu	H	CH ₃	CF ₃	H
235.	n-Bu	H	CH ₃	CF ₂ CF ₃	H
236.	n-Bu	H	CH ₃	CH ₂ OCH ₃	H
237.	n-Bu	H	CH ₃	OCH ₃	H
238.	n-Bu	H	CH ₃	Ph	H
239.	n-Bu	H	CH ₃	-CH ₂ Ph	H
240.	CF ₃	CH ₃	n-Bu	H	H
241.	CF ₂ CF ₃	CH ₃	n-Bu	H	H
242.	CH ₂ OCH ₃	CH ₃	n-Bu	H	H
243.	OCH ₃	CH ₃	n-Bu	H	H
244.	Ph	CH ₃	n-Bu	H	H
245.	-CH ₂ Ph	CH ₃	n-Bu	H	H

246.	CF ₃	n-Bu	CH ₃	H	H
247.	CF ₂ CF ₃	n-Bu	CH ₃	H	H
248.	CH ₂ OCH ₃	n-Bu	CH ₃	H	H
249.	OCH ₃	n-Bu	CH ₃	H	H
250.	Ph	n-Bu	CH ₃	H	H
251.	-CH ₂ Ph	n-Bu	CH ₃	H	H
252.	CH ₃	H	CF ₃	n-Bu	H
253.	CH ₃	H	CF ₂ CF ₃	n-Bu	H
254.	CH ₃	H	CH ₂ OCH ₃	n-Bu	H
255.	CH ₃	H	OCH ₃	n-Bu	H
256.	CH ₃	H	Ph	n-Bu	H
257.	CH ₃	H	-CH ₂ Ph	n-Bu	H
258.	n-Bu	CH ₃	H	CF ₃	H
259.	n-Bu	CH ₃	H	CF ₂ CF ₃	H
260.	n-Bu	CH ₃	H	CH ₂ OCH ₃	H
261.	n-Bu	CH ₃	H	OCH ₃	H
262.	n-Bu	CH ₃	H	Ph	H
263.	n-Bu	CH ₃	H	-CH ₂ Ph	H
264.	CF ₃	H	CH ₃	n-Bu	H
265.	CF ₂ CF ₃	H	CH ₃	n-Bu	H
266.	CH ₂ OCH ₃	H	CH ₃	n-Bu	H
267.	OCH ₃	H	CH ₃	n-Bu	H
268.	Ph	H	CH ₃	n-Bu	H
269.	-CH ₂ Ph	H	CH ₃	n-Bu	H
270.	H	H	H	H	CH ₃
271.	CH ₃	H	H	H	CH ₃
272.	n-Bu	H	H	H	CH ₃
273.	CF ₃	H	H	H	CH ₃
274.	CF ₂ CF ₃	H	H	H	CH ₃
275.	CH ₂ OCH ₃	H	H	H	CH ₃
276.	OCH ₃	H	H	H	CH ₃
277.	Ph	H	H	H	CH ₃
278.	-CH ₂ Ph	H	H	H	CH ₃
279.	H	H	CH ₃	H	CH ₃
280.	H	H	n-Bu	H	CH ₃
281.	H	H	CF ₃	H	CH ₃
282.	H	H	CF ₂ CF ₃	H	CH ₃
283.	H	H	CH ₂ OCH ₃	H	CH ₃
284.	H	H	OCH ₃	H	CH ₃
285.	H	H	Ph	H	CH ₃
286.	H	H	-CH ₂ Ph	H	CH ₃
287.	CH ₃	CH ₃	H	H	CH ₃
288.	n-Bu	CH ₃	H	H	CH ₃
289.	CF ₃	CH ₃	H	H	CH ₃
290.	CF ₂ CF ₃	CH ₃	H	H	CH ₃
291.	CH ₂ OCH ₃	CH ₃	H	H	CH ₃
292.	OCH ₃	CH ₃	H	H	CH ₃

293.	Ph	CH ₃	H	H	CH ₃
294.	-CH ₂ Ph	CH ₃	H	H	CH ₃
295.	H	H	CH ₃	CH ₃	CH ₃
296.	H	H	CH ₃	n-Bu	CH ₃
297.	H	H	CH ₃	CF ₃	CH ₃
298.	H	H	CH ₃	CF ₂ CF ₃	CH ₃
299.	H	H	CH ₃	CH ₂ OCH ₃	CH ₃
300.	H	H	CH ₃	OCH ₃	CH ₃
301.	H	H	CH ₃	Ph	CH ₃
302.	H	H	CH ₃	-CH ₂ Ph	CH ₃
303.	CH ₃	H	H	CH ₃	CH ₃
304.	n-Bu	H	H	CH ₃	CH ₃
305.	CF ₃	H	H	CH ₃	CH ₃
306.	CF ₂ CF ₃	H	H	CH ₃	CH ₃
307.	CH ₂ OCH ₃	H	H	CH ₃	CH ₃
308.	OCH ₃	H	H	CH ₃	CH ₃
309.	Ph	H	H	CH ₃	CH ₃
310.	-CH ₂ Ph	H	H	CH ₃	CH ₃
311.	H	CH ₃	n-Bu	H	CH ₃
312.	H	CH ₃	CF ₃	H	CH ₃
313.	H	CH ₃	CF ₂ CF ₃	H	CH ₃
314.	H	CH ₃	CH ₂ OCH ₃	H	CH ₃
315.	H	CH ₃	OCH ₃	H	CH ₃
316.	H	CH ₃	Ph	H	CH ₃
317.	H	CH ₃	-CH ₂ Ph	H	CH ₃
318.	CH ₃	H	CH ₃	CH ₃	CH ₃
319.	n-Bu	H	CH ₃	CH ₃	CH ₃
320.	CF ₃	H	CH ₃	CH ₃	CH ₃
321.	CF ₂ CF ₃	H	CH ₃	CH ₃	CH ₃
322.	CH ₂ OCH ₃	H	CH ₃	CH ₃	CH ₃
323.	OCH ₃	H	CH ₃	CH ₃	CH ₃
324.	Ph	H	CH ₃	CH ₃	CH ₃
325.	-CH ₂ Ph	H	CH ₃	CH ₃	CH ₃
326.	CH ₃	CH ₃	CH ₃	H	CH ₃
327.	CH ₃	CH ₃	n-Bu	H	CH ₃
328.	CH ₃	CH ₃	CF ₃	H	CH ₃
329.	CH ₃	CH ₃	CF ₂ CF ₃	H	CH ₃
330.	CH ₃	CH ₃	CH ₂ OCH ₃	H	CH ₃
331.	CH ₃	CH ₃	OCH ₃	H	CH ₃
332.	CH ₃	CH ₃	Ph	H	CH ₃
333.	CH ₃	CH ₃	-CH ₂ Ph	H	CH ₃
334.	n-Bu	CH ₃	CH ₃	H	CH ₃
335.	CF ₃	CH ₃	CH ₃	H	CH ₃
336.	CF ₂ CF ₃	CH ₃	CH ₃	H	CH ₃
337.	CH ₂ OCH ₃	CH ₃	CH ₃	H	CH ₃
338.	OCH ₃	CH ₃	CH ₃	H	CH ₃
339.	Ph	CH ₃	CH ₃	H	CH ₃

340	-CH ₂ Ph	CH ₃	CH ₃	H	CH ₃
341	CH ₃	H	CH ₃	n-Bu	CH ₃
342	CH ₃	H	CH ₃	CF ₃	CH ₃
343	CH ₃	H	CH ₃	CF ₂ CF ₃	CH ₃
344	CH ₃	H	CH ₃	CH ₂ OCH ₃	CH ₃
345	CH ₃	H	CH ₃	OCH ₃	CH ₃
346	CH ₃	H	CH ₃	Ph	CH ₃
347	CH ₃	H	CH ₃	-CH ₂ Ph	CH ₃
348	CH ₃	CH ₃	CH ₃	CH ₃	CH ₃
349	n-Bu	CH ₃	CH ₃	CH ₃	CH ₃
350	CF ₃	CH ₃	CH ₃	CH ₃	CH ₃
351	CF ₂ CF ₃	CH ₃	CH ₃	CH ₃	CH ₃
352	CH ₂ OCH ₃	CH ₃	CH ₃	CH ₃	CH ₃
353	OCH ₃	CH ₃	CH ₃	CH ₃	CH ₃
354	Ph	CH ₃	CH ₃	CH ₃	CH ₃
355	-CH ₂ Ph	CH ₃	CH ₃	CH ₃	CH ₃
356	CH ₃	CH ₃	CH ₃	n-Bu	CH ₃
357	CH ₃	CH ₃	CH ₃	CF ₃	CH ₃
358	CH ₃	CH ₃	CH ₃	CF ₂ CF ₃	CH ₃
359	CH ₃	CH ₃	CH ₃	CH ₂ OCH ₃	CH ₃
360	CH ₃	CH ₃	CH ₃	OCH ₃	CH ₃
361	CH ₃	CH ₃	CH ₃	Ph	CH ₃
362	CH ₃	CH ₃	CH ₃	-CH ₂ Ph	CH ₃
363	n-Bu	n-Bu	H	H	CH ₃
364	CF ₃	n-Bu	H	H	CH ₃
365	CF ₂ CF ₃	n-Bu	H	H	CH ₃
366	CH ₂ OCH ₃	n-Bu	H	H	CH ₃
367	OCH ₃	n-Bu	H	H	CH ₃
368	Ph	n-Bu	H	H	CH ₃
369	-CH ₂ Ph	n-Bu	H	H	CH ₃
370	H	H	n-Bu	n-Bu	CH ₃
371	H	H	CF ₃	n-Bu	CH ₃
372	H	H	CF ₂ CF ₃	n-Bu	CH ₃
373	H	H	CH ₂ OCH ₃	n-Bu	CH ₃
374	H	H	OCH ₃	n-Bu	CH ₃
375	H	H	Ph	n-Bu	CH ₃
376	H	H	-CH ₂ Ph	n-Bu	CH ₃
377	n-Bu	H	H	H	CH ₃
378	n-Bu	H	H	CH ₃	CH ₃
379	n-Bu	H	H	n-Bu	CH ₃
380	n-Bu	H	H	CF ₃	CH ₃
381	n-Bu	H	H	CF ₂ CF ₃	CH ₃
382	n-Bu	H	H	CH ₂ OCH ₃	CH ₃
383	n-Bu	H	H	OCH ₃	CH ₃
384	n-Bu	H	H	Ph	CH ₃
385	n-Bu	H	H	-CH ₂ Ph	CH ₃
386	H	H	n-Bu	H	CH ₃

387	H	CH ₃	n-Bu	H	CH ₃
388	H	n-Bu	n-Bu	H	CH ₃
389	H	CF ₃	n-Bu	H	CH ₃
390	H	CF ₂ CF ₃	n-Bu	H	CH ₃
391	H	CH ₂ OCH ₃	n-Bu	H	CH ₃
392	H	OCH ₃	n-Bu	H	CH ₃
393	H	Ph	n-Bu	H	CH ₃
394	H	-CH ₂ Ph	n-Bu	H	CH ₃
395	CH ₃	n-Bu	n-Bu	H	CH ₃
396	n-Bu	n-Bu	n-Bu	H	CH ₃
397	CF ₃	n-Bu	n-Bu	H	CH ₃
398	CF ₂ CF ₃	n-Bu	n-Bu	H	CH ₃
399	CH ₂ OCH ₃	n-Bu	n-Bu	H	CH ₃
400	OCH ₃	n-Bu	n-Bu	H	CH ₃
401	Ph	n-Bu	n-Bu	H	CH ₃
402	-CH ₂ Ph	n-Bu	n-Bu	H	CH ₃
403	CH ₃	H	n-Bu	n-Bu	CH ₃
404	n-Bu	H	n-Bu	n-Bu	CH ₃
405	CF ₃	H	n-Bu	n-Bu	CH ₃
406	CF ₂ CF ₃	H	n-Bu	n-Bu	CH ₃
407	CH ₂ OCH ₃	H	n-Bu	n-Bu	CH ₃
408	OCH ₃	H	n-Bu	n-Bu	CH ₃
409	Ph	H	n-Bu	n-Bu	CH ₃
410	-CH ₂ Ph	H	n-Bu	n-Bu	CH ₃
411	n-Bu	n-Bu	CH ₃	H	CH ₃
412	n-Bu	n-Bu	CF ₃	H	CH ₃
413	n-Bu	n-Bu	CF ₂ CF ₃	H	CH ₃
414	n-Bu	n-Bu	CH ₂ OCH ₃	H	CH ₃
415	n-Bu	n-Bu	OCH ₃	H	CH ₃
416	n-Bu	n-Bu	Ph	H	CH ₃
417	n-Bu	n-Bu	-CH ₂ Ph	H	CH ₃
418	n-Bu	H	CH ₃	n-Bu	CH ₃
419	n-Bu	H	CF ₃	n-Bu	CH ₃
420	n-Bu	H	CF ₂ CF ₃	n-Bu	CH ₃
421	n-Bu	H	CH ₂ OCH ₃	n-Bu	CH ₃
422	n-Bu	H	OCH ₃	n-Bu	CH ₃
423	n-Bu	H	Ph	n-Bu	CH ₃
424	n-Bu	H	-CH ₂ Ph	n-Bu	CH ₃
425	CH ₃	n-Bu	n-Bu	n-Bu	CH ₃
426	n-Bu	n-Bu	n-Bu	n-Bu	CH ₃
427	CF ₃	n-Bu	n-Bu	n-Bu	CH ₃
428	CF ₂ CF ₃	n-Bu	n-Bu	n-Bu	CH ₃
429	CH ₂ OCH ₃	n-Bu	n-Bu	n-Bu	CH ₃
430	OCH ₃	n-Bu	n-Bu	n-Bu	CH ₃
431	Ph	n-Bu	n-Bu	n-Bu	CH ₃
432	-CH ₂ Ph	n-Bu	n-Bu	n-Bu	CH ₃
433	n-Bu	n-Bu	n-Bu	CH ₃	CH ₃

434	n-Bu	n-Bu	n-Bu	CF ₃	CH ₃
435	n-Bu	n-Bu	n-Bu	CF ₂ CF ₃	CH ₃
436	n-Bu	n-Bu	n-Bu	CH ₂ OCH ₃	CH ₃
437	n-Bu	n-Bu	n-Bu	OCH ₃	CH ₃
438	n-Bu	n-Bu	n-Bu	Ph	CH ₃
439	n-Bu	n-Bu	n-Bu	-CH ₂ Ph	CH ₃
440	n-Bu	CH ₃	n-Bu	CH ₃	CH ₃
441	n-Bu	CH ₃	n-Bu	CF ₃	CH ₃
442	n-Bu	CH ₃	n-Bu	CF ₂ CF ₃	CH ₃
443	n-Bu	CH ₃	n-Bu	CH ₂ OCH ₃	CH ₃
444	n-Bu	CH ₃	n-Bu	OCH ₃	CH ₃
445	n-Bu	CH ₃	n-Bu	Ph	CH ₃
446	n-Bu	CH ₃	n-Bu	-CH ₂ Ph	CH ₃
447	CF ₃	n-Bu	n-Bu	CH ₃	CH ₃
448	CF ₂ CF ₃	n-Bu	n-Bu	CH ₃	CH ₃
449	CH ₂ OCH ₃	n-Bu	n-Bu	CH ₃	CH ₃
450	OCH ₃	n-Bu	n-Bu	CH ₃	CH ₃
451	Ph	n-Bu	n-Bu	CH ₃	CH ₃
452	-CH ₂ Ph	n-Bu	n-Bu	CH ₃	CH ₃
453	CH ₃	CH ₃	n-Bu	n-Bu	CH ₃
454	CF ₃	CH ₃	n-Bu	n-Bu	CH ₃
455	CF ₂ CF ₃	CH ₃	n-Bu	n-Bu	CH ₃
456	CH ₂ OCH ₃	CH ₃	n-Bu	n-Bu	CH ₃
457	OCH ₃	CH ₃	n-Bu	n-Bu	CH ₃
458	Ph	CH ₃	n-Bu	n-Bu	CH ₃
459	-CH ₂ Ph	CH ₃	n-Bu	n-Bu	CH ₃
460	n-Bu	n-Bu	CH ₃	CH ₃	CH ₃
461	n-Bu	n-Bu	CF ₃	CH ₃	CH ₃
462	n-Bu	n-Bu	CF ₂ CF ₃	CH ₃	CH ₃
463	n-Bu	n-Bu	CH ₂ OCH ₃	CH ₃	CH ₃
464	n-Bu	n-Bu	OCH ₃	CH ₃	CH ₃
465	n-Bu	n-Bu	Ph	CH ₃	CH ₃
466	n-Bu	n-Bu	-CH ₂ Ph	CH ₃	CH ₃
467	n-Bu	CH ₃	CH ₃	CF ₃	CH ₃
468	n-Bu	CH ₃	CH ₃	CF ₂ CF ₃	CH ₃
469	n-Bu	CH ₃	CH ₃	CH ₂ OCH ₃	CH ₃
470	n-Bu	CH ₃	CH ₃	OCH ₃	CH ₃
471	n-Bu	CH ₃	CH ₃	Ph	CH ₃
472	n-Bu	CH ₃	CH ₃	-CH ₂ Ph	CH ₃
473	CF ₃	CH ₃	CH ₃	n-Bu	CH ₃
474	CF ₂ CF ₃	CH ₃	CH ₃	n-Bu	CH ₃
475	CH ₂ OCH ₃	CH ₃	CH ₃	n-Bu	CH ₃
476	OCH ₃	CH ₃	CH ₃	n-Bu	CH ₃
477	Ph	CH ₃	CH ₃	n-Bu	CH ₃
478	-CH ₂ Ph	CH ₃	CH ₃	n-Bu	CH ₃
479	CF ₃	n-Bu	CH ₃	CH ₃	CH ₃
480	CF ₂ CF ₃	n-Bu	CH ₃	CH ₃	CH ₃

481.	CH ₂ OCH ₃	n-Bu	CH ₃	CH ₃	CH ₃
482.	OCH ₃	n-Bu	CH ₃	CH ₃	CH ₃
483.	Ph	n-Bu	CH ₃	CH ₃	CH ₃
484.	-CH ₂ Ph	n-Bu	CH ₃	CH ₃	CH ₃
485.	CH ₃	CH ₃	CF ₃	n-Bu	CH ₃
486.	CH ₃	CH ₃	CF ₂ CF ₃	n-Bu	CH ₃
487.	CH ₃	CH ₃	CH ₂ OCH ₃	n-Bu	CH ₃
488.	CH ₃	CH ₃	OCH ₃	n-Bu	CH ₃
489.	CH ₃	CH ₃	Ph	n-Bu	CH ₃
490.	CH ₃	CH ₃	-CH ₂ Ph	n-Bu	CH ₃
491.	CF ₃	n-Bu	H	CH ₃	CH ₃
492.	CF ₂ CF ₃	n-Bu	H	CH ₃	CH ₃
493.	CH ₂ OCH ₃	n-Bu	H	CH ₃	CH ₃
494.	OCH ₃	n-Bu	H	CH ₃	CH ₃
495.	Ph	n-Bu	H	CH ₃	CH ₃
496.	-CH ₂ Ph	n-Bu	H	CH ₃	CH ₃
497.	H	CH ₃	CF ₃	n-Bu	CH ₃
498.	H	CH ₃	CF ₂ CF ₃	n-Bu	CH ₃
499.	H	CH ₃	CH ₂ OCH ₃	n-Bu	CH ₃
500.	H	CH ₃	OCH ₃	n-Bu	CH ₃
501.	H	CH ₃	Ph	n-Bu	CH ₃
502.	H	CH ₃	-CH ₂ Ph	n-Bu	CH ₃
503.	n-Bu	H	CH ₃	CF ₃	CH ₃
504.	n-Bu	H	CH ₃	CF ₂ CF ₃	CH ₃
505.	n-Bu	H	CH ₃	CH ₂ OCH ₃	CH ₃
506.	n-Bu	H	CH ₃	OCH ₃	CH ₃
507.	n-Bu	H	CH ₃	Ph	CH ₃
508.	n-Bu	H	CH ₃	-CH ₂ Ph	CH ₃
509.	CF ₃	CH ₃	n-Bu	H	CH ₃
510.	CF ₂ CF ₃	CH ₃	n-Bu	H	CH ₃
511.	CH ₂ OCH ₃	CH ₃	n-Bu	H	CH ₃
512.	OCH ₃	CH ₃	n-Bu	H	CH ₃
513.	Ph	CH ₃	n-Bu	H	CH ₃
514.	-CH ₂ Ph	CH ₃	n-Bu	H	CH ₃
515.	CF ₃	n-Bu	CH ₃	H	CH ₃
516.	CF ₂ CF ₃	n-Bu	CH ₃	H	CH ₃
517.	CH ₂ OCH ₃	n-Bu	CH ₃	H	CH ₃
518.	OCH ₃	n-Bu	CH ₃	H	CH ₃
519.	Ph	n-Bu	CH ₃	H	CH ₃
520.	-CH ₂ Ph	n-Bu	CH ₃	H	CH ₃
521.	CH ₃	H	CF ₃	n-Bu	CH ₃
522.	CH ₃	H	CF ₂ CF ₃	n-Bu	CH ₃
523.	CH ₃	H	CH ₂ OCH ₃	n-Bu	CH ₃
524.	CH ₃	H	OCH ₃	n-Bu	CH ₃
525.	CH ₃	H	Ph	n-Bu	CH ₃
526.	CH ₃	H	-CH ₂ Ph	n-Bu	CH ₃
527.	n-Bu	CH ₃	H	CF ₃	CH ₃

528	n-Bu	CH ₃	H	CF ₂ CF ₃	CH ₃
529	n-Bu	CH ₃	H	CH ₂ OCH ₃	CH ₃
530	n-Bu	CH ₃	H	OCH ₃	CH ₃
531	n-Bu	CH ₃	H	Ph	CH ₃
532	n-Bu	CH ₃	H	-CH ₂ Ph	CH ₃
533	CF ₃	H	CH ₃	n-Bu	CH ₃
534	CF ₂ CF ₃	H	CH ₃	n-Bu	CH ₃
535	CH ₂ OCH ₃	H	CH ₃	n-Bu	CH ₃
536	OCH ₃	H	CH ₃	n-Bu	CH ₃
537	Ph	H	CH ₃	n-Bu	CH ₃
538	-CH ₂ Ph	H	CH ₃	n-Bu	CH ₃
539	H	-CH ₂ -		H	CH ₃
540	H	-(CH ₂) ₄ -		H	CH ₃

- 5 For the following example compounds physico-chemical data have been obtained and are displayed in order to illustrate the working of the present invention, including the outlined methods of synthesis. The number of given data may not be interpreted as a limitation of the invention. Analysis of compounds 6.610 to 6.684 : Reversed-phase was performed on a Waters Alliance 2790 LC equipped with a Waters996 UV detector using a
- 10 YMC CombiScreen ODS-AQ cartridge (30x4.6 mm, S-5 □m, 12 μm) Mobile phase: A: H₂O/CH₃CN 10/TFA, B: CH₃CN/TFA 0.1, C : MeOH.Gradient : 89% A 11% B, 0-3.5 min; 90% B 10% C 0.5 min.

Table C

15

Comp. No. from Table B Table A		Melting point [°C] or ¹ H-NMR [δ in ppm]
1.001	028	122-131
1.002	028	199-201
1.003	028	(DMSO); 0.60(t,3H), 1.19(s,3H), 1.67(q,2H), 2.02(s,3H), 6.93(dd,1H), 7.26(t,1H), 7.47(d,1H), 7.76(dd,1H), 7.83(dd,1H), 7.93(dd,1H), 8.48(d,1H), 8.55(d,1H), 8.63(d,1H), 10.00(s,NH);
1.004	028	187-192
1.005	028	(CDCl ₃); 1.80(s,3H), 2.14(s,3H), 7.00(dd,1H), 7.22-7.29(m,7H), 7.39(dd,1H), 7.72(s,1H), 7.84(s,1H), 8.52(d,1H), 8.70(dd,1H), 8.77(s,NH);

1.006	028	167-168
1.007	028	90-92
1.008	028	95-99
1.009	028	(DMSO); 1.41(s,3H), 2.18(s,3H), 3.10(s,2H), 7.04(d,1H), 7.14(s,5H), 7.38(t,1H), 7.50(d,1H), 7.85(d,1H), 7.92(d,1H), 7.98(s,1H), 8.42(s,1H), 8.55(d,1H), 8.71(d,1H), 10.09(s,NH);
1.010	028	165-168
1.011	028	215-219
1.012	028	210
1.050	028	202-205
1.051	028	164-167
1.052	028	167-170
1.053	028	189-192
2.002	028	181-185
2.003	028	204-208
2.004	028	210
2.005	028	190-192
2.006	028	199-203
2.007	028	180-182
2.008	048	127-135
2.009	028	87-83
2.010	028	195-197
2.011	028	187-189
2.012	028	218-220
3.001	028	163-166
3.002	028	189-191
3.003	028	158
3.011	028	(DMSO); 3.32(s,3H), 4.35(s,2H), 5.66(s,1H), 7.03(dd,1H), 7.35(t,1H), 7.62(m,1H), 7.77(m,1H), 8.00(m,1H), 8.20(m,1H), 8.48(m,1H), 8.62(d,1H), 8.74(d,1H), 10.12(s,NH), 12.25(s,1H);
3.012	028	158-159
3.013	028	167

3.014	028	141-150
3.015	028	(DMSO); 1.74(s,3H), 2.15(s,3H), 7.01(dd,1H), 7.37(t,1H), 7.46(s,1H), 7.82(s,1H), 7.93(d,2H), 8.55(d,1H), 8.63(d,1H), 9.21(s,1H), 10.07(s,NH), 11.5/12.0(s,1H);
3.016	028	(DMSO); 1.85(s,3H), 7.02(dd,1H), 7.35-7.79(m,7H), 8.61(d,1H), 8.74(d,1H), 10.12(s,NH), 11.7/11.9(s,1H);
3.017	028	185-188
3.018	028	171-174
3.019	028	149-150
3.020	028	155-157
3.027	028	178-180
3.028	028	181-184
3.029	028	199-201
3.030	028	120-125
3.031	028	169-170
3.032	028	184
3.033	028	171-175
3.034	028	163-167
3.035	028	152-161
3.036	028	115-119
3.037	028	182-185
3.038	028	160-163
3.039	028	210
3.040	028	184
3.041	028	210
5.001	028	143-144
5.002	028	151-153
5.003	028	166-168
5.004	028	200-202
1.001	048	(DMSO); 1.31(s,6H), 2.14(s,3H), 3.42(s,3H), 5.49(s,2H), 7.37-7.60(m,5H), 7.88(dd,1H), 8.54(s,1H), 8.61(d,1H), 8.64(d,1H);
6.002	028	238-240
6.003	028	120-125
6.012	028	229-231

6.015	028	173-175
6.020	028	184-186
6.152	028	213-215
6.153	028	118-127
6.177	028	184-186
6.179	028	187-189
6.605	028	196-198
6.606	028	79-84
6.607	028	153-156
6.608	028	110-120
6.609	028	213-216
6.610	028	RT 3.3 MS 614.2(Area MS 100%, AreaUV 100%)
6.611	028	RT 3.23 MS 564.2 (Area MS100 %, AreaUV 100%)
6.612	028	RT 3.9 MS 622.3(Area MS 100%, AreaUV 100%)
6.613	028	RT 2.37 MS 550(Area MS 100%, AreaUV 100%)
6.614	028	RT 2.37 MS 550(Area MS 100%, AreaUV 100%)
6.615	048	RT 2.15 MS 478.1(Area MS 100%, AreaUV 100%)
6.616	028	RT 2.4 MS 480.1(Area MS 100%, AreaUV 84%)
6.617	028	RT 2.37 MS 480.1(Area MS 100%, AreaUV 100%)
6.618	028	RT 2.1 MS 480.1(Area MS 100 %, AreaUV 88%)
6.619	028	RT 2.32 MS 480.1(Area MS 100%, AreaUV 100%)
6.620	028	RT 2.1 MS 555.1 (Area MS 100%, AreaUV 90%)
6.621	028	RT 2.1 MS 555.1 (Area MS 100%, AreaUV 90%)
6.622	028	RT 2.1 MS 468.1(Area MS 100%, AreaUV 85%)
6.623	028	RT 1.54 MS 572.1(Area MS 100%, AreaUV 89%)
6.624	028	RT 2.5 MS 482.1(Area MS 100%, AreaUV 100%)
6.625	028	RT 2.24 MS 466.1(Area MS 100%, AreaUV 100%)
6.626	028	RT 1.95 MS 466.1(Area MS 100%, AreaUV 100%)
6.627	028	RT 1.85 MS 464.1(Area MS 100%, AreaUV 100%)

6.628	028	RT 2.1 MS 492.1(Area MS 100%, AreaUV 92%)
6.629	028	RT 2 MS 478.1(Area MS 100%, AreaUV 100%)
6.630	028	RT 2 MS 478.1(Area MS 100%, AreaUV 100%)
6.631	028	RT 2.5 MS 494.1(Area MS 100%, AreaUV 93%)
6.632	028	RT 2.1 MS 480.1(Area MS 100%, AreaUV 74%)
6.633	028	RT 2.1 MS 480.1(Area MS 100%, AreaUV 74%)
6.634	028	RT 2.24 MS 494.1(Area MS 100%, AreaUV 100%)
6.635	028	RT 2.24 MS 494.1(Area MS 100%, AreaUV 100%)
6.636	028	RT 3.1;3.2 MS 580.1(Area MS 70%, AreaUV 62%)
6.637	028	RT 4 MS 598(Area MS 77%, AreaUV 100%)
6.638	028	RT 3.47 MS 610.1(Area MS 58%, AreaUV 100%)
6.639	028	RT 3.5 MS 564.1(Area MS 67%, AreaUV 100%)
6.640	028	RT 3.4 MS 598.1(Area MS 79%, AreaUV 80%)
6.641	028	RT 3.22 MS 560.1(Area MS 69%, AreaUV 100%)
6.642	028	RT 3.07 MS 660.1(Area MS 100%, AreaUV 100%)
6.643	028	RT 3.1 MS 514(Area MS 59%, AreaUV 100%)
6.644	028	RT 2.8 MS 522.1(Area MS 72%, AreaUV 100%)
6.645	028	RT 3.07 MS 548(Area MS 80%, AreaUV 100%)
6.646	028	RT 4.4 MS 638.2(Area MS 90%, AreaUV 62%)
6.647	028	RT 3.5 MS 580.1(Area MS 57%, AreaUV 100%)
6.648	028	RT 2.81;2.8 MS 500.1(Area MS 63%, AreaUV 100%)
6.649	028	RT 4 MS 538.2(Area MS 84%, AreaUV 100%)
6.650	028	RT 3.11 MS 546.1(Area MS 53%, AreaUV 80%)
6.651	028	RT 2.7;2.8 MS 540.1(Area MS 56%, AreaUV 74%)

6.652	028	RT 4.5 MS 592.2(Area MS 62%, AreaUV 100%)
6.653	028	RT 3.5 MS 554.2(Area MS 96%, AreaUV 100%)
6.654	028	RT 4.3 MS 562.2(Area MS 71%, AreaUV 100%)
6.655	028	RT 3.47 MS 494.1(Area MS 100%, AreaUV 100%)
6.656	028	RT 3 MS 514.1(Area MS 86%, AreaUV 100%)
6.657	028	RT 2.2 MS 551.1(Area MS 74%, AreaUV 100%)
6.658	028	RT 3.36 MS 508.1(Area MS 100%, AreaUV 100%)
6.659	028	RT 3.22 MS 590.1(Area MS 84%, AreaUV 100%)
6.660	028	RT 3.3 MS 564.1(Area MS 69%, AreaUV 74%)
6.661	028	RT 3.8 MS 758(Area MS 42%, AreaUV 100%)
6.662	028	RT 3.4 MS 566.1(Area MS 78%, AreaUV 100%)
6.663	028	RT 3.4 MS 642(Area MS 80%, AreaUV 100%)
6.664	028	RT 3 MS 614.2(Area MS 82%, AreaUV 100%)
6.665	028	RT 2.4 MS 512.1(Area MS 92%, AreaUV 82%)
6.666	028	RT 2.0;2.3 MS 545.1(Area MS 82%, AreaUV 100%)
6.667	028	RT 3.2 MS 494.1(Area MS 74%, AreaUV 100%)
6.668	028	RT 3.4 MS 596.1(Area MS 75%, AreaUV 100%)
6.669	028	RT 4.4 MS 658.1(Area MS 66%, AreaUV 100%)
6.670	028	RT 3.3 MS 562.1(Area MS 81%, AreaUV 100%)
6.671	028	RT 3.1 MS 585(Area MS 70%, AreaUV 100%)
6.672	028	RT 2.04;2.1 MS 531.1(Area MS 84%, AreaUV 100%)
6.673	028	RT 3.9 MS 586.2(Area MS 88%, AreaUV 100%)
6.674	028	RT 3 MS 522(Area MS 91%, AreaUV 100%)
6.675	028	RT 4.3 MS 578.2(Area MS 88%, AreaUV 100%)

6.676	028	RT 2.78;2.8 MS 512.1(Area MS 100%, AreaUV 100%)
6.677	028	RT 2.7 MS 525.1(Area MS 95%, AreaUV 100%)
6.678	028	RT 3.3 MS 584.1(Area MS 91%, AreaUV 100%)
6.679	028	RT 1.8;2.1 MS 517.1(Area MS 72%, AreaUV 100%)
6.680	028	RT 3.7 MS 512.1(Area MS 96%, AreaUV 100%)
6.681	028	RT 3 MS 516.1(Area MS 54%, AreaUV 38%)
6.682	028	RT 3.5 MS 708(Area MS 71%, AreaUV 100%)
6.683	028	RT 3.7 MS 720.1(Area MS 81%, AreaUV 100%)
6.684	028	RT 3.1 MS 607.1(Area MS 88%, AreaUV 100%)
6.685	028	80-100
6.686	028	183-186
6.687	028	212-215
6.688	028	176-178
6.689	028	183-185
6.690	028	110-115
6.691	028	119-123
6.692	028	117-120
6.693	028	83-89
6.694	028	90-100
6.695	028	73-76
6.696	028	110-120
6.697	028	145-160
6.698	028	84-90
6.699	028	239-242
6.700	028	90-105
6.701	028	232-235
6.702	028	178-182
6.703	028	142-148
6.704	028	222-225
6.705	028	75-85
6.706	028	142-144
6.707	028	235-240
6.708	028	141-144
6.709	028	80-82
6.710	028	82-84
6.711	028	174-176
6.712	028	201-203
6.713	028	120-125

6.714	028	198-200 RT 2.06 MS 464.1(Area MS 100%, AreaUV 100%)
6.715	028	85-90
6.716	028	87-97
6.717	028	251-253
6.718	028	RT 2.41 MS 512.1 (Area MS 100%, AreaUV 0%)
6.719	028	RT 2.63 MS 510.1(Area MS 88%, AreaUV 100%)
6.720	028	RT 2.4 MS 482.1(Area MS 90%, AreaUV 82%)
6.721	028	92-96
6.722	028	90-100
6.723	028	110-115
6.724	028	188-190
6.725	028	70-80
6.726	028	182-184
7.001	028	110-130
7.270	028	189-192
7.271	028	207-209
7.277	028	89-93
7.303	028	177-179
7.808	028	165-167
7.830	028	90-95
8.270	028	201-204
8.271	028	193-195
8.277	028	105-115
8.279	028	95-100
8.285	028	98-105
8.303	028	105-110
8.539	028	80-85
8.540	028	95-100

In the following, examples of test systems in plant protection are provided which can demonstrate the efficiency of the compounds of the formula I (designated as “active ingredient” or “test compounds”):

5 Biological Examples

Example B-1: Effect against *Puccinia graminis* on wheat (brownrust on wheat)

a) Residual protective activity

1 week old wheat plants cv. Arina are treated with the formulated test-compound (0.02 % active substance) in a spray chamber. Two days after application wheat plants are

10 inoculated by spraying a spore suspension (1×10^5 ureidospores/ml) on the test plants.

After an incubation period of 1 day at +20°C and 95% relative atmospheric humidity (r. h.) plants are kept for 9 days at +20°C and 60% r.h. in a greenhouse. The disease incidence is assessed 10 days after inoculation.

- At the indicated concentration compounds 1.01/028; 2.02/028; 1.03/028; 1.07/028;
 5 2.03/028; 2.05/028; 2.06/028 exhibited over 70% control of the fungal infection in this test.

Example B-2: Effect against *Phytophthora infestans* on tomatoes (late blight on potato)

a) Residual protective activity

- 3 week old tomato plants cv. Roter Gnom are treated with the formulated test compound
 10 (0.02 % active substance) in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension (2×10^4 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

- At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028; 1.07/028
 15 exhibited over 70% control of the fungal infection in this test.

Example B-3: Effect against *Phytophthora infestans* / potato (late blight on potato)

- 5 week old potato plants cv. Bintje are treated with the formulated test compound (0.02
 20 % active substance) in a spray chamber. Two days after application the plants are inoculated by spraying a sporangia suspension (1.4×10^5 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Example B-4: Effect against *Plasmopara viticola* on grapevine (grape downy mildew)

- 5 week old grape seedlings cv. Gutedel are treated with the formulated test compound
 25 (0.02 % active substance) in a spray chamber. One day after application grape plants are inoculated by spraying a sporangia suspension (4×10^4 sporangia/ml) on the lower leaf side of the test plants. After an incubation period of 6 days at +22°C and 95% r. h. in a greenhouse the disease incidence is assessed.
- 30 At the indicated concentration compounds 1.01/028; 3.01/028; 1.04/028 exhibited over 70% control of the fungal infection in this test.

Example B-5: Residual protective activity against *Venturia inaequalis* on apples (scab on apple)

4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02 % active substance) in a spray chamber. One day after application apple plants are inoculated by spraying a spore suspension (4×10^5 conidia/ml) on the test plants. After an incubation period of 4 days at +20°C and 95% r. h. the plants are transferred to
 5 standard greenhouse conditions at 20 and 60% r.h. where they stayed for 2 days. After another 4 day incubation period at +20°C and 95% r. h. the disease incidence is assessed. At the indicated concentration compounds 2.03/028; 1.001/028 exhibited over 70% control of the fungal infection in this test.

Example B-6: Effect against *Erysiphe graminis* on barley (powdery mildew on barley)

10 a) Residual protective activity

Barley plants, cv. Regina of approximately 8 cm height were treated with the formulated test compound (0.02% active substance) in a spray chamber and dusted 2 days after inoculation with conidia of the fungus. The infected plants are placed in a greenhouse at +20°C. 6 days after infection, the fungal attack was evaluated.

15 At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028, 2.05/028; 2.09/028; 3.014/028; 3.030/028 exhibited over 70% control of the fungal infection in this test.

Example B-7: Botrytis cinerea / grape (botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound
 20 (0.02% active substance) in a spray chamber. Two days after application grape plants are inoculated by spraying a spore suspension (1.5×10^5 conidia/ml) on the test plants. After an incubation period of 3 days at +21°C and 95% r. h. in a greenhouse the disease incidence is assessed.

At the indicated concentration compounds 1.01/028; 1.03/028; 1.04/028, 1.05/028;
 25 1.06/028, 1.07/028; 2.03/028; 2.05/028; 2.08/048; 2.09/028; 3.012/028; 3.013/028; 3.014/028; 2.012/028 exhibited over 70% control of the fungal infection in this test.

Example B-8: Effect against *Botrytis cinerea* / tomato (botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound
 30 0.02 % active substance) in a spray chamber. Two days after application tomato plants are inoculated by spraying a spore suspension (1×10^5 conidia/ml) on the test plants. After an incubation period of 4 days at +20°C and 95% r. h. in a greenhouse the disease incidence is assessed.

At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 1.04/028; 1.06/028; 2.06/028; 2.05/028; 2.08/048; 4.02/028; 7.270/028 exhibited over 70% control of the fungal infection in this test.

Example B-9: Effect against *Pyricularia oryzae* / rice (rice blast)

- 5 3 week old rice plants cv. Sasanishiki are treated with the formulated test compound (0.02 % active substance) in a spray chamber. Two days after application rice plants are inoculated by spraying a spore suspension (1×10^5 conidia/ml) on the test plants. After an incubation period of 6 days at +25°C and 95% r. h. the disease incidence is assessed. At the indicated concentration compounds 1.02/028; 1.04/028; 2.03/028; 2.06/028; 10 2.07/028 exhibited over 70% control of the fungal infection in this test.

Example B-10: Effect against *Pyrenophora teres* (*Helminthosporium*) / barley (net blotch on barley)

- 1 week old barley plants cv. Regina are treated with a formulated test compound (0.02 % active substance) in a spray chamber. Two days after application barley plants are 15 inoculated by spraying a spore suspension (3×10^4 conidia/ml) on the test plants. After an incubation period of 2 days at +20°C and 95% r.h. the disease incidence is assessed. At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 5.01/028; 1.03/028; 1.04/028, 1.01/048; 1.06/028, 1.07/028; 1.08/028; 2.03/028; 2.05/028; 2.07/028; 2.08/048; 2.09/028; 3.012/028; 3.013/028; 3.014/028; 2.012/028; 2.011/028; 3.016/028; 20 3.017/028; 3.027/028; 3.028/028; 7.270/028 exhibited over 70% control of the fungal infection in this test.

Example B-11: Effect against *Fusarium culmorum* / wheat (fusarium head blight on wheat)

- 25 A conidia suspension of *F. culmorum* (7×10^5 conidia/ml) is mixed with the formulated test compound (0.002 % active substance).. The mixture is applied into a pouch which has been equipped before with a filter paper. After the application wheat seeds (cv. Orestis) are sown into the upper fault of the filter paper. The prepared pouches are then incubated for 11 days at approx. +10°C to +18°C and a relative humidity of 100% with a 30 light period of 14 hours. The evaluation is made by assessing the degree of disease occurrence in the form of brown lesions on the roots.

Example B-12: Effect against *Septoria nodorum* / wheat (septoria leaf spot on wheat)

1 week old wheat plants cv. Arina are treated with a formulated test compound (0.02 %

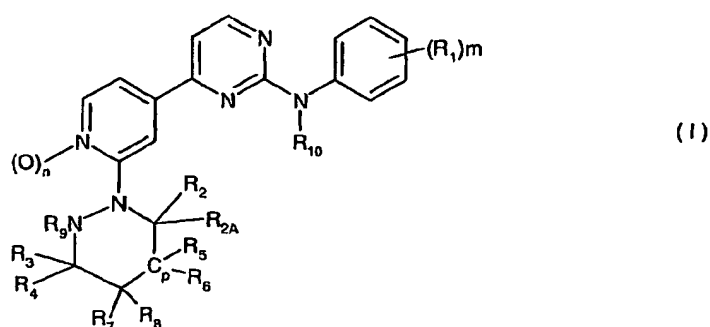
- 99 -

active substance) in a spray chamber. One day after application wheat plants are inoculated by spraying a spore suspension (6×10^5 conidia/ml) on the test plants. After an incubation period of 1 day at +22°C and 95% r.h. plants are kept for 7 days at +22°C and 60% r.h. in a greenhouse. The disease incidence is assessed 8 days after inoculation.

- 5 At the indicated concentration compounds 1.01/028; 2.02/028; 3.01/028; 5.01/028; 1.03/028; 1.06/028, 1.07/028; 2.03/028; 2.04/028; 2.05/028; 2.06/028; 2.09/028; 3.012/028; 2.012/028; 3.028/028 exhibited over 70% control of the fungal infection in this test.

CLAIMS

1. A compound of formula I



5

wherein

m is 0, 1, 2 or 3;

n and p are independently of each other 0 or 1;

10 R₁ is halogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted thioalkyl optionally substituted aryl, COOR₁₁, CONR₁₂R₁₃, S(O)_qR₁₄, SO₂NR₁₅R₁₆ or NR_{15a}R_{16a}; when there is more than one R₁ group, they may be the same or different;

q is 1 or 2;

15 R₂, R_{2a}, R₃, R₄, R₅, R₆, R₇, R₈ are each independently hydrogen, optionally substituted alkyl, COR₁₇, COOR₁₈ or optionally substituted aryl, and in addition R₂ and R₃ may also independently be optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, or optionally substituted alkylthio, COOR₁₉, CONR₂₀R₂₁, OH or SH;

20 R₆ and R₇ may also be independently halogen, optionally substituted alkoxy, optionally substituted alkenyloxy, optionally substituted alkynyloxy, optionally substituted alkenylamino, optionally substituted alkynylamino, optionally substituted alkylthio, optionally substituted cycloalkyl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted cycloalkyloxy, OH, SH, N₃,
25 NR₂₂R₂₃ or N(R₂₄)COR₂₅;

or the ring members CR₃R₄ or CR₂R_{2a} are independently of each other a carbonyl group (C=O) or a thonyl group (C=S);

or one or two of the adjacent pairs of groups R_9 and R_4 , R_4 and R_8 , R_5 and R_8 , or, if p is zero, R_{2A} and R_8 may form a bond, provided that if there are 2 double bonds in the ring the double bonds are not adjacent each other;

or the pair of groups R_7 and R_8 or the pair of groups R_6 and R_7 together with the atom to which they are attached form a C_3 - C_7 saturated ring;

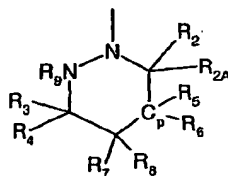
R_9 is hydrogen, optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl;

R_{10} is hydrogen, C_1 - C_4 -alkyl, C_3 - C_4 -alkenyl, C_3 - C_4 -alkynyl, $-CH_2OR_{26}$, CH_2SR_{27} , $-C(O)R_{28}$, $-C(O)OR_{29}$, SO_2R_{30} , SOR_{31} or SR_{32} ;

R_{26} , R_{27} , R_{28} , R_{29} , R_{30} , R_{31} , R_{32} are independently C_1 - C_8 -alkyl C_1 - C_8 -alkoxyalkyl, C_1 - C_8 haloalkyl or phenyl C_1 - C_2 -alkyl wherein the phenyl may be substituted by up to three groups selected from halo or C_1 - C_4 -alkyl,

R_{11} , R_{12} , R_{13} , R_{14} , R_{15} , R_{16} , R_{15a} , R_{16a} , R_{17} , R_{18} , R_{19} , R_{20} , R_{21} , R_{22} , R_{23} , R_{24} , and R_{25} are independently H or optionally substituted alkyl; or a salt thereof.

2. A compound according to claim 1, wherein the moiety



is a 5- and 6-membered ring selected from 2,4-dihydro-pyrazol-3-ones, 2,4-dihydro-pyrazole-3-thione, 1H-pyrazoles, 2H-pyridazin-3-ones, 4,5-dihydro-2H-pyridazin-3-ones, 1,2-dihydro-pyrazol-3-ones, 1,2-dihydro-pyrazole-3-thione, pyrazolidin-3-one, pyrazolidine-3-thione, 2H-pyridazin-3-thione and 4,5-dihydro-2H-pyridazin-3-thione.

3. A compound according to claims 1 or 2, wherein R_1 is halogen, C_{1-3} haloalkoxy, $CH(OH)R$, COR , SO_2NRR' , $CH(NR'R'')R$, $COORa$ or $CONRbRc$ where Ra , Rb , Rc , R , R' , R'' are independently H or lower alkyl.

4. A compound according to any one of claims 1 to 3, wherein R_2 , R_{2A} , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 and R_9 independently of each other are hydrogen or methyl.

5. A compound according to any one of claims 1 to 4, wherein n is zero.

6. A compound according to any one of claims 1 to 5, wherein m is 1 and the R₁ group is at the 3- or 4- position of the phenyl ring.
7. A compound according to any one of claims 1 to 6, wherein R₇ is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, more preferably hydrogen or methoxymethyl.
8. A compound according to any one of claims 1 to 7 where R₁₀ is hydrogen, methyl, ethyl, allyl, propargyl, methoxymethyl, thiomethoxymethyl or ethoxymethyl, preferably hydrogen or methoxymethyl.
9. A compound according to any one of claims 1 to 8, wherein the compound is selected from (3-Chloro-phenyl)-{4-[2-(3,4,5-trimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methoxymethyl-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-methoxy-4-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- (3-Chloro-phenyl)-{4-[2-(5-ethoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-(4-{2-[(3-Chloro-phenyl)-methoxymethyl-amino]-pyrimidin-4-yl}-pyridin-2-yl)-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1-ethyl-4,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
- 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-

- 4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4-dimethyl-2,4-dihydro-pyrazol-3-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazole-3-thione;
5 5-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-7-methyl-5,6-diaza-spiro[2.4]hept-6-en-4-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-ethyl-4,5-dimethyl-2,4-dihydro-pyrazol-3-one;
10 (3-Chloro-phenyl)-{4-[2-(5-methoxy-3-methyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,4,5-trimethyl-1,2-dihydro-pyrazol-3-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4,4,5-trimethyl-2,4-dihydro-pyrazol-3-one;
15 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-1,2-dihydro-pyrazol-3-one;
4,5-Dichloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
20 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-2H-pyridazin-3-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-methyl-4,5-dihydro-2H-pyridazin-3-one;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-6-Phenyl-4,5-dihydro-2H-pyridazin-3-one;
25 4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethoxy-2H-pyridazin-3-one;
4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-ethylsulfanyl-2H-pyridazin-3-one;
30 5-Azido-4-chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2H-pyridazin-3-one;
1-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-2-methyl-pyrazolidin-3-one;

- (3-Chloro-phenyl)-{4-[2-(5-methoxy-3,4-dimethyl-pyrazol-1-yl)-pyridin-4-yl]-pyrimidin-2-yl}-amine;
2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-5-methoxymethyl-1-methyl-1,2-dihydro-pyrazol-3-one;
5 2-{4-[2-(3-Chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-1,5-dimethyl-3-oxo-2,3-dihydro-1H-pyrazole-4-carbaldehyde;
5-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-4-(oxetan-3-yloxy)-2H-pyridazin-3-one; and
4-Chloro-2-{4-[2-(3-chloro-phenylamino)-pyrimidin-4-yl]-pyridin-2-yl}-
10 5-(tetrahydro-furan-2-ylmethoxy)-2H-pyridazin-3-one.
10. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 as active ingredient together with a suitable carrier.
- 15
11. The use of a compound of formula I according to claim 1 in protecting plants against infestation by phytopathogenic microorganisms.
12. A method of controlling and preventing an infestation of crop plants by
20 phytopathogenic microorganisms, which comprises the application of a compound of formula I according to claim 1 as active ingredient to the plant, to parts of plants or to the locus thereof.
13. A method according to claim 12, wherein the phytopathogenic microorganisms
25 are fungal organisms.

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/IB 02/05148

A. CLASSIFICATION OF SUBJECT MATTER
 IPC 7 A01N43/56 A01N43/58 C07D401/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y,P	WO 02 053560 A (CEDERBAUM FREDRIK ; EBERLE MARTIN (CH); ACKERMANN PETER (CH); ZIEGL) 11 July 2002 (2002-07-11) page 1, line 5 - line 19 claim 1	1,10-12
Y,P	WO 01 93682 A (EBERLE MARTIN ; STIERLI DANIEL (CH); ZIEGLER HUGO (CH); PILLONEL CH) 13 December 2001 (2001-12-13) page 1, paragraph 1 - paragraph 3 claim 1	1,10-12
A	WO 95 09847 A (CIBA GEIGY AG ; ZIMMERMANN JUERG (CH)) 13 April 1995 (1995-04-13) page 1, paragraph 1 claim 1	1
	-/--	



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

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O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

Z document member of the same patent family

Date of the actual completion of the international search

29 January 2003

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INTERNATIONAL SEARCH REPORT

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 431 421 A (BAYER AG) 12 June 1991 (1991-06-12) page 1, paragraph 1 claim 1 -----	1, 10-12

INTERNATIONAL SEARCH REPORT

 International Application No
 PCT/IB 02/05148

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02053560	A	11-07-2002	WO 02053560 A1	11-07-2002
WO 0193682	A	13-12-2001	AU 8384101 A WO 0193682 A1	17-12-2001 13-12-2001
WO 9509847	A	13-04-1995	AU 693475 B2 AU 7697694 A CA 2148931 A1 WO 9509847 A1 EP 0672035 A1 JP 8503971 T US 5612340 A	02-07-1998 01-05-1995 13-04-1995 13-04-1995 20-09-1995 30-04-1996 18-03-1997
EP 0431421	A	12-06-1991	DE 3940477 A1 BR 9006193 A EP 0431421 A2 JP 3181480 A	13-06-1991 24-09-1991 12-06-1991 07-08-1991